

10/501,689

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NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	APR 04	STN AnaVist \$500 visualization usage credit offered
NEWS	5	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	6	MAY 11	KOREAPAT updates resume
NEWS	7	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	8	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	9	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	10	JUN 02	The first reclassification of IPC codes now complete in
			INPADOC
NEWS	11	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and
			and display fields
NEWS	12	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	13	JUL 11	CHEMSAFE reloaded and enhanced
NEWS	14	JUL 14	FSTA enhanced with Japanese patents
NEWS	15	JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	16	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS EXPRESS		JUNE 30	CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability

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NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8      For general information regarding STN implementation  
of IPC 8  
NEWS X25      X.25 communication option no longer available

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\* \* \* \* \* STN Columbus \* \* \* \* \*  
\* \*

FILE 'HOME' ENTERED AT 14:45:50 ON 10 AUG 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:46:05 ON 10 AUG 2006  
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STRUCTURE FILE UPDATES:      9 AUG 2006    HIGHEST RN 900096-56-2  
DICTIONARY FILE UPDATES:    9 AUG 2006    HIGHEST RN 900096-56-2

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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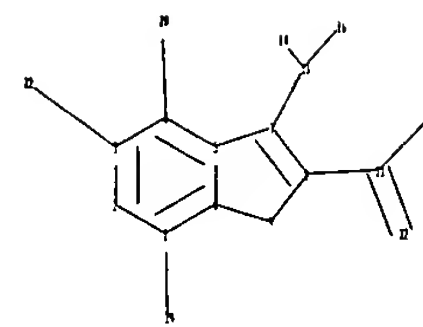
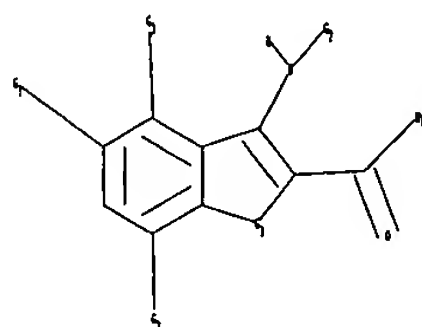
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

10/501,689

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10501689.str



chain nodes :

11 12 13 14 16 17 19 20 22

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-19 3-22 4-20 7-13 8-11 11-12 11-17 13-14 13-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-19 3-22 4-20 5-7 6-9 7-8 7-13 8-9 8-11 11-12 11-17 13-14  
13-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

10/501,689

G1:O,S

G2:C,H,Ak

G3:H,OH,CN,X,Ak,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom  
9:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:Atom  
19:CLASS 20:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 14:46:30 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 639 TO ITERATE

100.0% PROCESSED 639 ITERATIONS 28  
ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 11264 TO 14296  
PROJECTED ANSWERS: 243 TO 877

L2 28 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:46:36 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 12649 TO ITERATE

100.0% PROCESSED 12649 ITERATIONS 567  
ANSWERS  
SEARCH TIME: 00.00.01

L3 567 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 14:46:45 ON 10 AUG 2006

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FILE COVERS 1907 - 10 Aug 2006 VOL 145 ISS 7  
FILE LAST UPDATED: 9 Aug 2006 (20060809/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 13

L4 12 L3

=> d 14 ibib hitstr abs 1-12

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:588929 CAPLUS

DOCUMENT NUMBER: 143:115430

TITLE: Preparation of aroylfurans and  
aroylthiophenes for

treating neoplastic and autoimmune diseases

INVENTOR(S): Eberle, Martin; Bachmann, Felix; Strebel,

Alessandro;

Roy, Subho; Saha, Goutam; Sadhukhan, Subir

Kumar;

Saxena, Rohit; Srivastava, Sudhir

PATENT ASSIGNEE(S): Aponetics A.-G., Switz.

SOURCE: PCT Int. Appl., 180 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

10/501,689

PATENT INFORMATION:

PATENT NO. DATE	KIND	DATE	APPLICATION NO.
-----	----	-----	-----
WO 2005061476 20041221	A2	20050707	WO 2004-EP53622
WO 2005061476 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	A3	20060126	
CA 2545821 20041221	AA	20050707	CA 2004-2545821
PRIORITY APPLN. INFO.:			EP 2003-405911 A
20031222			EP 2003-405912 A
20031222			EP 2004-405517 A
20040819			WO 2004-EP53622 W
20041221			
OTHER SOURCE(S):	MARPAT 143:115430		
IT 857841-76-0P 857842-15-0P 857842-16-1P 857842-17-2P 857842-18-3P 857842-19-4P 857842-20-7P 857842-21-8P 857842-22-9P 857842-23-0P 857842-24-1P 857842-26-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation);			
THU			

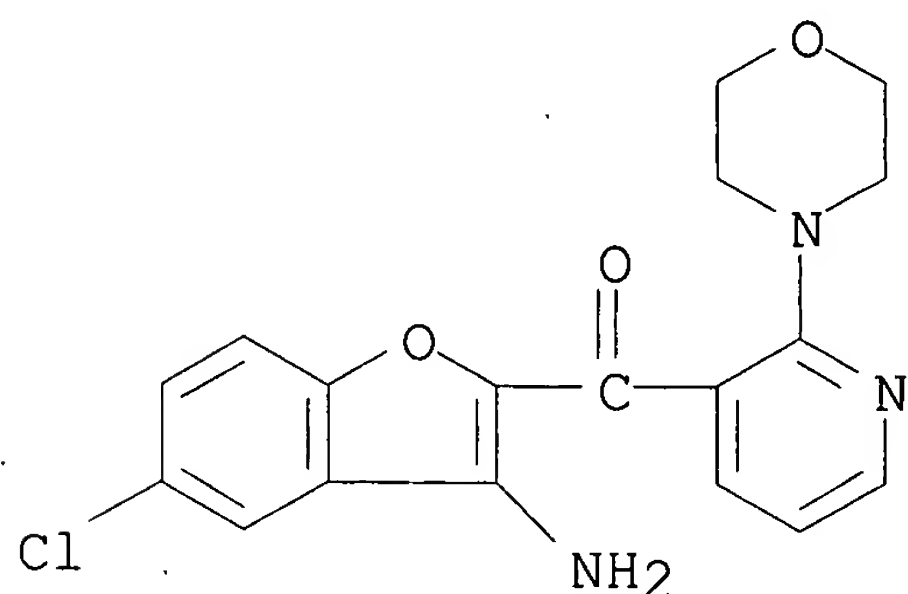
10/501,689

(Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES  
(Uses)

(preparation of aroylfurans and aroylthiophenes for treating  
neoplastic and  
autoimmune diseases)

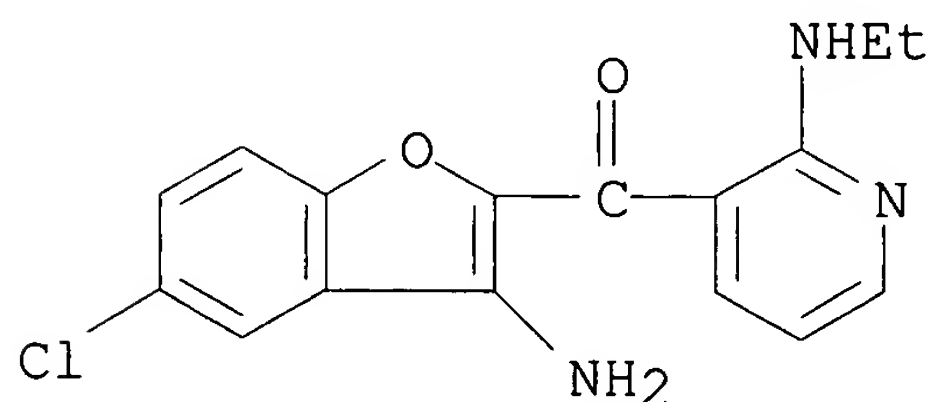
RN 857841-76-0 CAPLUS

CN Methanone, (3-amino-5-chloro-2-benzofuranyl) [2-(4-morpholinyl)-3-  
pyridinyl]- (9CI) (CA INDEX NAME)



RN 857842-15-0 CAPLUS

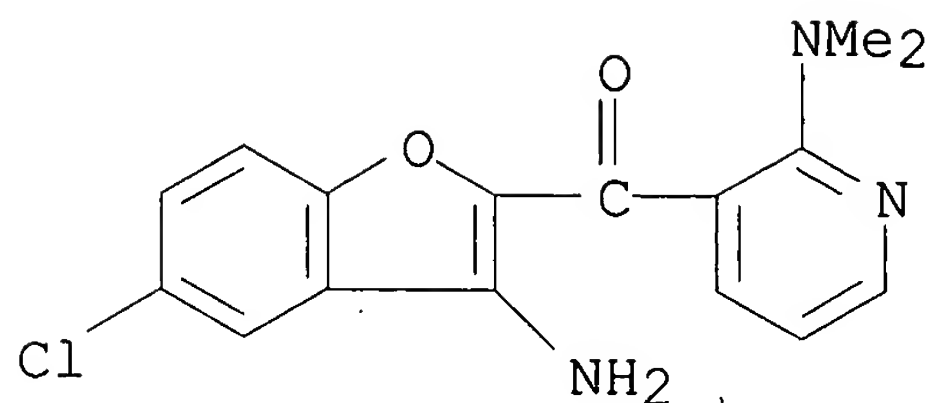
CN Methanone,  
(3-amino-5-chloro-2-benzofuranyl) [2-(ethylamino)-3-pyridinyl]-  
(9CI) (CA INDEX NAME).



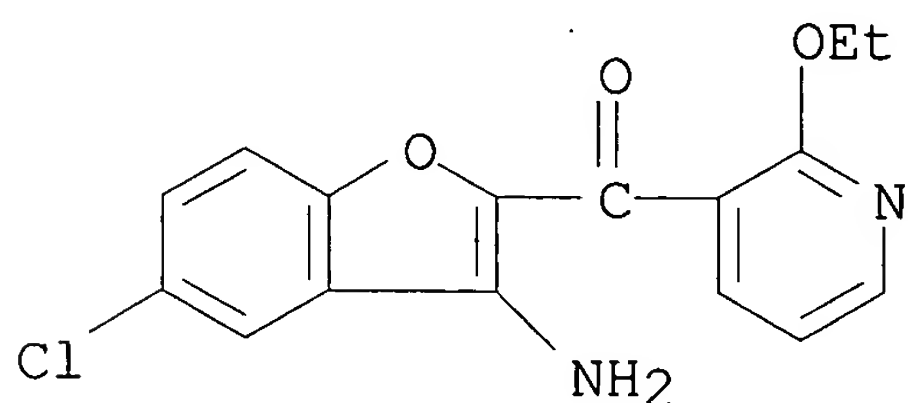
RN 857842-16-1 CAPLUS

CN Methanone, (3-amino-5-chloro-2-benzofuranyl) [2-(dimethylamino)-3-  
pyridinyl]- (9CI) (CA INDEX NAME)

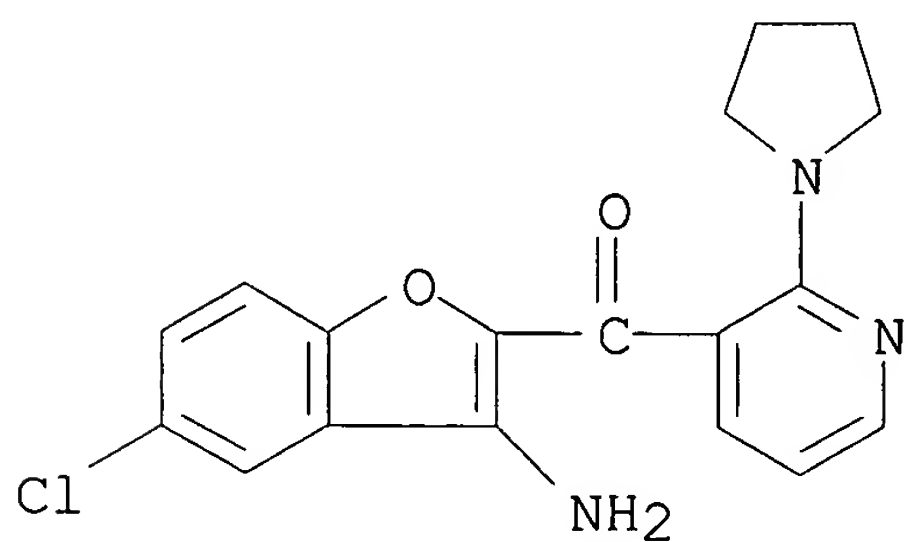
10/501,689



RN 857842-17-2 CAPLUS  
CN Methanone,  
(3-amino-5-chloro-2-benzofuranyl)(2-ethoxy-3-pyridinyl)- (9CI)  
(CA INDEX NAME)



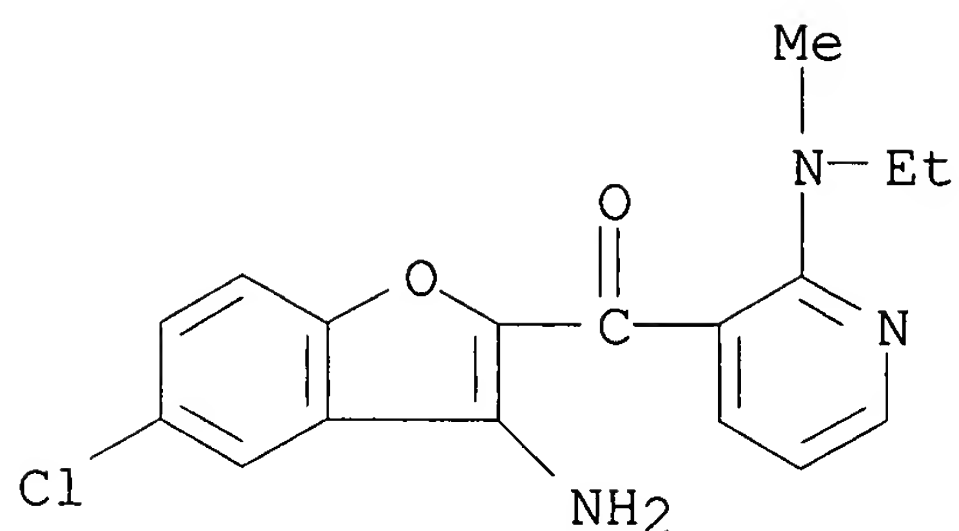
RN 857842-18-3 CAPLUS  
CN Methanone,  
(3-amino-5-chloro-2-benzofuranyl)[2-(1-pyrrolidinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 857842-19-4 CAPLUS  
CN Methanone,  
(3-amino-5-chloro-2-benzofuranyl)[2-(ethylmethlamino)-3-pyridinyl]- (9CI) (CA INDEX NAME)



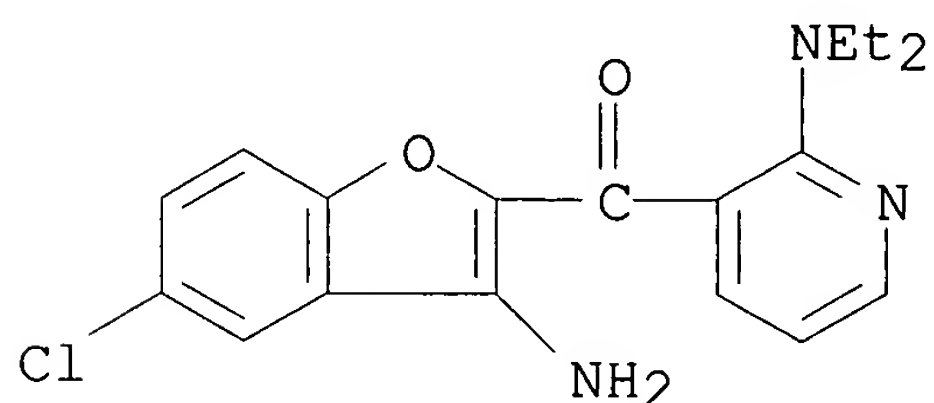
10/501,689



RN 857842-20-7 CAPLUS

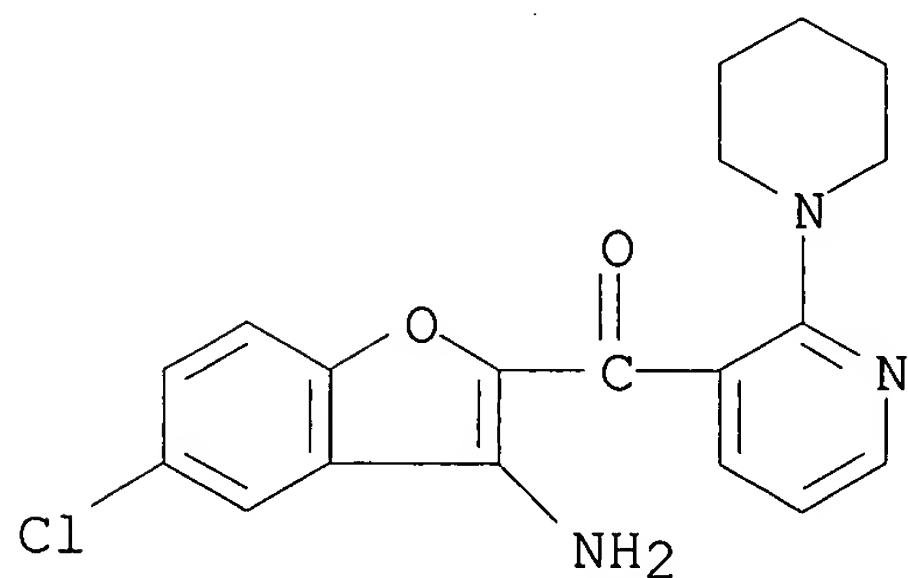
CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)[2-(diethylamino)-3-pyridinyl]-  
(9CI) (CA INDEX NAME)



RN 857842-21-8 CAPLUS

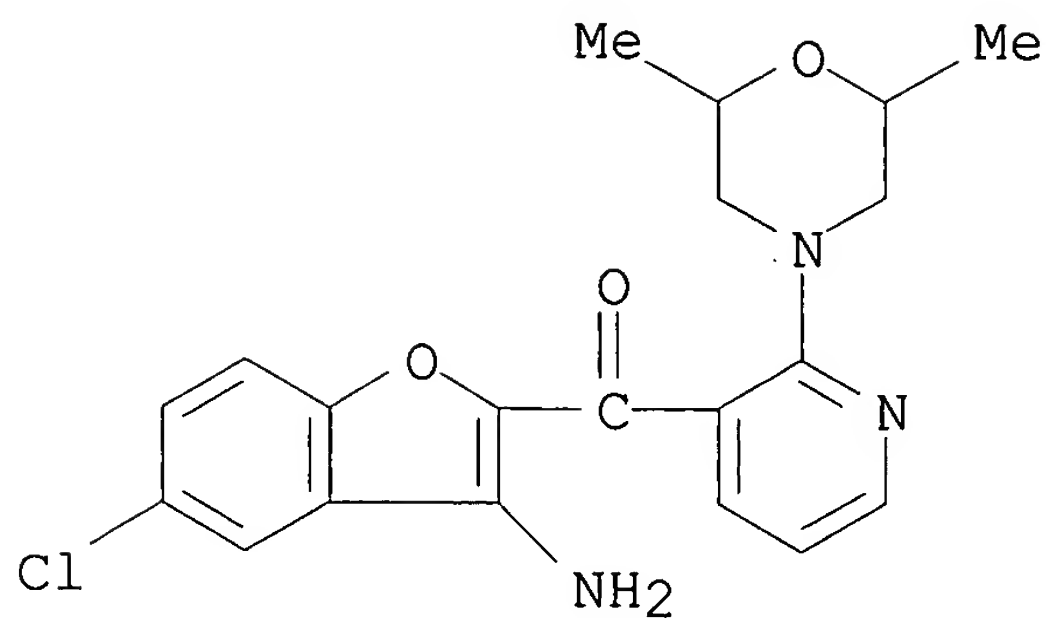
CN Methanone, (3-amino-5-chloro-2-benzofuranyl)[2-(1-piperidinyl)-3-  
pyridinyl]- (9CI) (CA INDEX NAME)



RN 857842-22-9 CAPLUS

CN Methanone, (3-amino-5-chloro-2-benzofuranyl)[2-(2,6-dimethyl-4-  
morpholinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

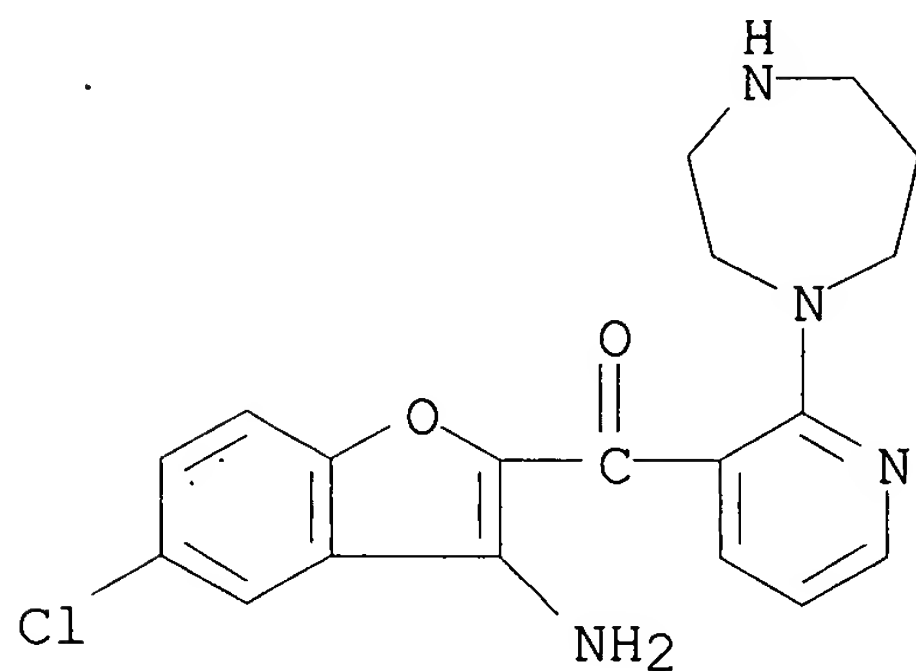
10/501,689



RN 857842-23-0 CAPLUS

CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)[2-(hexahydro-1H-1,4-diazepin-1-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

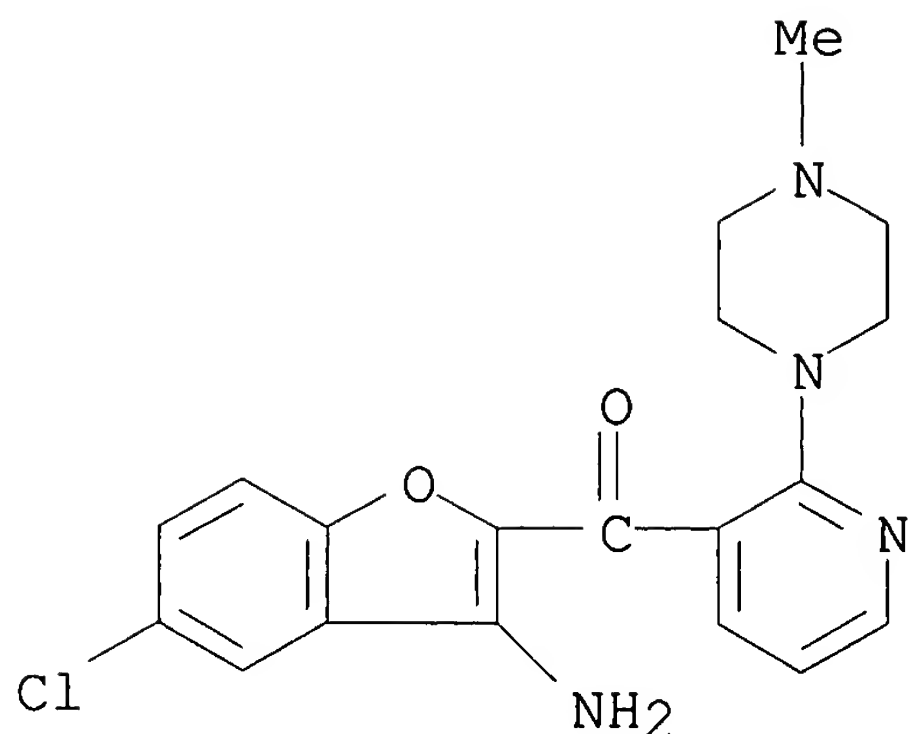


RN 857842-24-1 CAPLUS

CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)[2-(4-methyl-1-piperazinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

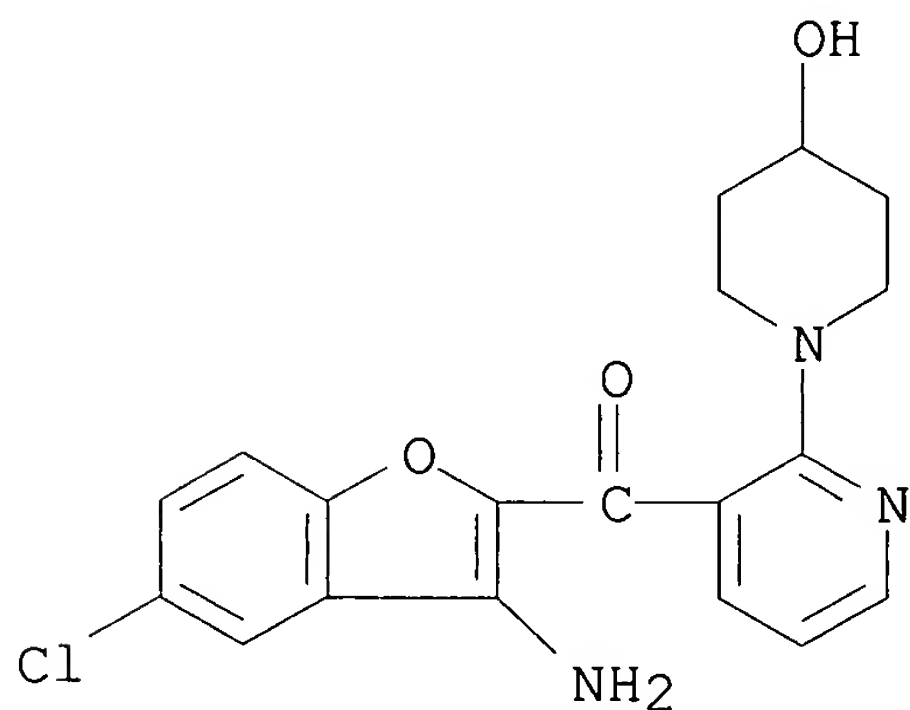
10/501,689



RN 857842-26-3 CAPLUS

CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)[2-(4-hydroxy-1-piperidinyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



IT 857841-65-7P 857842-90-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT

(Reactant or reagent)

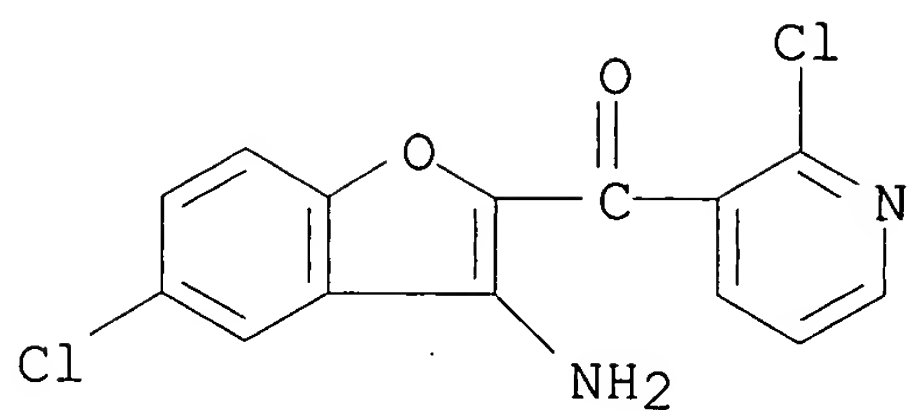
(preparation of aroylfurans and aroylthiophenes for treating neoplastic and autoimmune diseases)

RN 857841-65-7 CAPLUS

CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)(2-chloro-3-pyridinyl)- (9CI)  
(CA INDEX NAME)

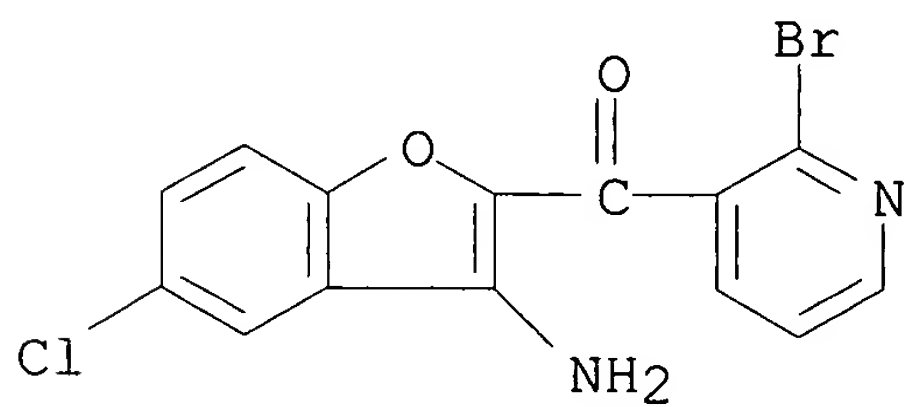
10/501,689



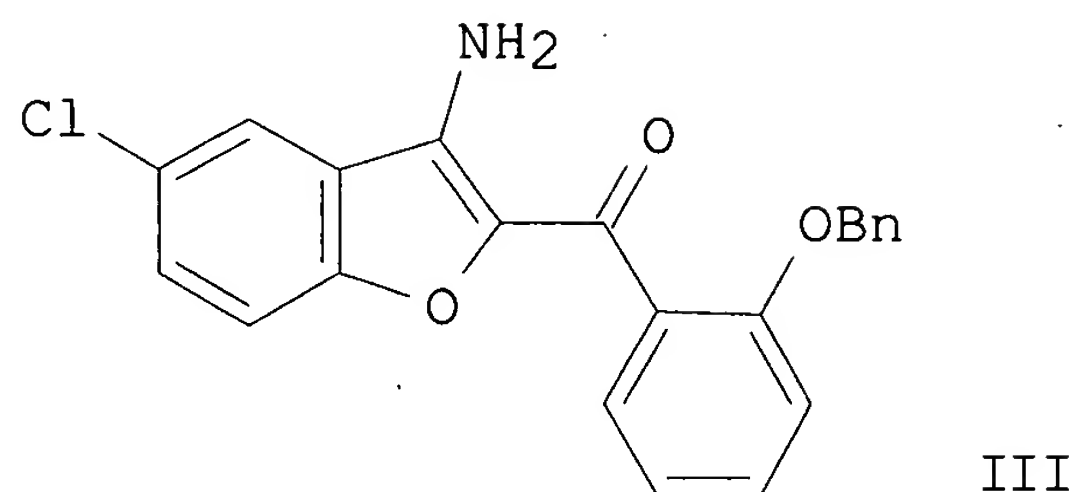
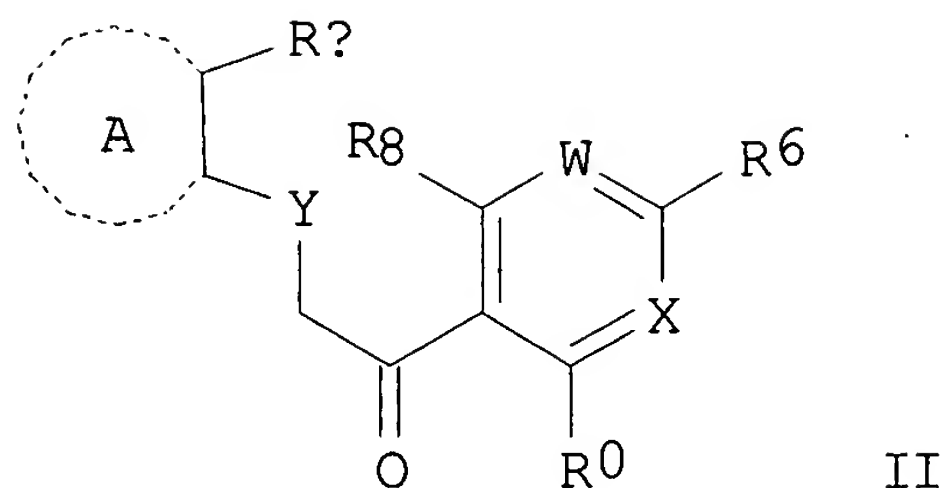
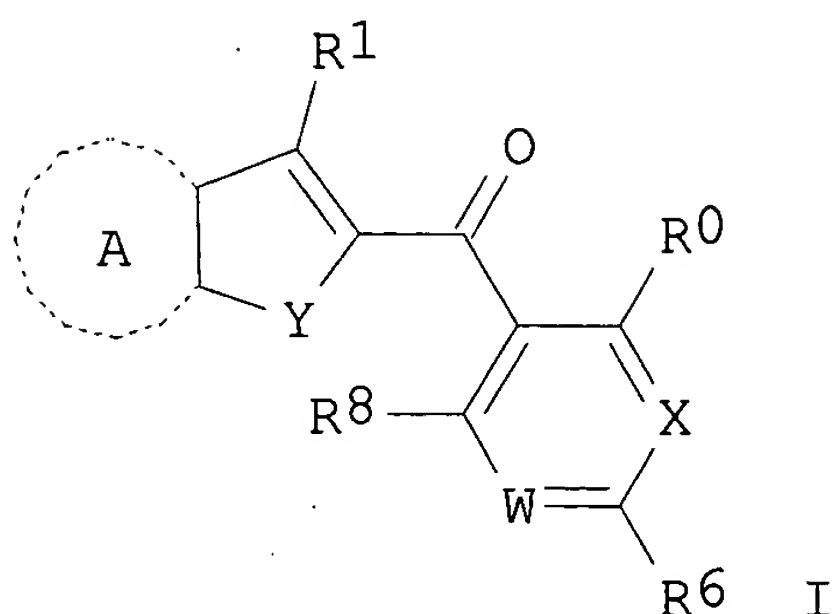
RN 857842-90-1 CAPLUS

CN Methanone,

(3-amino-5-chloro-2-benzofuranyl)(2-bromo-3-pyridinyl)- (9CI)  
(CA INDEX NAME)



GI

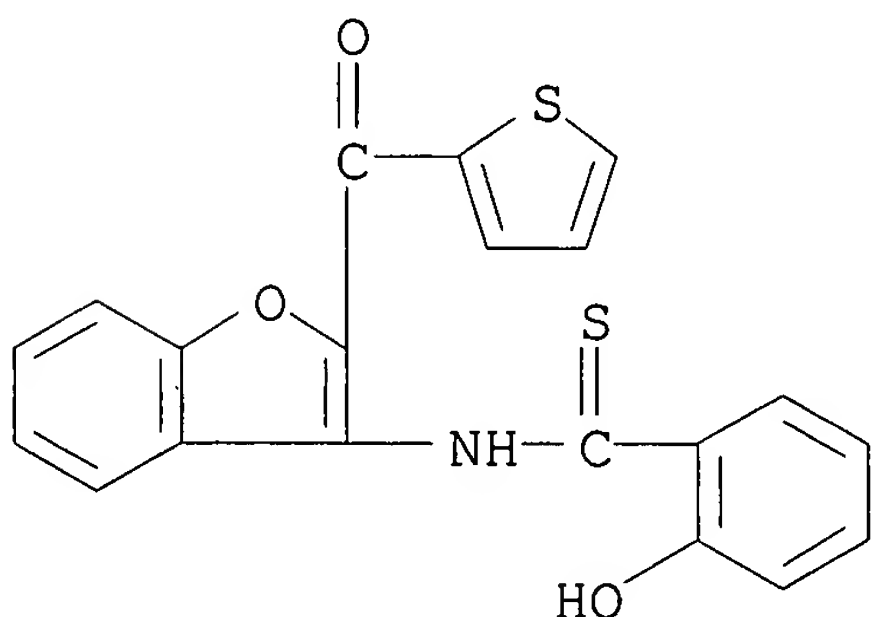


AB The invention relates to compds. I and II [ring A = Ph, pyridine, pyrimidine or pyrazine ring; W and X = C, N; Y = O, S; R0 = alkoxymethyl, cyclohexyl, Ph, etc.; Rx = C(O)R1 or cyano; R1 = H, (un)substituted OH or (un)substituted NH2; R6 = H, alkyl, haloalkyl, etc.; R8 = H, alkyl, alkoxy, halo]. The invention further relates to methods of synthesis of compds. I and II, to pharmaceutical compns. containing compds. I and II, to the use of such compds. for the preparation of a pharmaceutical composition for the treatment of neoplastic and autoimmune diseases, and to methods of treatment of neoplastic and autoimmune diseases using compds. I and II or of pharmaceutical compns. containing same. E.g., a multi-step synthesis of III, starting from 2-benzyloxyacetophenone, was given. The exemplified

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compds. I and II were tested in various cell lines (data given).

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2005:502640 CAPLUS  
DOCUMENT NUMBER: 143:172703  
TITLE: Synthesis and conversion of 3-(2-hydroxythiobenzamido)benzo[b]furans  
AUTHOR(S): Briel, Detlef  
CORPORATE SOURCE: Institute of Pharmacy, Faculty of Biosciences,  
Leipzig, Pharmacy, and Psychology, University of Leipzig, D-04103, Germany  
SOURCE: Heterocycles (2005), 65(6), 1295-1309  
CODEN: HTCYAM; ISSN: 0385-5414  
PUBLISHER: Japan Institute of Heterocyclic Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 143:172703  
IT 860801-63-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of [(hydroxy)thiobenzamido]benzo[b]furan derivs. via O-alkylation, ring transformation and oxygen-sulfur exchange sequence using [(hydroxy)phenyl]-1,2,4-dithiazol-ylidene]-cyclohexadienone and acyl bromides as starting materials)  
RN 860801-63-4 CAPLUS  
CN Benzenecarbothioamide, 2-hydroxy-N-[2-(2-thienylcarbonyl)-3-benzofuranyl]-(9CI) (CA INDEX NAME)



10/501,689

AB A simple method for the introduction of a 2-aroysl-3-benzofuranyl residue

at the nitrogen atom of 2-hydroxy-thiobenzamide is described.

Thereby

N-(2-aroysl-3-benzofuranyl)-2-hydroxy-thiobenzamides were obtained which

undergo an oxygen-sulfur position exchange when they were heated in acetic

acid yielding the isomeric

N-(2-thioaroysl-3-benzofuranyl)-2-hydroxy-benzamide derivs.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:260074 CAPLUS

DOCUMENT NUMBER: 142:316835

TITLE: Preparation of thienopyrazoles as inhibitors of

interleukin-2 inducible tyrosine kinase for treating

diseases involving overproduction of Th2

cytokine like

asthma

INVENTOR(S): Jurcak, John Gerard; Barrague, Matthieu; Gillespy,

Timothy Alan; Edwards, Michael Louis;

Musick, Kwon

Yon; Weintraub, Philip Marvin; Du, Yan; Dharanipragada, Ramalinga M.; Parkar, Ashfaq

Ahmed

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			
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WO 2005026175	A1	20050324	WO 2004-US23814
20040723			

10/501,689

CA, CH, W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,  
GB, GD, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
KZ, LC, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,  
NA, NI, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
SL, SY, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
ZM, ZW TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,  
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

AU 2004272507 A1 20050324 AU 2004-272507  
20040723

CA 2538032 AA 20050324 CA 2004-2538032  
20040723

EP 1682553 A1 20060726 EP 2004-779049  
20040723

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU,  
PL, SK, HR

NO 2006001626 A 20060410 NO 2006-1626  
20060410

PRIORITY APPLN. INFO.: US 2003-501159P P  
20030908

WO 2004-US23814 W  
20040723

OTHER SOURCE(S): MARPAT 142:316835

IT 848357-66-4P, [3-(2-Benzhydrylidenehydrazino)benzo[b]thiophen-2-  
yl][1-(benzyloxymethyl)-6-[3-(piperidin-1-yl)propoxy]-1H-benzimidazol-  
2-

yl]methanone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT  
(Reactant or reagent)

(preparation of thienopyrazoles as inhibitors of  
interleukin-2 inducible



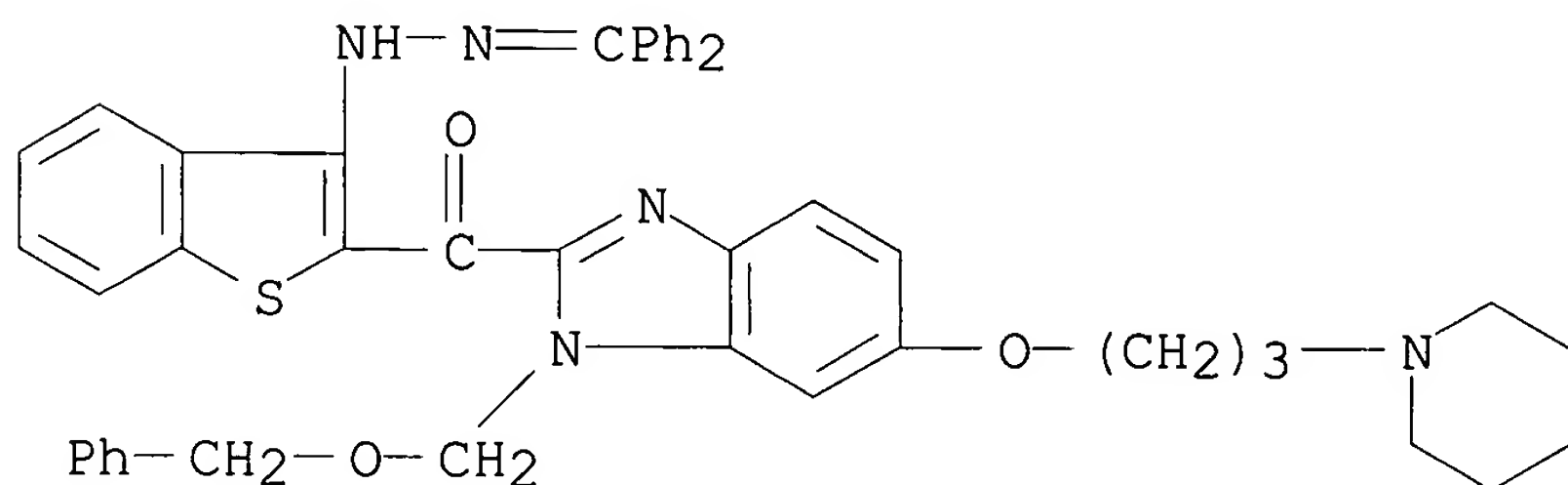
10/501,689

tyrosine kinase for treating diseases involving overprodn. of Th2

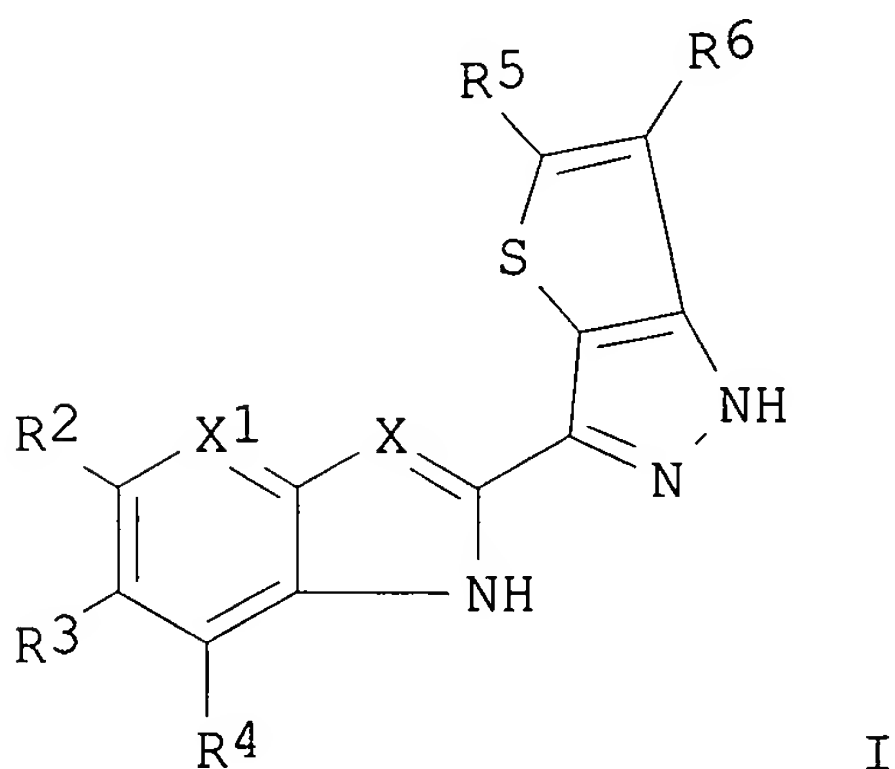
cytokine like asthma)

RN 848357-66-4 CAPLUS

CN Methanone, diphenyl-, [2-[[1-[(phenylmethoxy)methyl]-6-[3-(1-piperidinyl)propoxy]-1H-benzimidazol-2-yl]carbonyl]benzo[b]thien-3-yl]hydrazone (9CI) (CA INDEX NAME)



GI



AB This invention relates to thienopyrazoles (shown as I; variables defined

below; e.g. 2-(1H-thieno[3,2-c]pyrazol-3-yl)-1H-benzimidazole), their

preparation, pharmaceutical compns. comprising these compds., and their

pharmaceutical uses in the treatment of disease states capable of being

modulated by the inhibition of the protein kinases, in particular

interleukin-2 inducible tyrosine kinase (ITK). IC50 values for inhibition

of ITK and IL-4 release are tabulated for 26 examples of I. For I: X is

N, or C-R7; X1 is N, or C-R1; R1, R2, R3, R4, R5 and R6 = H, or (un)substituted acyl, alkyl, alkoxy, acylamino, alkenyl, alkoxyalkyl, or

(Y1)(Y2)NC(O)-, (Y1)(Y2)N-, or alkoxycarbonyl, alkylsulfinyl, alkylsulfonyl, alkylsulfonylcarbamoyl, alkylthio, alkynyl, aroyl, aryl,

aroylamino, arylalkyl, arylalkoxy, arylalkyloxyalkyl, arylalkyloxycarbonyl, aryloxyalkyl, arylalkylthio, aryloxy, aryloxycarbonyl, arylsulfinyl, arylsulfonyl,

arylsulfonylcarbamoyl,

arylthio, cycloalkenyl, cycloalkoxyalkyl, cycloalkyl, cycloalkylalkyl,

cycloalkyloxy, heteroaroyl, heteroaroylamino, heteroarylalkyl, heteroarylalkoxy, heteroarylalkyloxyalkyl, heteroaryloxy, heteroaryloxyalkyl, heterocycloalkyl,

heteroarylsulfonylcarbamoyl,

heterocycloalkylalkyl, heterocycloalkyloxy,

heterocycloalkyloxyalkyl, or

halo, hydroxy, trifluoromethyl, nitro, (un)substituted hydroxyalkyl,

carboxy, or cyano; or R5 and R6, together with the two double-bonded

carbons to which they are attached, form an (un)substituted benzene ring.

R7 is H, halo or (un)substituted alkyl; and Y1 and Y2 = H, (un)substituted

alkyl, (un)substituted aryl, or (un)substituted heteroaryl, or Y1 and Y2,

together with the N to which they are attached form an (un)substituted

heteroaryl group, or an (un)substituted heterocycloalkyl group. Although

the methods of preparation are not claimed, >70 example preps. are included.

For example, 2-(1H-thieno[3,2-c]pyrazol-3-yl)-1H-benzimidazole was prepared

in 5 steps starting from 3-bromothiophene-2-carboxylic acid and involving

intermediates 3-bromothiophene-2-carboxylic acid

N-methoxy-N-methylamide,

1-(benzyloxymethyl)-1H-benzimidazole,

[1-(benzyloxymethyl)-1H-benzimidazol-

2-yl](3-bromothiophen-2-yl)methanone and [3-(2-

10/501,689

benzhydrylidenehydrazino)thiophen-2-yl][1-(benzyloxymethyl)-1H-benzimidazol-2-yl]methanone.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:696882 CAPLUS

DOCUMENT NUMBER: 139:230615

TITLE: Preparation of benzofurans and benzothiophenes useful

in the treatment of hyperproliferative disorders

INVENTOR(S): Zhang, Chengzhi; Burke, Michael; Chen, Zhi; Dumas,

Jacques; Fan, Dongping; Fan, Jianmei;

Hatoum-Mokdad, Holia; Jones, Benjamin D.; Ladouceur, Gaetan; Lee,

Wendy; Phillips, Barton

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			
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WO 2003072561	A1	20030904	WO 2003-US5396
20030221			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

10/501,689

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK,  
TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG

CA 2474511 AA 20030904 CA 2003-2474511  
20030221

AU 2003213219 A1 20030909 AU 2003-213219  
20030221

EP 1487813 A1 20041222 EP 2003-709265  
20030221

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU,  
SK

CN 1639146 A 20050713 CN 2003-804436  
20030221

CN 1639145 A 20050713 CN 2003-804442  
20030221

JP 2006507215 T2 20060302 JP 2003-571267  
20030221

BR 2003007905 A 20060404 BR 2003-7905  
20030221

ZA 2004007482 A 20050919 ZA 2004-7482  
20040917

NO 2004003952 A 20041022 NO 2004-3952  
20040921

PRIORITY APPLN. INFO.: US 2002-359011P P  
20020222

US 2002-399886P P  
20020731

WO 2003-US5396 W  
20030221

OTHER SOURCE(S): MARPAT 139:230615

IT 594811-07-1P 594811-12-8P 594811-21-9P

594811-31-1P 594811-43-5P 594811-48-0P

594811-49-1P 594811-50-4P 594811-53-7P

THU RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
(Therapeutic use); BIOL (Biological study); PREP (Preparation);

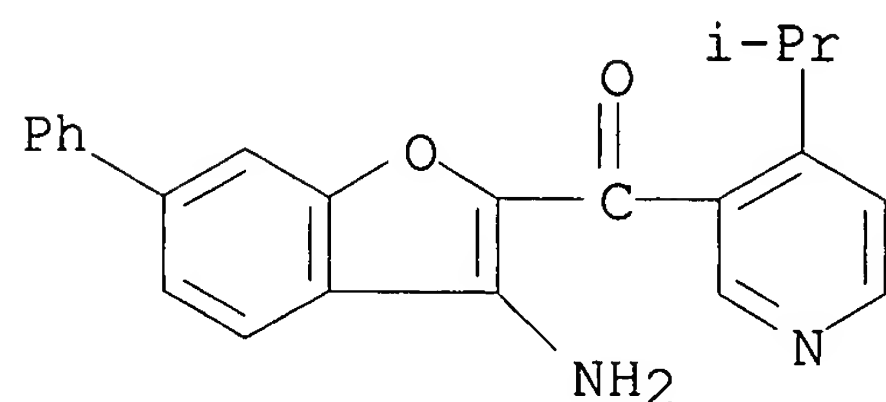
USES (Uses)

(antitumor agent; preparation of benzofurans and  
benzothiophenes for  
treatment of hyper-proliferative disorders)

RN 594811-07-1 CAPLUS

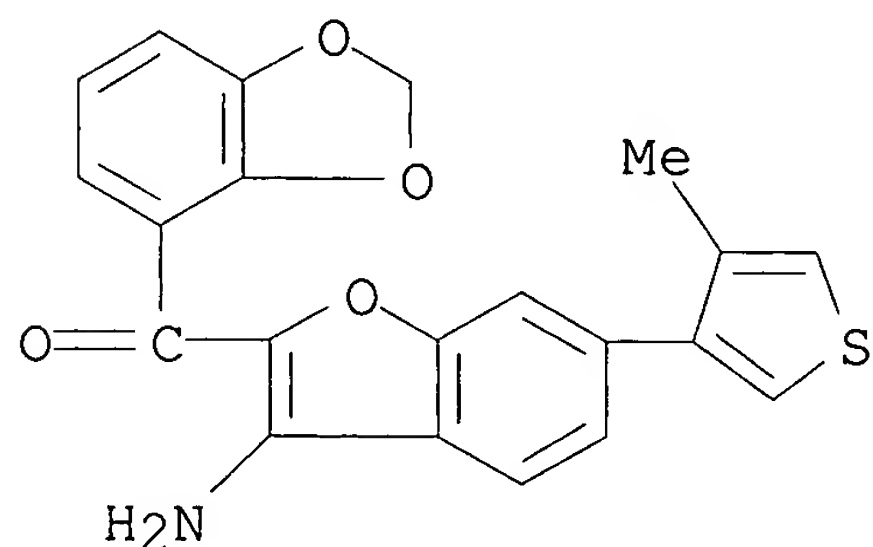
10/501,689

CN Methanone, (3-amino-6-phenyl-2-benzofuranyl) [4-(1-methylethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



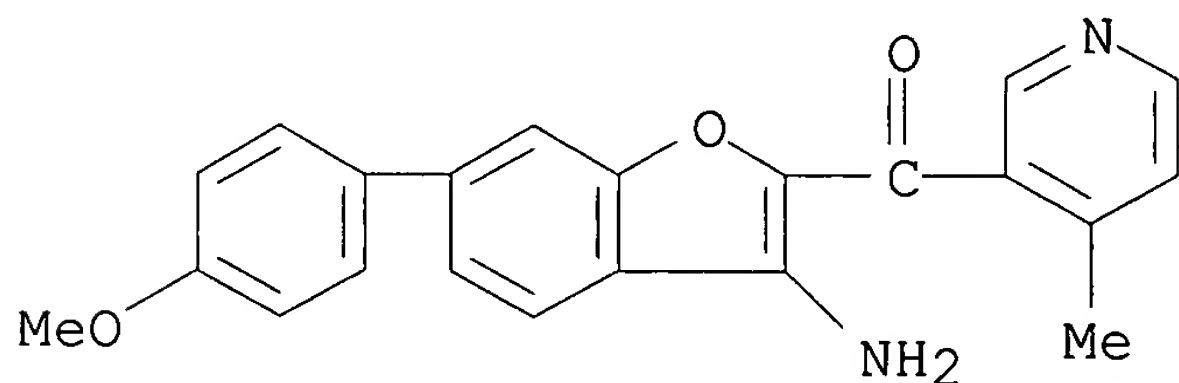
RN 594811-12-8 CAPLUS

CN Methanone,  
[3-amino-6-(4-methyl-3-thienyl)-2-benzofuranyl]-1,3-benzodioxol-4-yl- (9CI) (CA INDEX NAME)



RN 594811-21-9 CAPLUS

CN Methanone,  
[3-amino-6-(4-methoxyphenyl)-2-benzofuranyl] (4-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)

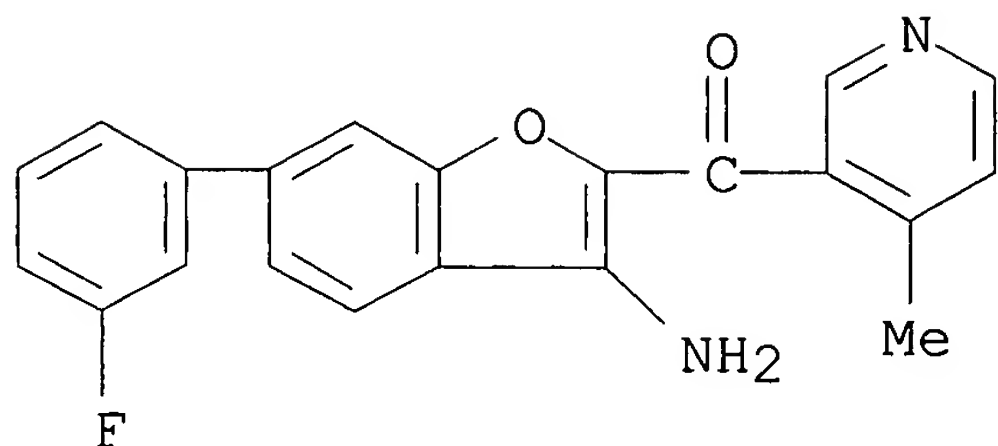


RN 594811-31-1 CAPLUS

CN Methanone,  
[3-amino-6-(3-fluorophenyl)-2-benzofuranyl] (4-methyl-3-

10/501,689

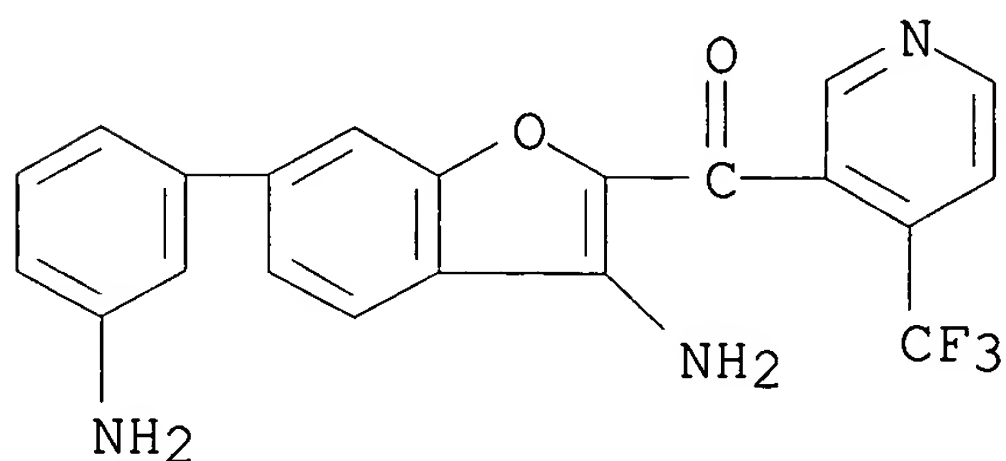
pyridinyl)- (9CI) (CA INDEX NAME)



RN 594811-43-5 CAPLUS

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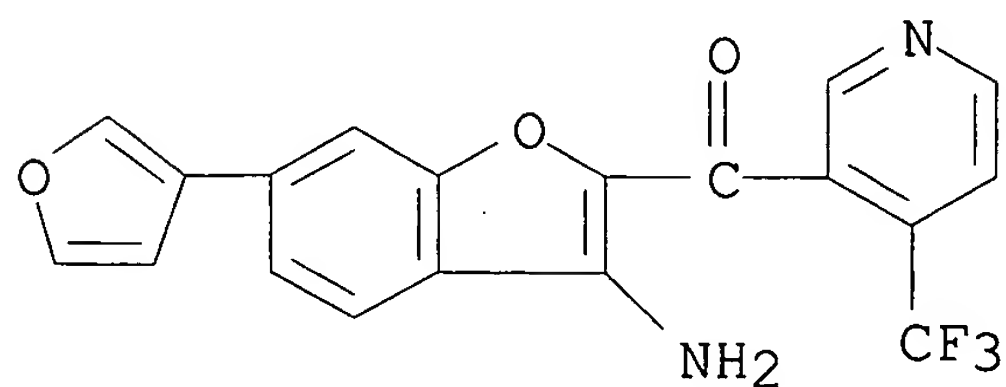
[3-amino-6-(3-aminophenyl)-2-benzofuranyl][4-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 594811-48-0 CAPLUS

CN Methanone,

[3-amino-6-(3-furanyl)-2-benzofuranyl][4-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

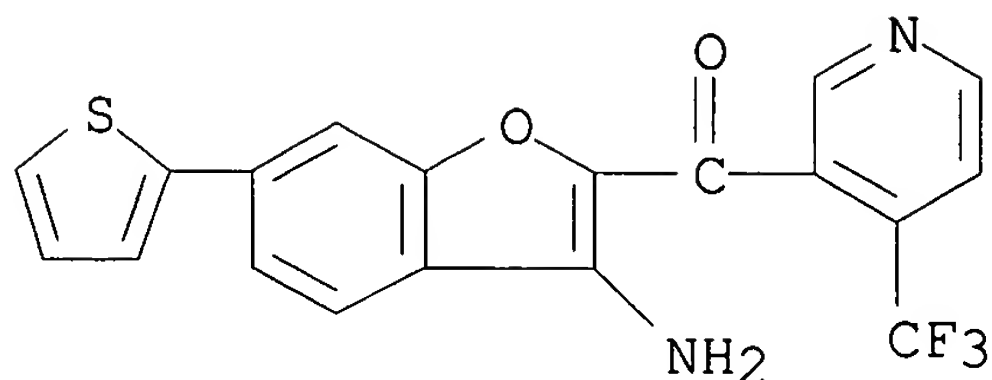


RN 594811-49-1 CAPLUS

CN Methanone,

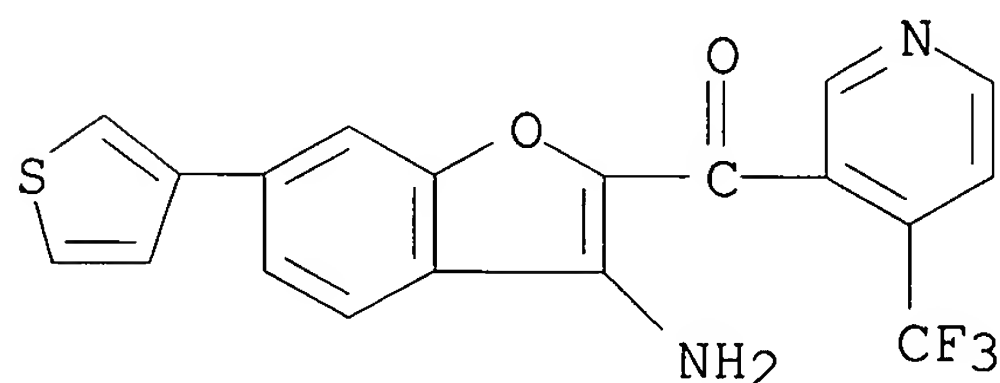
[3-amino-6-(2-thienyl)-2-benzofuranyl][4-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

10/501,689



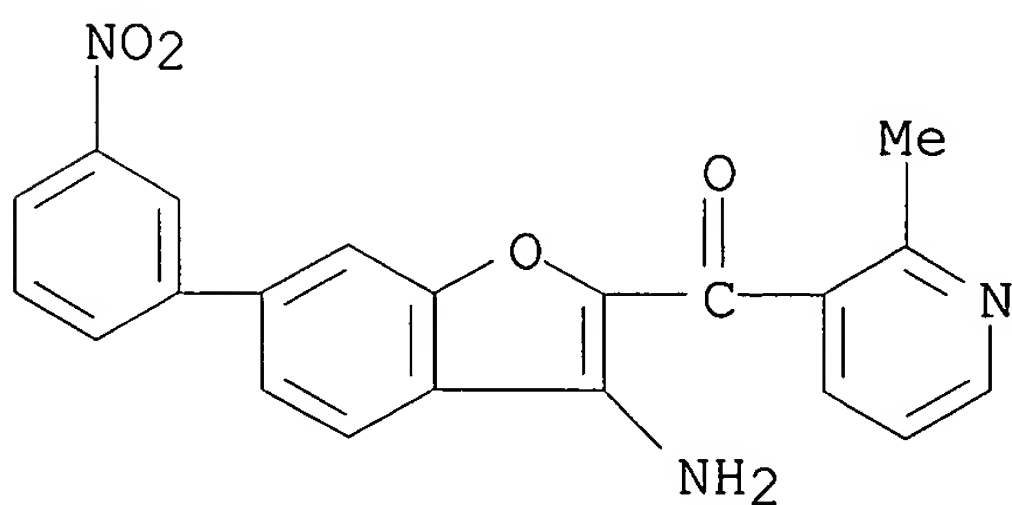
RN 594811-50-4 CAPLUS

CN Methanone, [3-amino-6-(3-thienyl)-2-benzofuranyl][4-(trifluoromethyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 594811-53-7 CAPLUS

CN Methanone, [3-amino-6-(3-nitrophenyl)-2-benzofuranyl](2-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)



IT 594812-60-9P

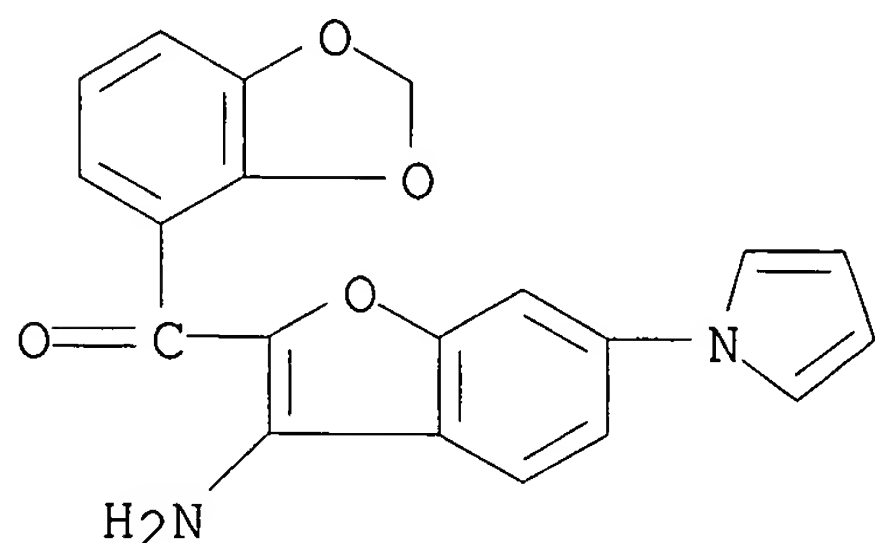
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES (Uses)  
(preparation of benzofurans and benzothiophenes for treatment  
of hyper-proliferative disorders)

10/501,689

RN 594812-60-9 CAPLUS

CN Methanone,

[3-amino-6-(1H-pyrrol-1-yl)-2-benzofuranyl]-1,3-benzodioxol-4-yl- (9CI) (CA INDEX NAME)



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein X = O, S; R1 = H, alkyl, (CO)alkyl, benzoyl; R2 =

(un)substituted Ph, naphthyl, (un)substituted heterocyclyl; R3 = H, OH,

CN, alkyl, alkoxy, halo, haloalkyl, haloalkoxy; R4 = piperonyl, (un)substituted heterocyclyl, Ph and naphthyl; R5, R6 = independently H,

OH, CN, alkyl, alkoxy, halo, haloalkyl and haloalkoxy; and their pharmaceutically acceptable salts or esters] were prepared as antitumor

agents for treatment of hyperproliferative disorders. For example, II was

prepared from 2-bromo-3'-methoxy-acetophenone by cyclocondensation with

acetamide at 110° for 40 h, demethylation in DCM at room temperature for

2 h, reaction with paraformaldehyde in CH3CN/TEA in the presence of MgCl2

at reflux for 17 h, reaction with nitroethane in AcOH/AcONa at reflux for

17 h, and K2CO3-catalyzed cyclocondensation of the resultant nitrile with



10/501,689

2-methoxyphenacyl bromide in anhydrous DMF. III was prepared, in 28.2% yield, by Pd-cross coupling of (3-amino-6-iodo-1-benzothiophene-2-yl) (2,4-dichlorophenyl)methanone with pyridine-3-boronic acid in 1,2-dimethoxyethane at 80° for 18 h. I showed a significant inhibition of tumor cell proliferation in the adherent tumor cell proliferation assay (no data). Thus, I and their formulations are useful as antitumor agents (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:824243 CAPLUS

DOCUMENT NUMBER: 134:4854

TITLE: Preparation of oligohydroxyl substituted benzofuranylurea derivatives as

phosphodiesterase IV

inhibitors

INVENTOR(S): Braunlich, Gabriele; Es-Sayed, Mazen; Fischer,

Rudiger; Fugmann, Burkhard; Henning, Rolf; Schneider,

Stephan; Sperzel, Michael; Schlemmer,

KarlHeinz; Sturton, Graham; Fitzgerald, Mary; Briggs,

Barbara;

Concepcion, Arnel; Bullock, William

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			
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WO 2000069844	A1	20001123	WO 2000-EP4016
20000504			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,

10/501,689

CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,  
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
GB 2350111 A1 20001122 GB 1999-11456

19990517

PRIORITY APPLN. INFO.:

GB 1999-11456 A

19990517

OTHER SOURCE(S): MARPAT 134:4854

IT 308340-26-3P 308340-27-4P 308340-38-7P

308340-48-9P 308340-49-0P

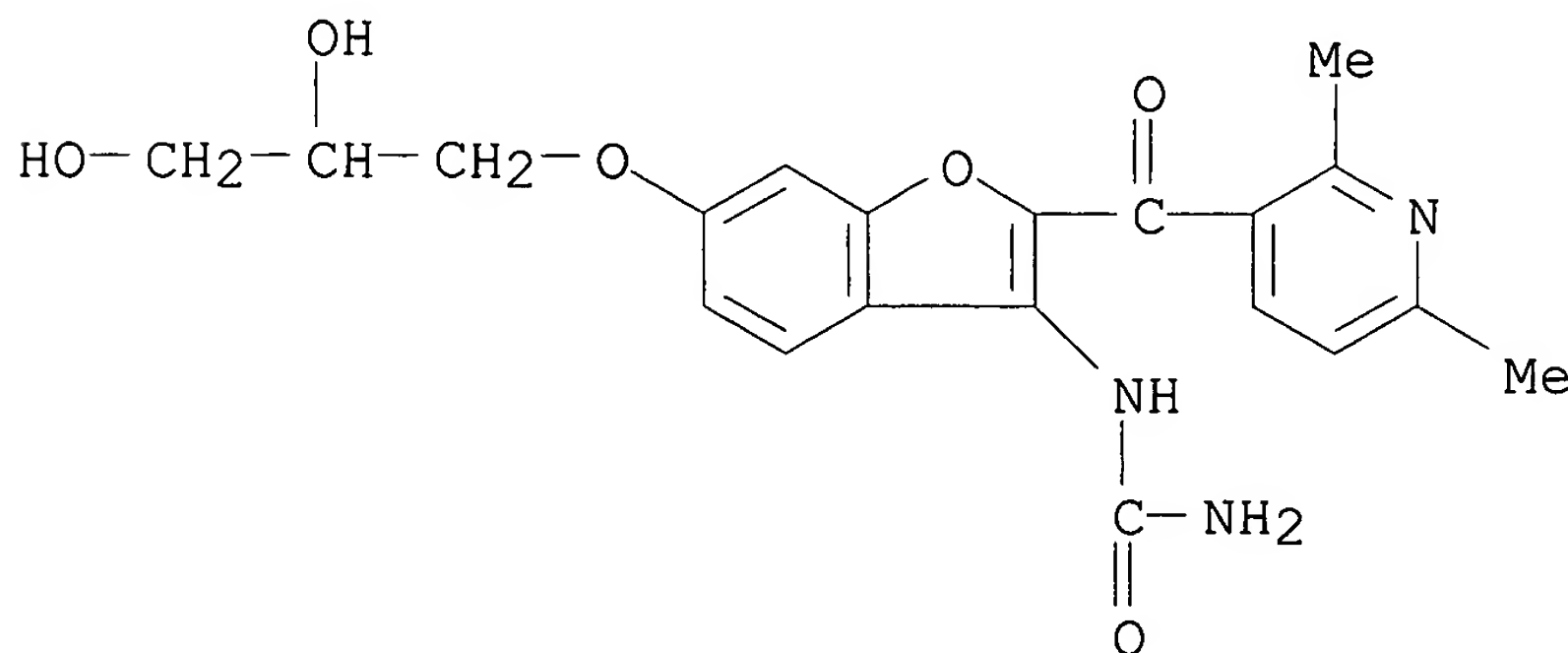
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of oligohydroxyl substituted benzofuranylurea  
derivs. as phosphodiesterase IV inhibitors)

RN 308340-26-3 CAPLUS

CN Urea,

[6-(2,3-dihydroxypropoxy)-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-3-  
benzofuranyl]- (9CI) (CA INDEX NAME)

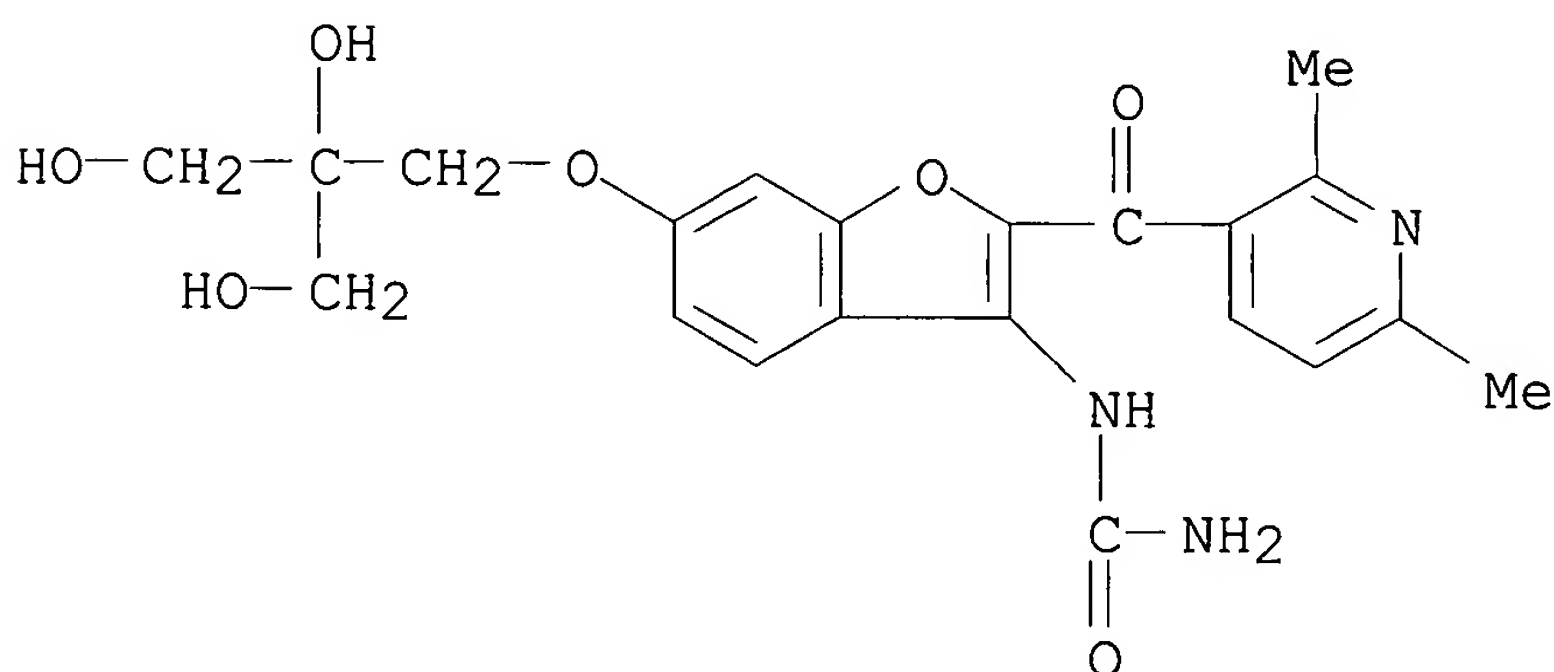


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RN 308340-27-4 CAPLUS

CN Urea,

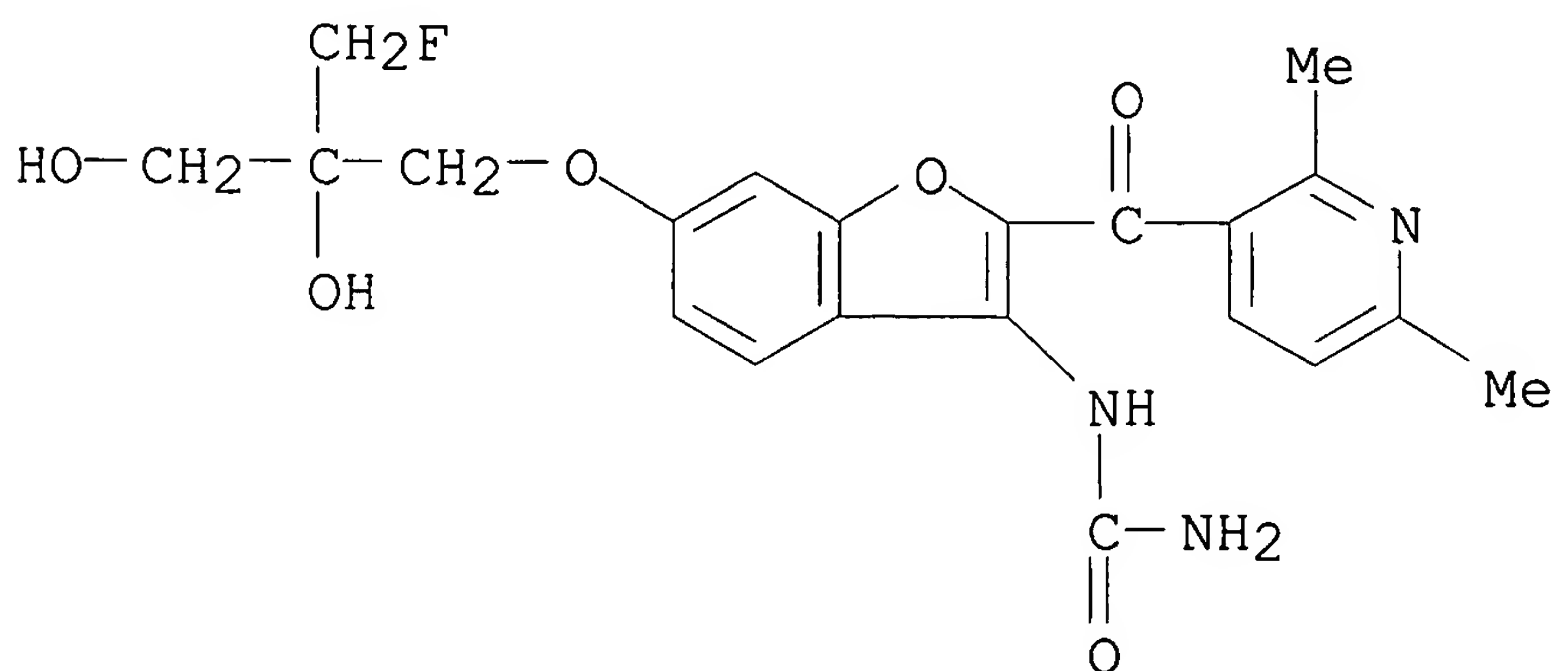
[6-[2,3-dihydroxy-2-(hydroxymethyl)propoxy]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-3-benzofuranyl]- (9CI) (CA INDEX NAME)



RN 308340-38-7 CAPLUS

CN Urea,

[2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-[3-fluoro-2-hydroxy-2-(hydroxymethyl)propoxy]-3-benzofuranyl]- (9CI) (CA INDEX NAME)

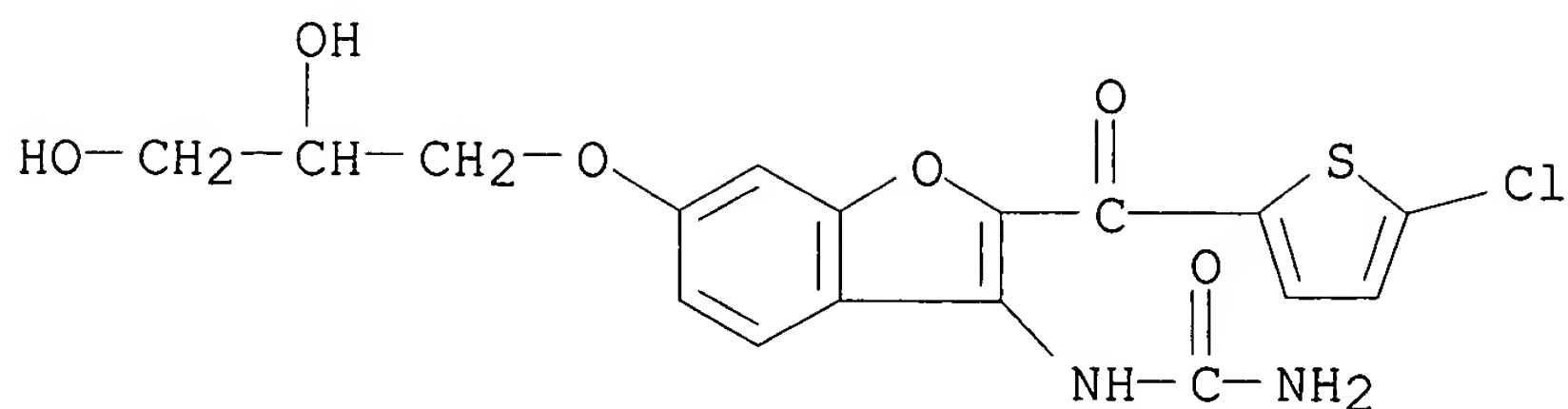


RN 308340-48-9 CAPLUS

CN Urea,

[2-[(5-chloro-2-thienyl)carbonyl]-6-(2,3-dihydroxypropoxy)-3-benzofuranyl]- (9CI) (CA INDEX NAME)

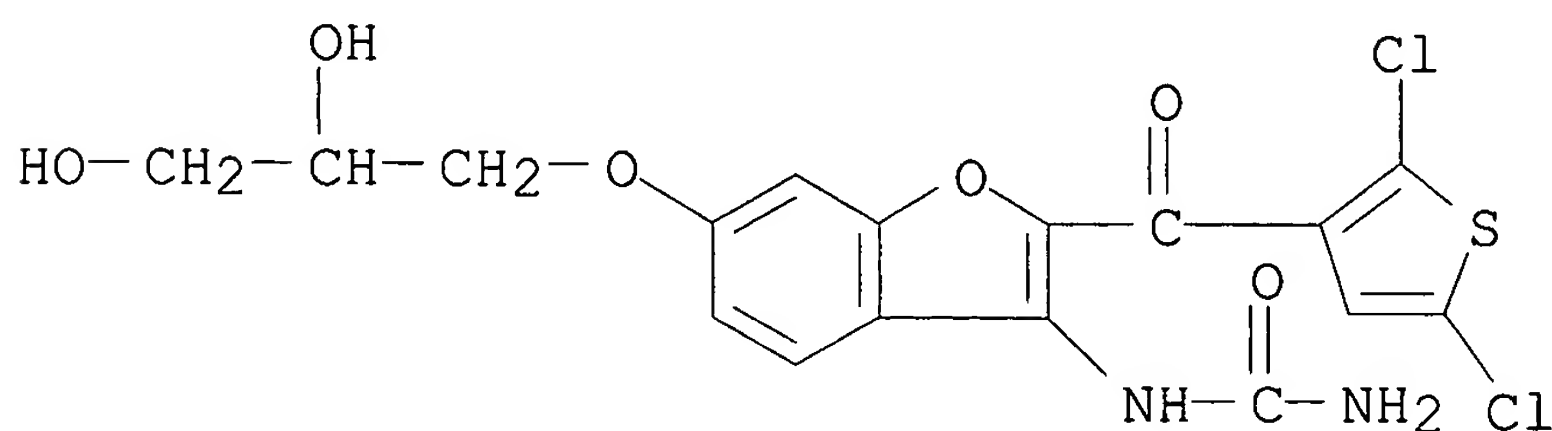
10/501,689



RN 308340-49-0 CAPLUS

CN Urea,

[2-[(2,5-dichloro-3-thienyl)carbonyl]-6-(2,3-dihydroxypropoxy)-3-benzofuranyl]- (9CI) (CA INDEX NAME)



IT 308340-80-9P 308340-88-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT  
(Reactant or reagent)

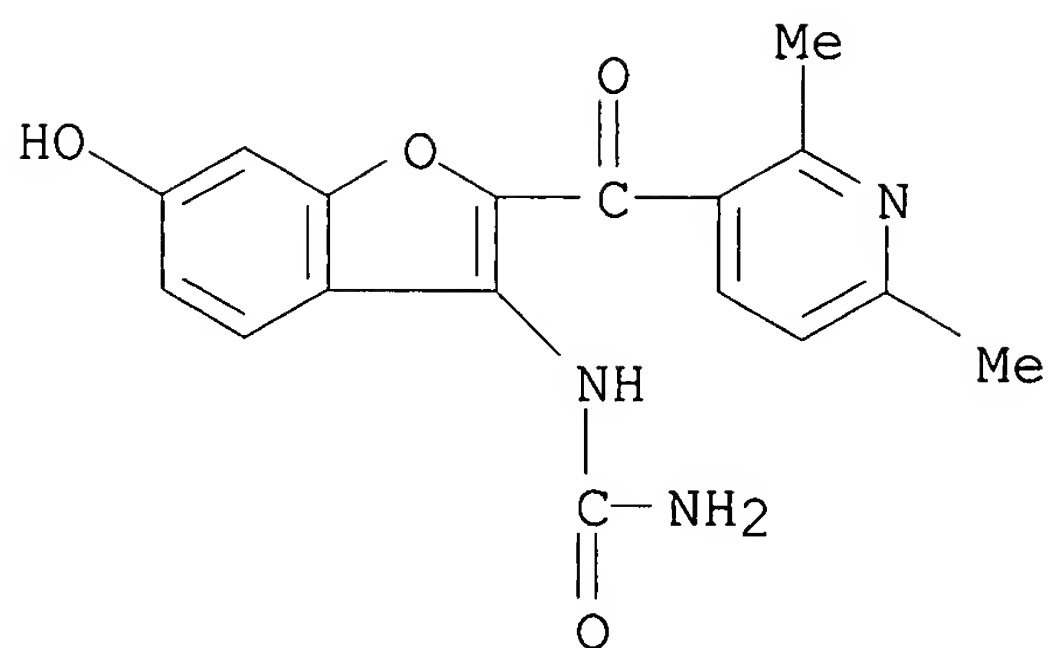
(preparation of oligohydroxyl substituted benzofuranylurea  
derivs. as  
phosphodiesterase IV inhibitors)

RN 308340-80-9 CAPLUS

CN Urea,

[2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-hydroxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)

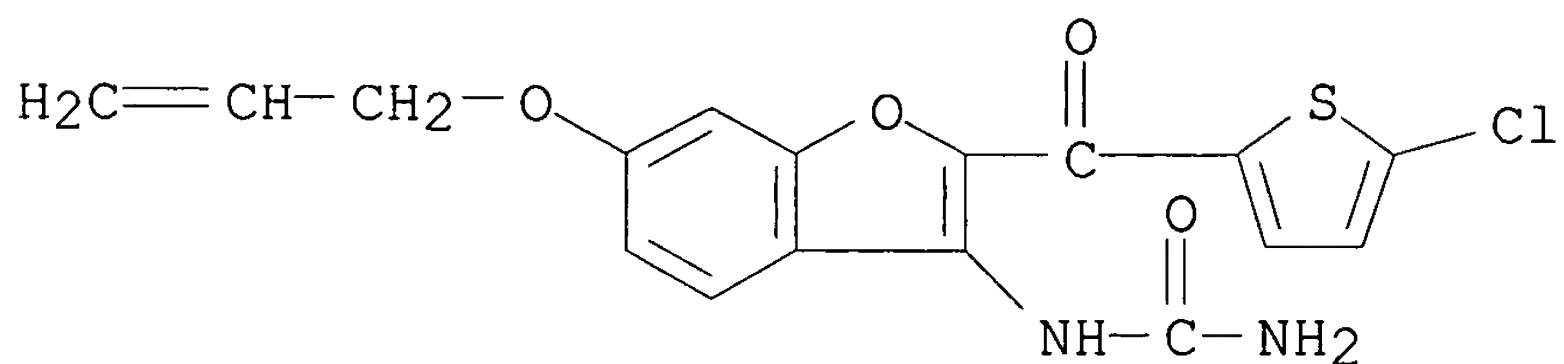
10/501,689



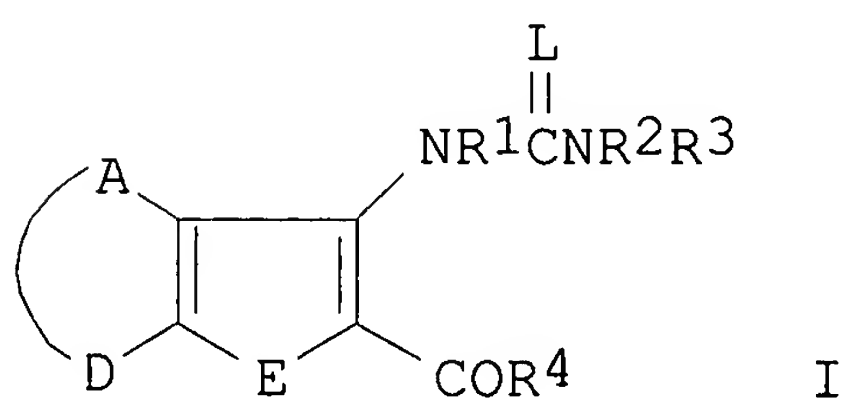
RN 308340-88-7 CAPLUS

CN Urea,

[2-[(5-chloro-2-thienyl)carbonyl]-6-(2-propenyloxy)-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)



GI



AB The title compds. I [A and D including the double bond connecting them together form a phenyl-, pyridyl-, pyrimidyl, pyridazinyl-, pyrazinyl- or thienyl-ring, which is substituted by a group of OR<sub>5</sub>; R<sub>5</sub> = straight-chain or branched alkyl having 1 to 15 carbon atoms, which is substituted difold

10/501,689

to fivefold by hydroxyl or di-fold to fivefold by straight-chain or

branched alkoxy having 1 to 6 carbon atoms and wherein alkyl is optionally

substituted by 20 straight-chain or branched alkoxycarbonyl having 1 to 6

carbon atoms, halo, carboxyl, (C3-C8)-cycloalkyl or by Ph, which is

optionally substituted monofold to fivefold by nitro, halo or Ph; E =

oxygen or sulfur; R2, R3 = H, cycloalkyl, alkyl, etc. or R2NR3 = heterocycle; R4 = aryl, heterocycle] were prepared and their use in

medicaments for the treatment of inflammatory processes is reported.

E.g., 2-(2,4-dichlorobenzoyl)-6-(2,3-dihydroxy-1-propyloxy)-3-ureidobenzofuran was prepared

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:824241 CAPLUS

DOCUMENT NUMBER: 134:4852

TITLE: Preparation of benzofuranylsulfonates as antiinflammatory agents

INVENTOR(S): Braunlich, Gabriele; Es-Sayed, Mazen; Fischer,

Rudiger; Fugmann, Burkhard; Henning, Rolf; Schneider,

Stephan; Sperzel, Michael; Schlemmer,

Karl-Heinz; Sturton, Graham; Fitzgerald, Mary; Briggs,

Barbara; Concepcion, Arnel; Bullock, William

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			
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10/501,689

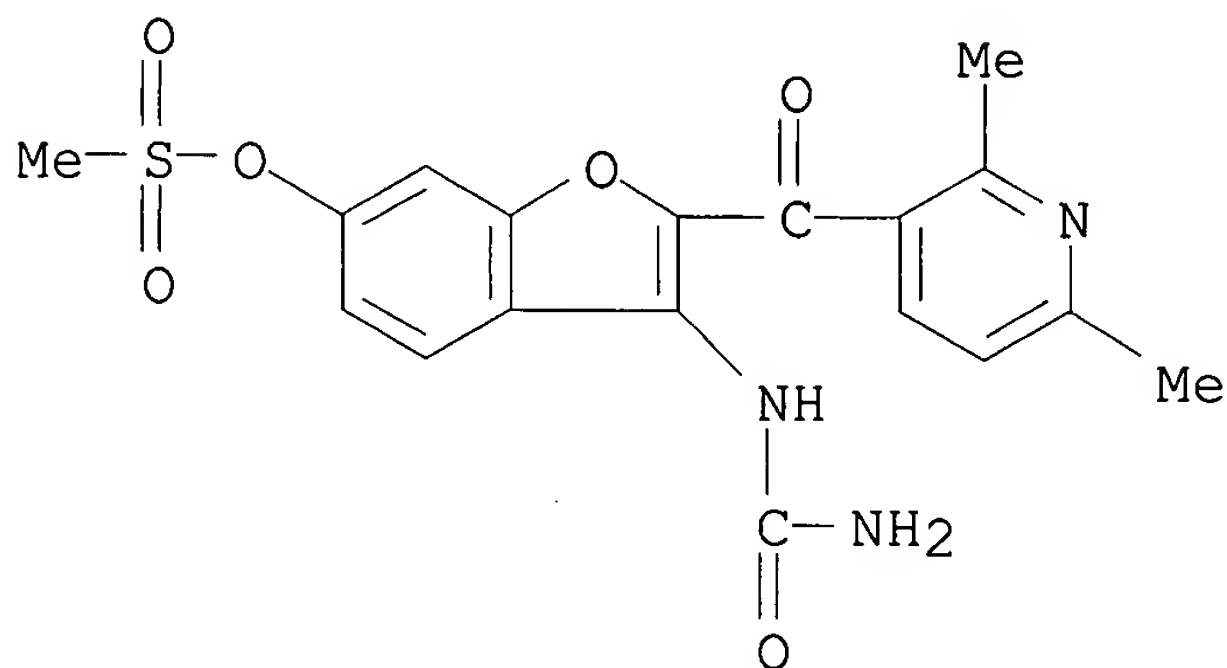
WO 2000069842 A1 20001123 WO 2000-EP4010  
20000504  
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HR, HU,  
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
LT, LU,  
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,  
SD, SE,  
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
YU, ZA,  
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,  
CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,  
BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
GB 2350109 A1 20001122 GB 1999-11452  
19990517  
CA 2373666 AA 20001123 CA 2000-2373666  
20000504  
EP 1187821 A1 20020320 EP 2000-931116  
20000504  
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MC, PT,  
IE, SI, LT, LV, FI, RO  
JP 2002544270 T2 20021224 JP 2000-618259  
20000504  
US 6610687 B1 20030826 US 2002-979371  
20020225  
PRIORITY APPLN. INFO.: GB 1999-11452 A  
19990517  
WO 2000-EP4010 W  
20000504  
OTHER SOURCE(S): MARPAT 134:4852  
IT 308285-79-2P 308285-80-5P 308285-81-6P  
308285-82-7P 308285-83-8P 308285-84-9P  
308285-85-0P 308285-86-1P 308285-87-2P  
308285-88-3P 308285-89-4P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzofuranylsulfonates as antiinflammatory  
agents)

10/501,689

RN 308285-79-2 CAPLUS

CN Urea,

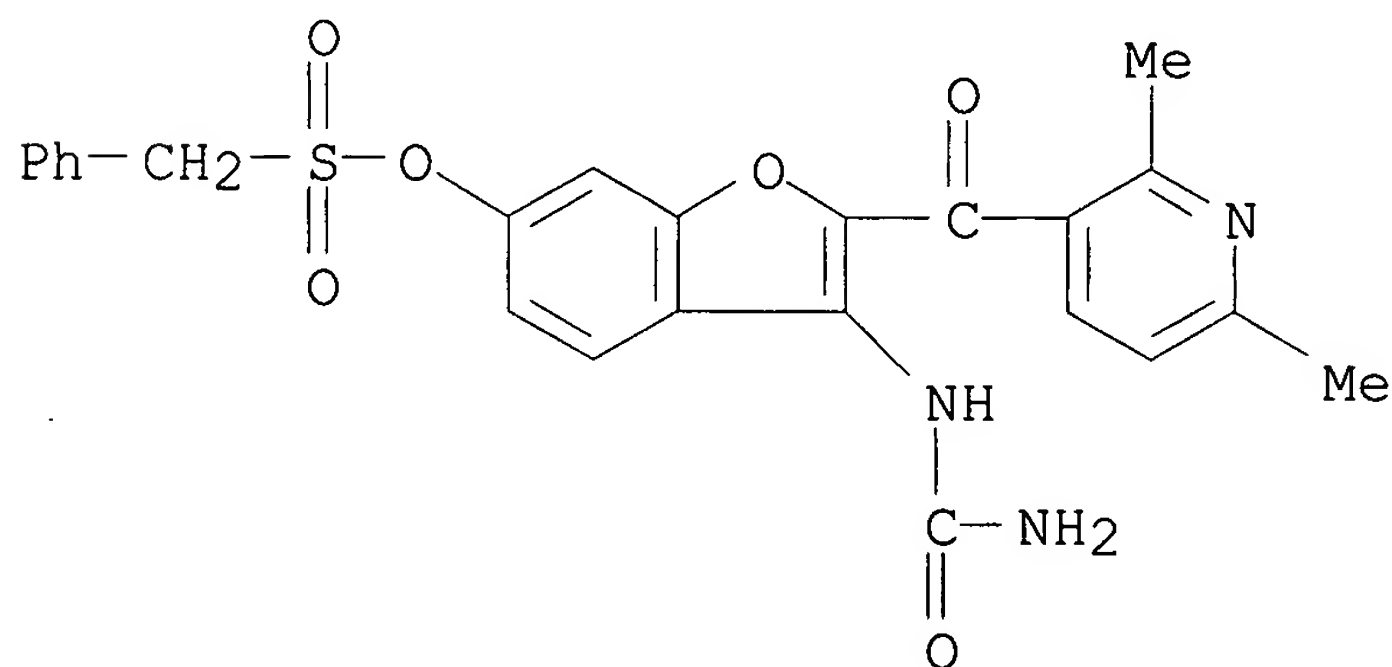
[2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-[(methylsulfonyl)oxy]-3-benzofuranyl]- (9CI) (CA INDEX NAME)



RN 308285-80-5 CAPLUS

CN Benzenemethanesulfonic acid,

3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester (9CI) (CA INDEX NAME)



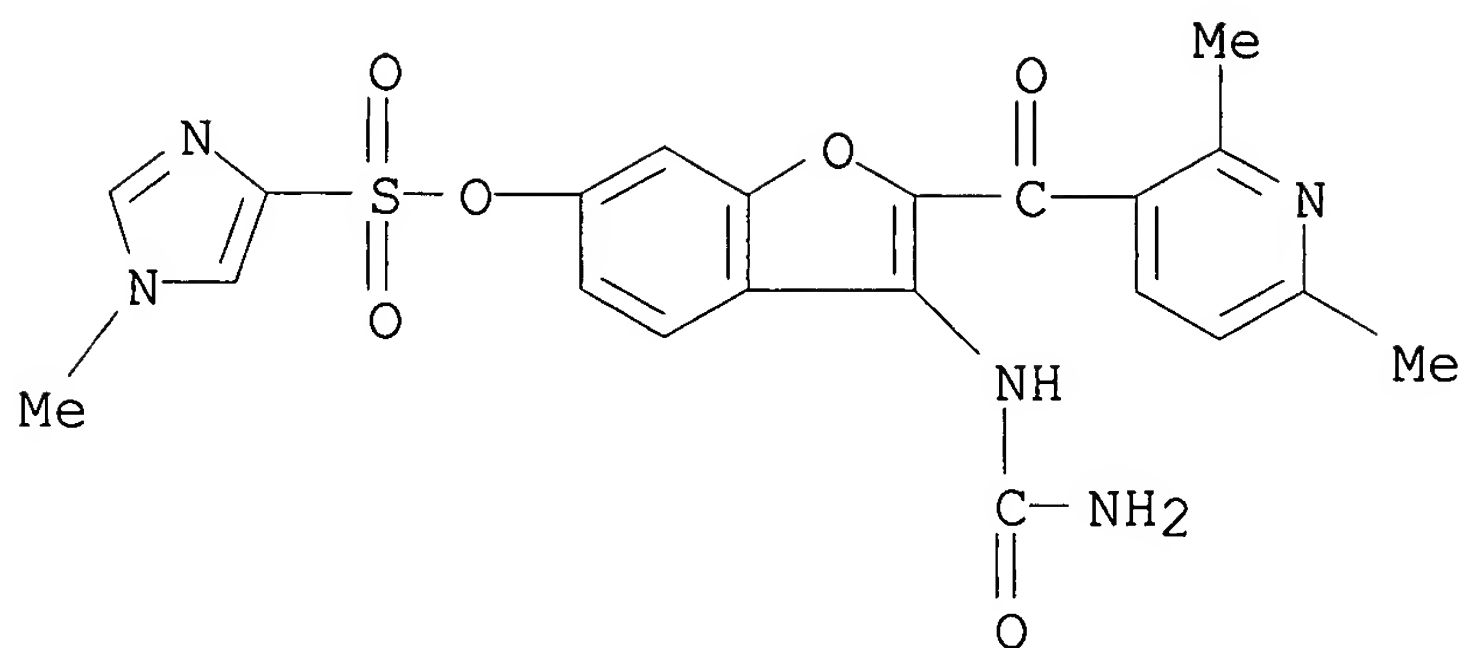
RN 308285-81-6 CAPLUS

CN 1H-Imidazole-4-sulfonic acid, 1-methyl-,

3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester (9CI) (CA INDEX NAME)



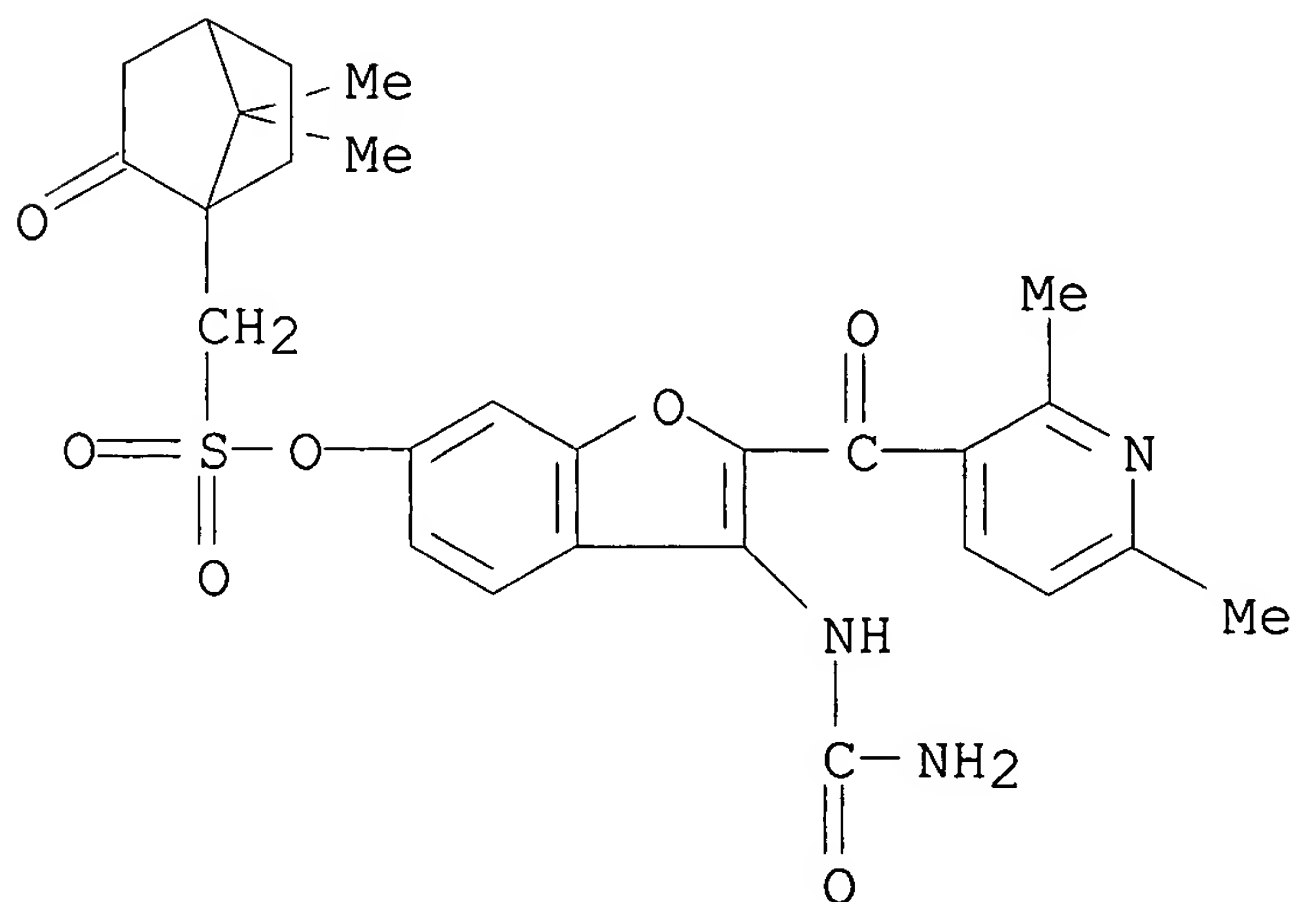
10/501,689



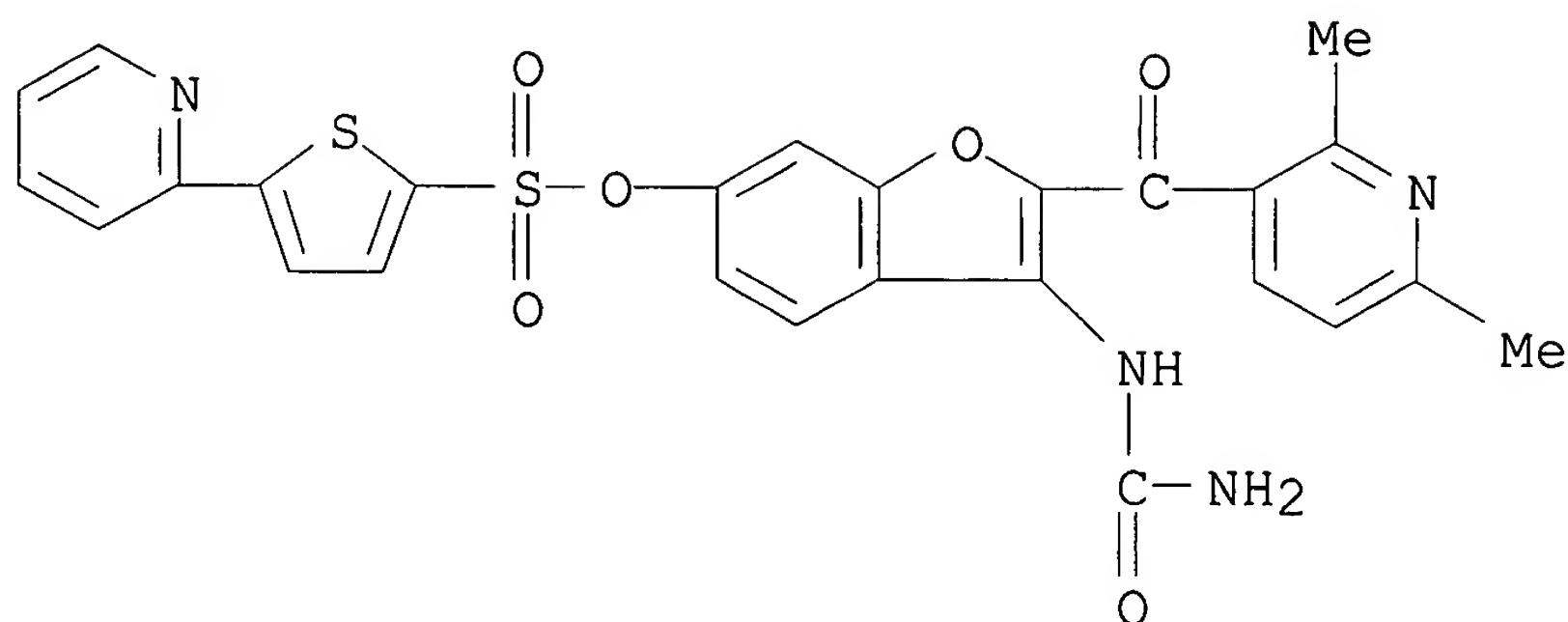
RN 308285-82-7 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid,  
7,7-dimethyl-2-oxo-,

3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-  
benzofuranyl ester (9CI) (CA INDEX NAME)



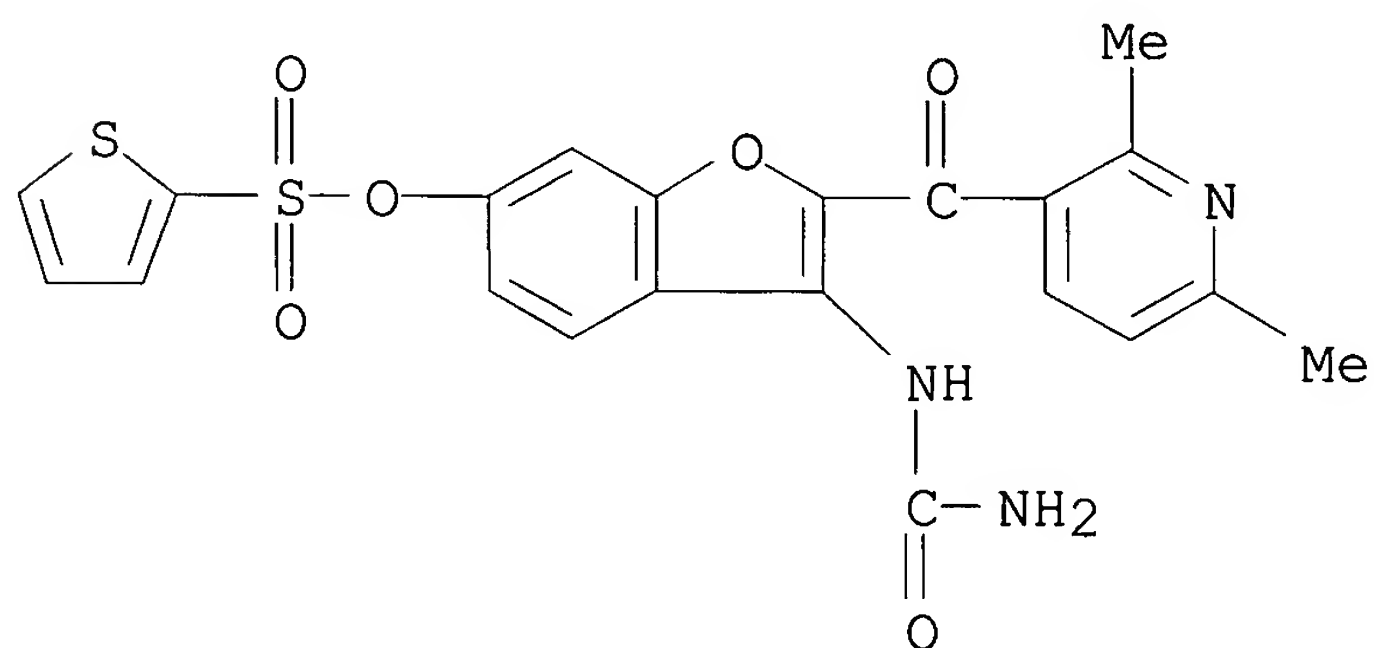
10/501,689



RN 308285-84-9 CAPLUS

CN 2-Thiophenesulfonic acid,

3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester (9CI) (CA INDEX NAME)



RN 308285-85-0 CAPLUS

CN 2-Naphthalenesulfonic acid, 5,6,7,8-tetrahydro-,

3-[(aminocarbonyl)amino]-

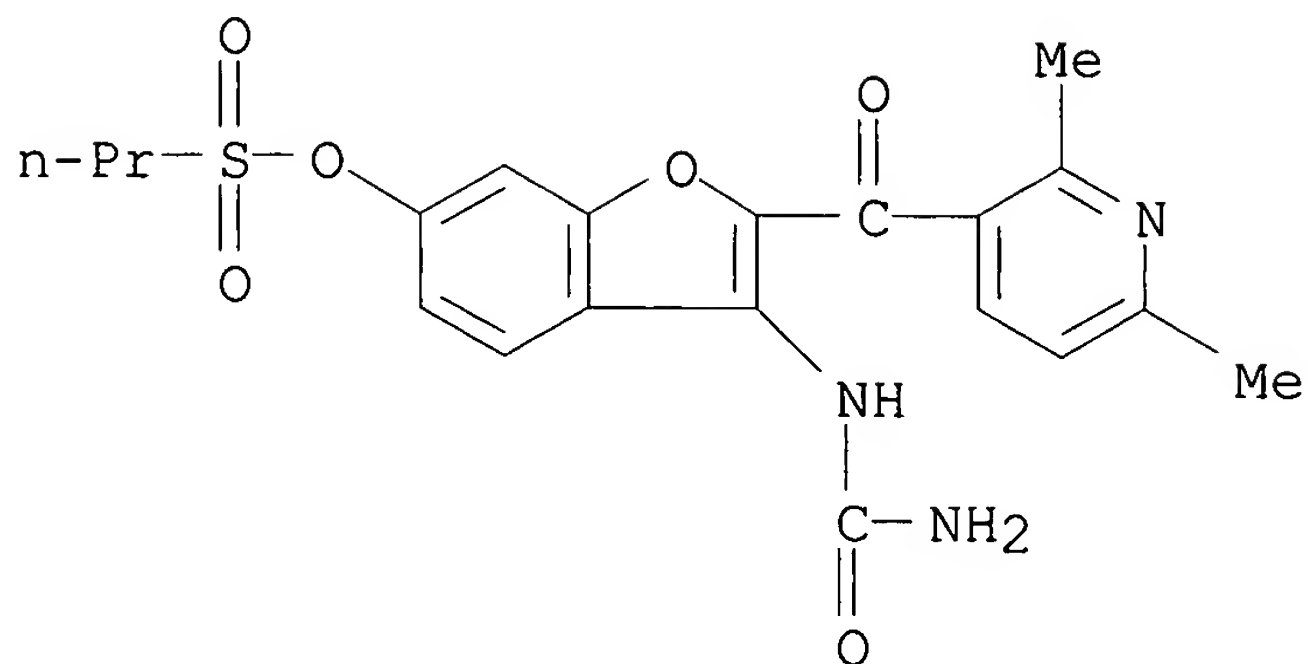
2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester

(9CI) (CA INDEX NAME)

CC1=CC=C(C(=O)N2C(=C3C(=C1)C=CC=C3OC2=CC=C3)C(=O)N3C(=O)C(=O)N3)C=C(C)N1CC(C)S(=O)(=O)Oc1ccc2c(c1)oc(cc2)C(=O)c3cc(C)c(N)cc3NC(=O)N

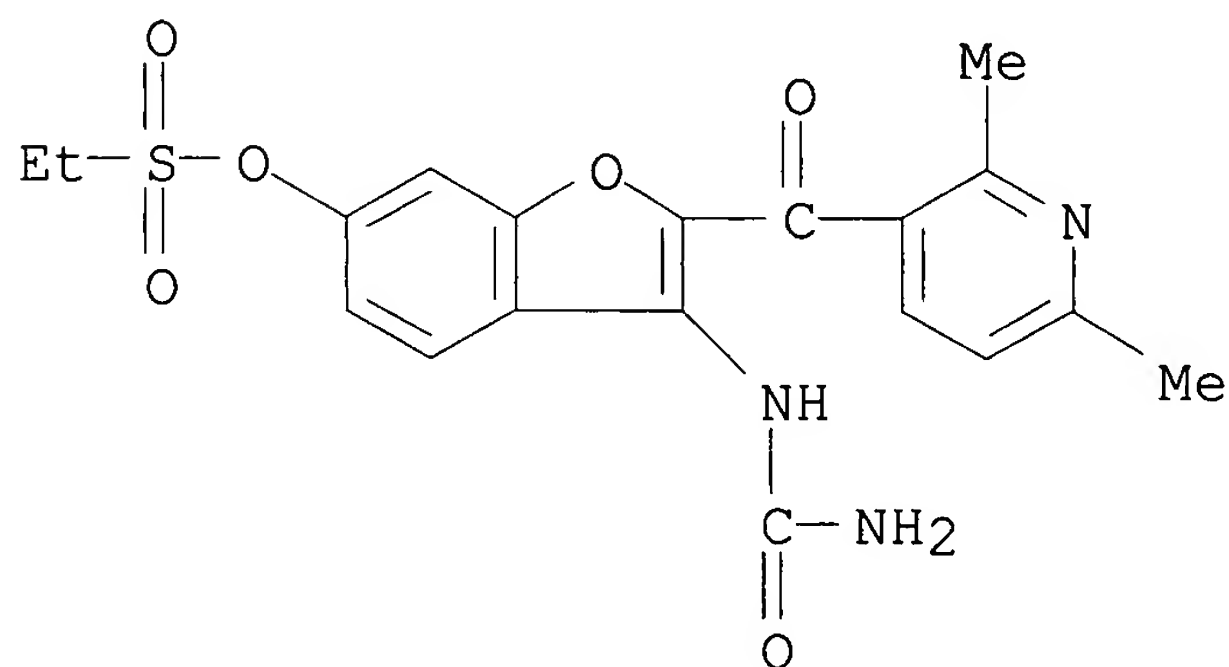
Page 35

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RN 308285-88-3 CAPLUS

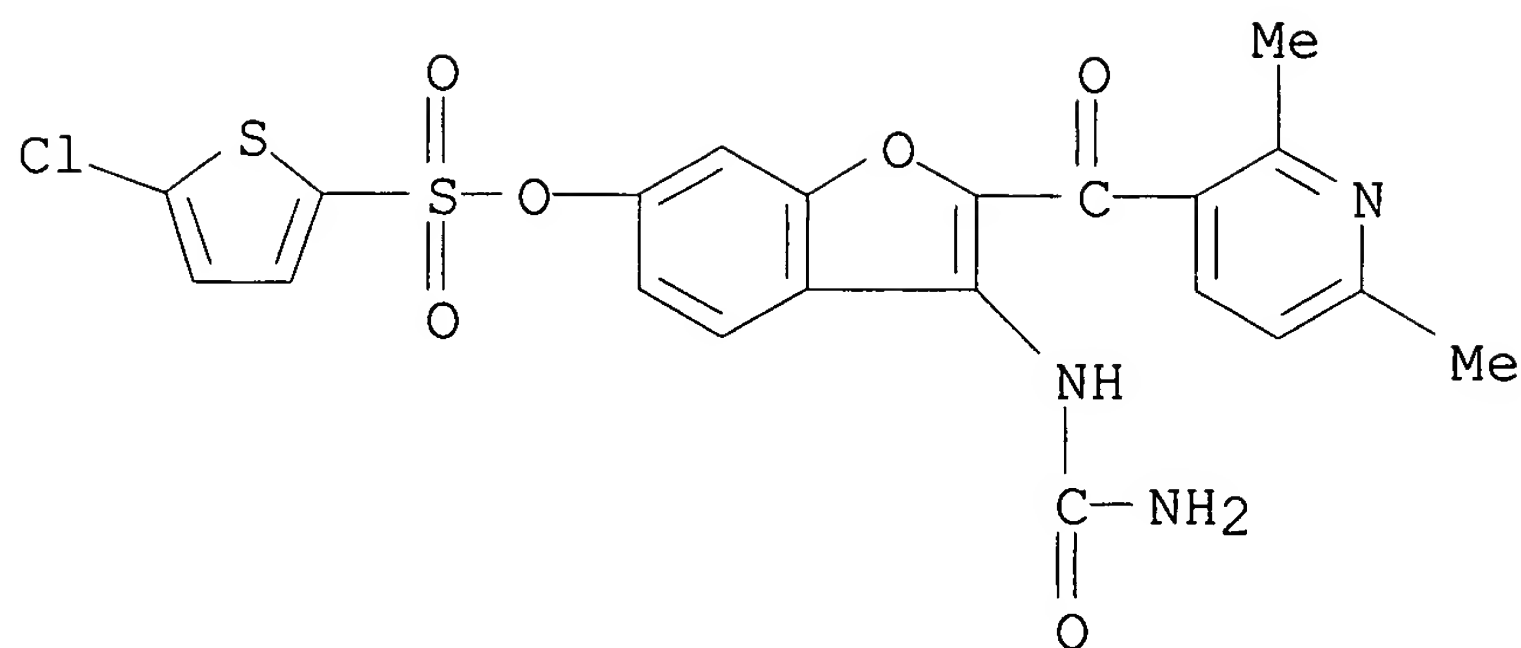
CN Ethanesulfonic acid, 3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester (9CI) (CA INDEX NAME)



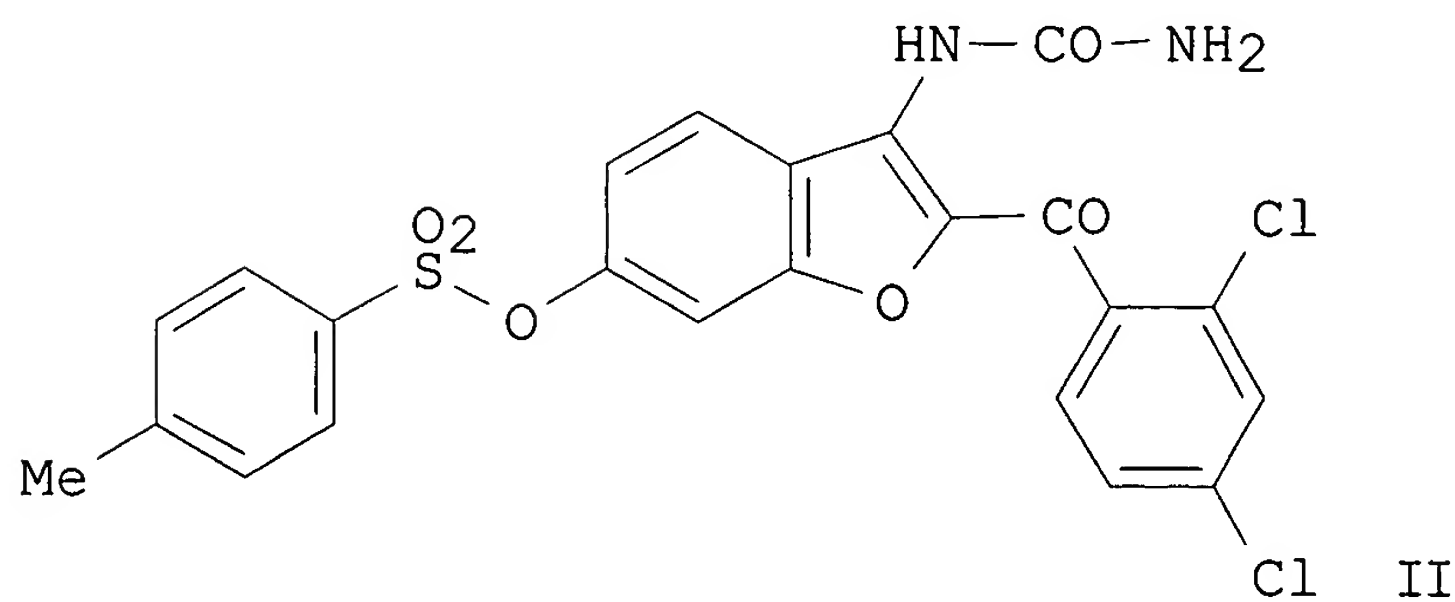
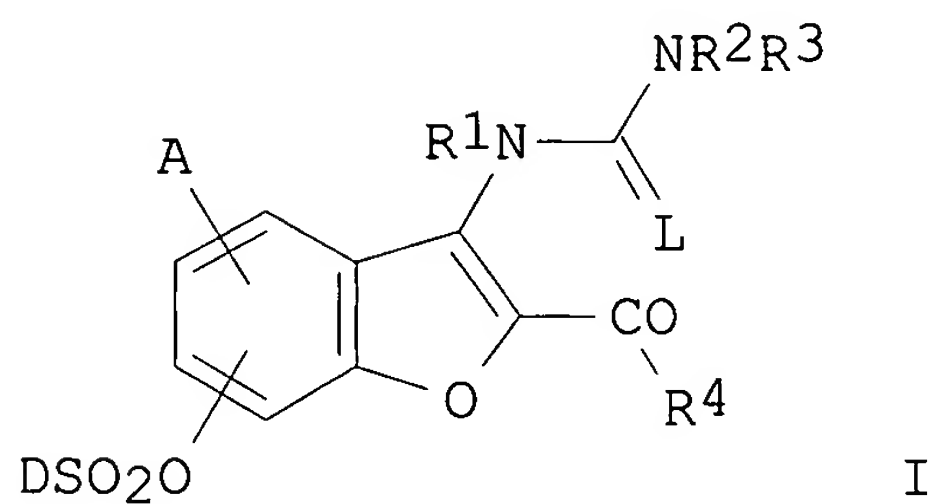
RN 308285-89-4 CAPLUS

CN 2-Thiophenesulfonic acid, 5-chloro-,  
3-[(aminocarbonyl)amino]-2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-benzofuranyl ester (9CI) (CA INDEX NAME)

10/501,689



GI



AB The title compds. [I; A = H, acyl, alkoxycarbonyl, etc.; R1 = H, alkyl, protecting group, etc.; R2, R3 = H, cycloalkyl, alkyl, etc.; NR2R3 = 5-7 membered saturated heterocycle optionally having a further O atom; R4 = aryl,

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heterocyclyl; L = O, S; D = 1,2,3,4-tetrahydronaphthalen-6-yl, quinolin-8-yl, aryl, etc.] which inhibit the production of superoxide by

polymorphonuclear leukocytes (PMN), and also inhibit TNF $\alpha$  release

and potentiate IL-10 production in human monocytes, (biol.data such as IC50

against O<sub>2</sub>- formation and against PDE IV were given), were prepared E.g., a

general procedure for preparation of benzofuranylsulfonates I such as II was presented.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:617912 CAPLUS

DOCUMENT NUMBER: 133:296336

TITLE: Synthesis and analgesic activity of some substituted

1-benzofurans and 1-benzothiophenes  
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Konvicka, Petr; Krejci, Ivan

CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry,

Prague, 130 60/3, Czech Rep.  
SOURCE: Collection of Czechoslovak Chemical Communications

(2000), 65(7), 1093-1108  
CODEN: CCCCAK; ISSN: 0010-0765  
PUBLISHER: Institute of Organic Chemistry and Biochemistry,

Academy of Sciences of the Czech Republic  
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:296336

IT 301538-67-0P 301538-69-2P 301538-70-5P

301538-71-6P 301538-72-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

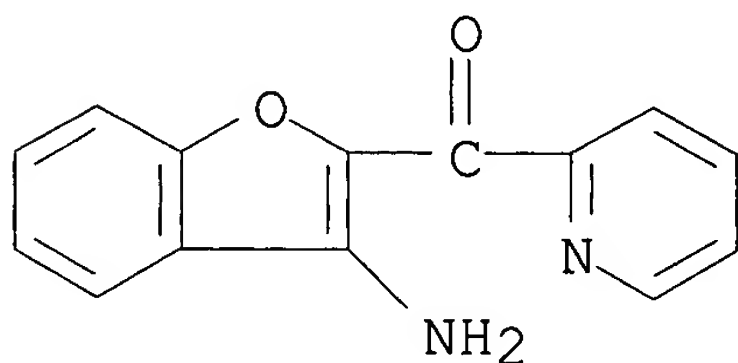
(preparation, acid-base equilibrium consts., and analgesic activity of

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substituted aminobenzofurans and -benzothiophenes)

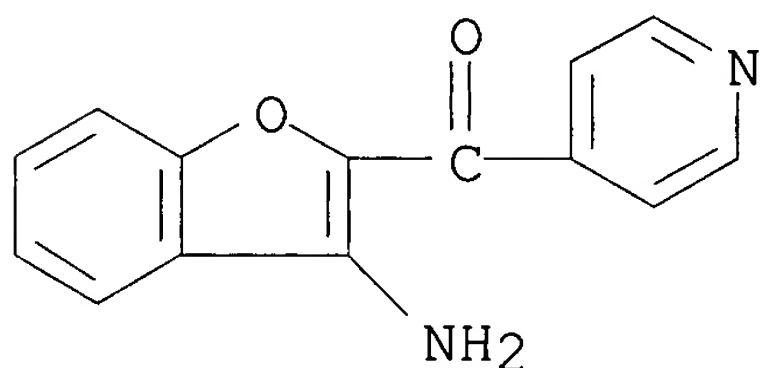
RN 301538-67-0 CAPLUS

CN Methanone, (3-amino-2-benzofuranyl)-2-pyridinyl- (9CI) (CA  
INDEX NAME)



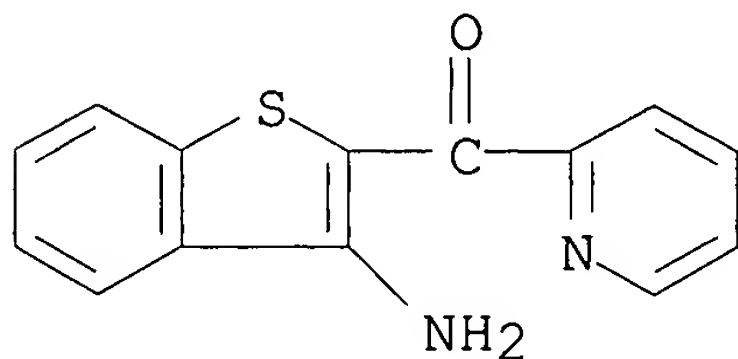
RN 301538-69-2 CAPLUS

CN Methanone, (3-amino-2-benzofuranyl)-4-pyridinyl- (9CI) (CA  
INDEX NAME)



RN 301538-70-5 CAPLUS

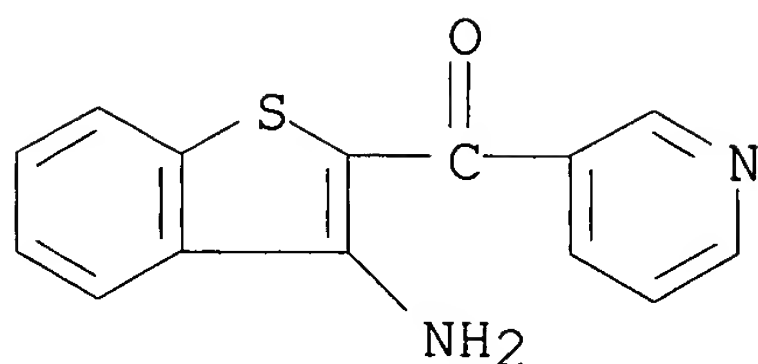
CN Methanone, (3-aminobenzo[b]thien-2-yl)-2-pyridinyl- (9CI) (CA  
INDEX NAME)



RN 301538-71-6 CAPLUS

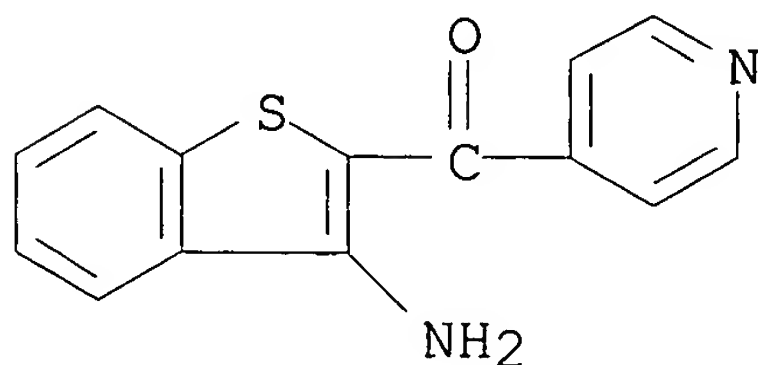
CN Methanone, (3-aminobenzo[b]thien-2-yl)-3-pyridinyl- (9CI) (CA  
INDEX NAME)

10/501,689



RN 301538-72-7 CAPLUS

CN Methanone, (3-aminobenzo[b]thien-2-yl)-4-pyridinyl- (9CI) (CA INDEX NAME)



IT 301538-68-1P

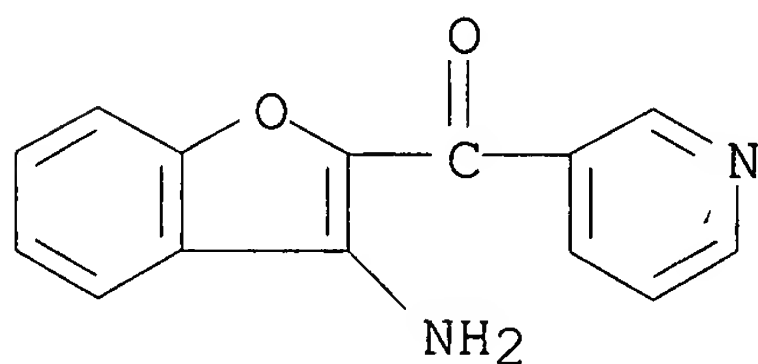
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation, acid-base equilibrium consts., and analgesic activity of

substituted aminobenzofurans and -benzothiophenes)

RN 301538-68-1 CAPLUS

CN Methanone, (3-amino-2-benzofuranyl)-3-pyridinyl- (9CI) (CA INDEX NAME)



AB 2-Benzoyl- and 2-(pyridylcarbonyl)benzofuran-3-amines were prepared from

2-hydroxybenzonitrile and corresponding bromoethanones.

2-Benzoyl- and

2-(pyridylcarbonyl)benzothiophene-3-amines were prepared analogously from



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2-mercaptobenzonitrile. 2-Benzoylbenzofuran-3-amine treated with acetic

anhydride or Et chloroformate provided the corresponding N-acetyl or

N-ethoxycarbonyl derivs. These N-activated compds. were alkylated with Et

bromoacetate to provide Et

N-acetyl-N-(2-benzoylbenzofuran-3-yl)glycinate

and Et N-(2-benzoylbenzofuran-3-yl)-N-ethoxycarbonylglycinate, resp.

Their mild hydrolysis gave the corresponding glycine derivs.

Methylation

of Et N-(2-benzoylbenzofuran-3-yl)carbamate gave the corresponding N-Me

carbamate, which was hydrolyzed to

N-methyl-(2-benzoylbenzofuran-3-

yl)amine. 2-Benzoyl-7-methoxybenzofuran-3-amine and 2-(4-methoxybenzoyl)benzofuran-3-amine were demethylated with boron tribromide

to the corresponding hydroxy derivs.; their O-alkylation with Et bromoacetate gave Et

[(3-amino-2-benzoylbenzofuran-7-yl)oxy]acetate and Et

{4-[(3-aminobenzofuran-2-yl)carbonyl]phenoxy}acetate, resp. The mild

hydrolysis of these esters provided corresponding acids.

Similarly,

alkylation of the hydroxy derivs. with (dimethylamino)propyl chloride gave

corresponding (dimethylamino)propoxy derivs.

2-Hydroxybenzonitrile

treated with 2-bromopyridyl ethan-1-ones provided corresponding 2-(pyridylcarbonyl)benzofuran-3-amines.

2-(Pyridylcarbonyl)benzothiophene-

3-amines were prepared analogously from 2-mercaptobenzonitrile.

2-Benzoyl-3-(bromomethyl)benzofuran treated with dimethylamine,

1-methylpiperazine, and sodium 1-methylpiperidine-4-thiolate gave the

corresponding alkylation products. Several compds. were found to exhibit

considerable analgesic activity. However, their activity was not so

significant to justify their further development as analgesic agents.

REFERENCE COUNT:

28

THERE ARE 28 CITED REFERENCES

AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

10/501,689

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:510149 CAPLUS

DOCUMENT NUMBER: 127:121637

TITLE: Preparation of  
heterocyclylcarbonyl-substituted

benzofuranylureas as antiinflammatories.

INVENTOR(S): Braeunlich, Gabriele; Es-sayed, Mazen;  
Fischer,

Ruediger; Fugmann, Burkhardt; Henning, Rolf;

Sperzel,

Michael; Nielsch, Ulrich; Sturton, Graham

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. DATE	KIND	DATE	APPLICATION NO.
----- -----	----	-----	-----
EP 779291 19961128	A1	19970618	EP 1996-119046
EP 779291 R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	B1	20011010	
AT 206709 19961128	E	20011015	AT 1996-119046
ES 2161955 19961128	T3	20011216	ES 1996-119046
PT 779291 19961128	T	20020228	PT 1996-119046
US 5922740 19961204	A	19990713	US 1996-760612
CA 2192281 19961206	AA	19970612	CA 1996-2192281
JP 09202785 19961206	A2	19970805	JP 1996-340388
PRIORITY APPLN. INFO.: 19951211			GB 1995-25262 A
OTHER SOURCE(S): IT 192574-42-8P 192574-43-9P 192574-44-0P 192574-45-1P 192574-46-2P 192574-47-3P		MARPAT 127:121637	

10/501,689

192574-48-4P 192574-49-5P 192574-50-8P  
192574-51-9P 192574-52-0P 192574-53-1P  
192574-54-2P 192574-55-3P 192574-56-4P  
192574-57-5P 192574-58-6P 192574-59-7P  
192574-60-0P 192574-61-1P 192574-63-3P  
192574-64-4P 192574-65-5P 192574-66-6P  
192574-67-7P 192574-68-8P 192574-69-9P  
192574-70-2P 192574-71-3P 192574-72-4P  
192574-73-5P 192574-74-6P 192574-75-7P  
192574-76-8P 192574-77-9P 192574-78-0P  
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192574-82-6P 192574-83-7P

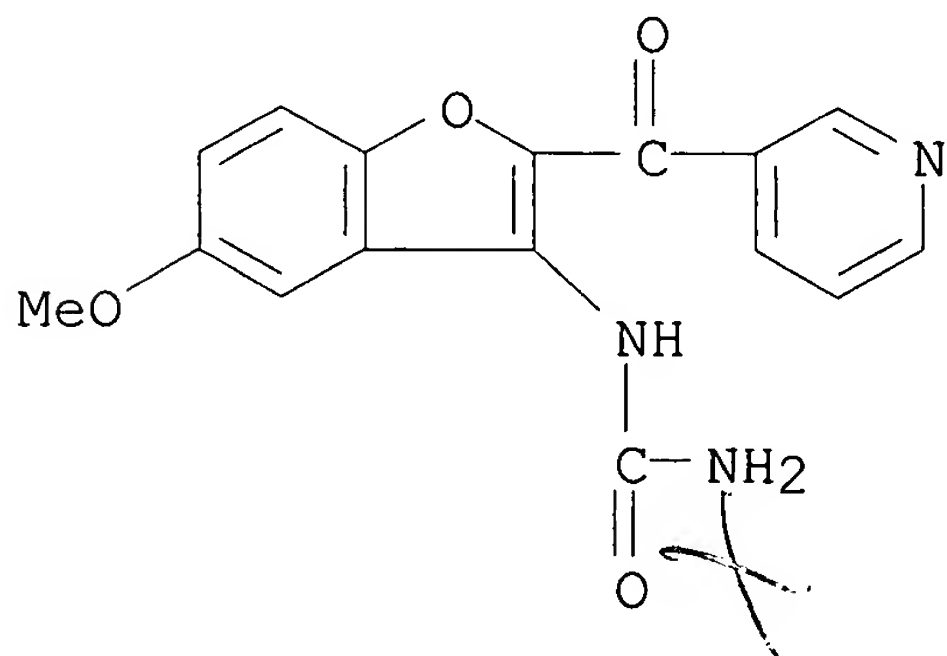
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological

study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclylcarbonyl-substituted  
benzofuranylureas as  
antiinflammatories)

RN 192574-42-8 CAPLUS

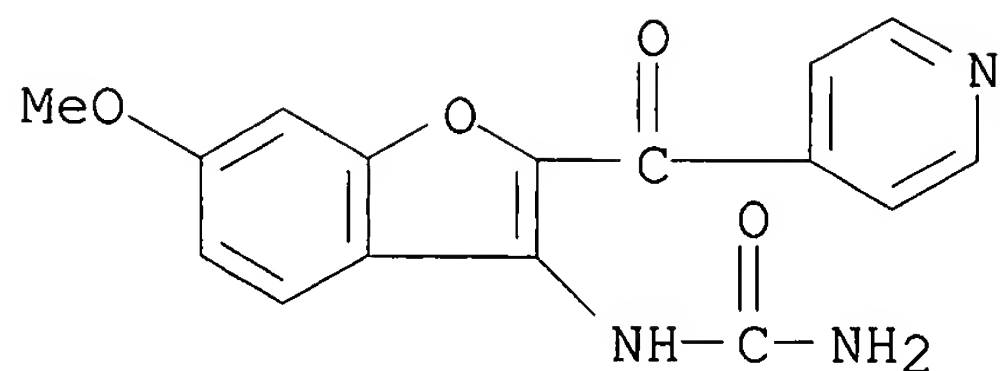
CN Urea, [5-methoxy-2-(3-pyridinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)



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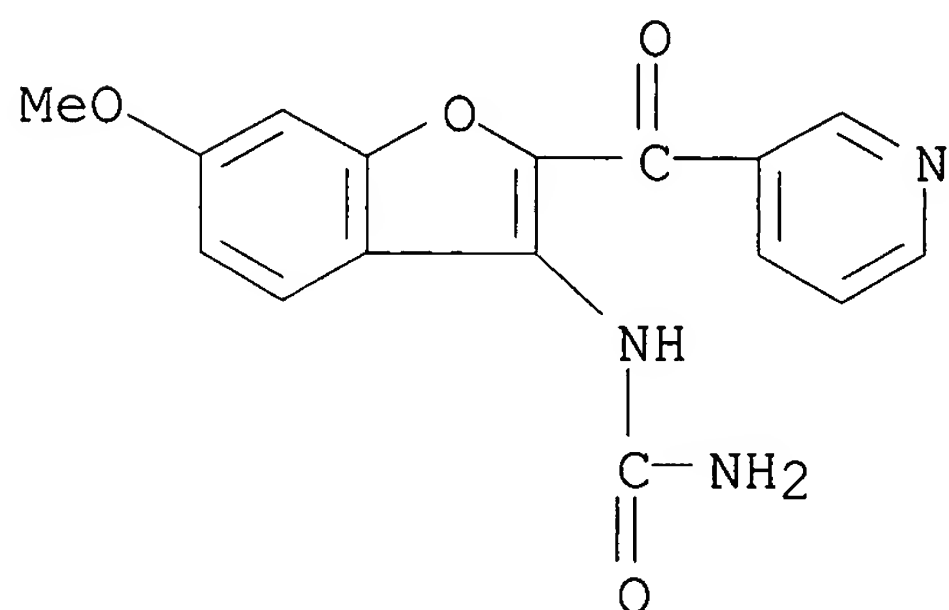
CN Urea, [6-methoxy-2-(4-pyridinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)

10/501,689



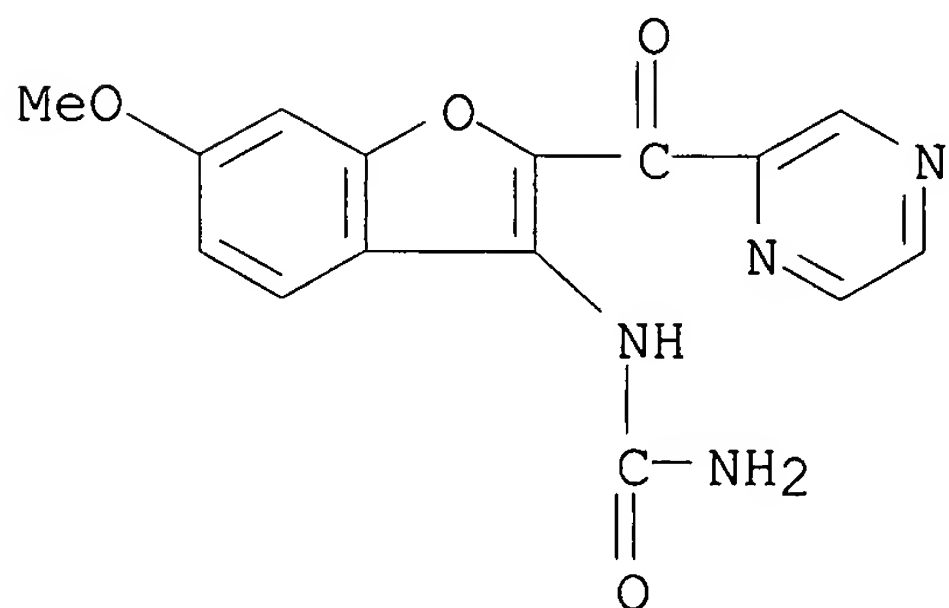
RN 192574-44-0 CAPLUS

CN Urea, [6-methoxy-2-(3-pyridinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)



RN 192574-45-1 CAPLUS

CN Urea, [6-methoxy-2-(pyrazinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)

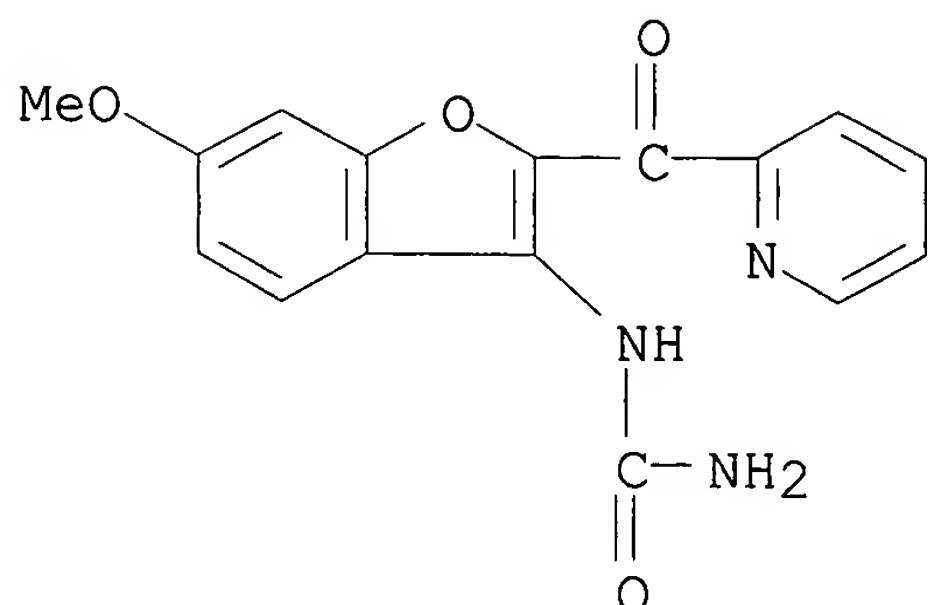


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CN Urea, [6-methoxy-2-(2-pyridinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX

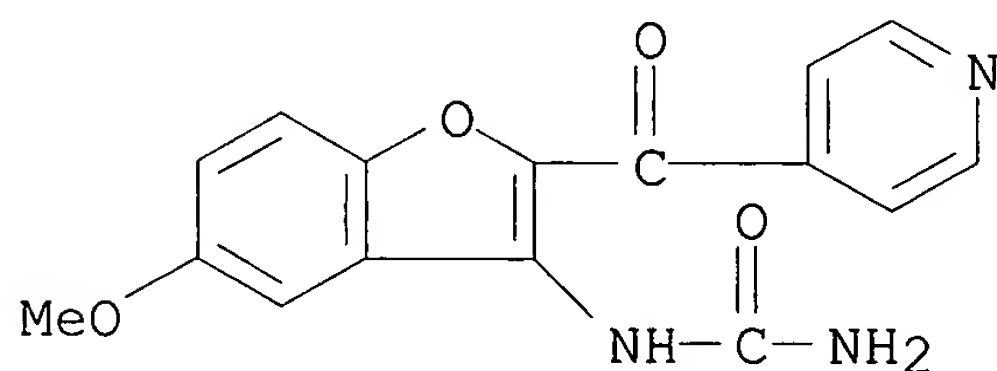
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NAME)



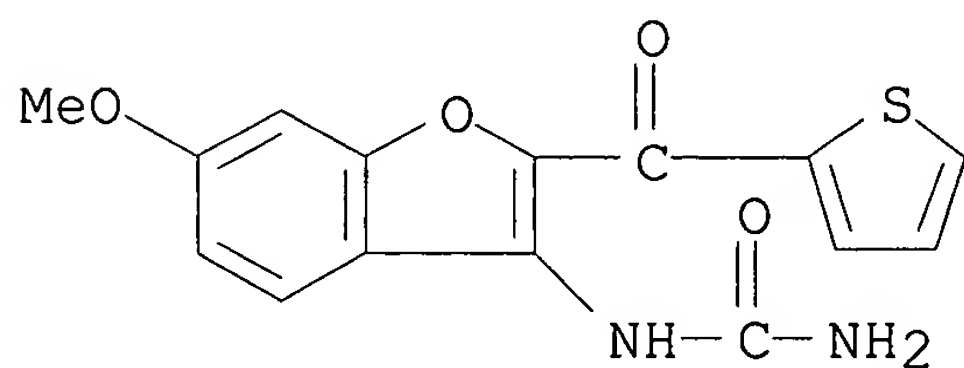
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(CA INDEX  
NAME)



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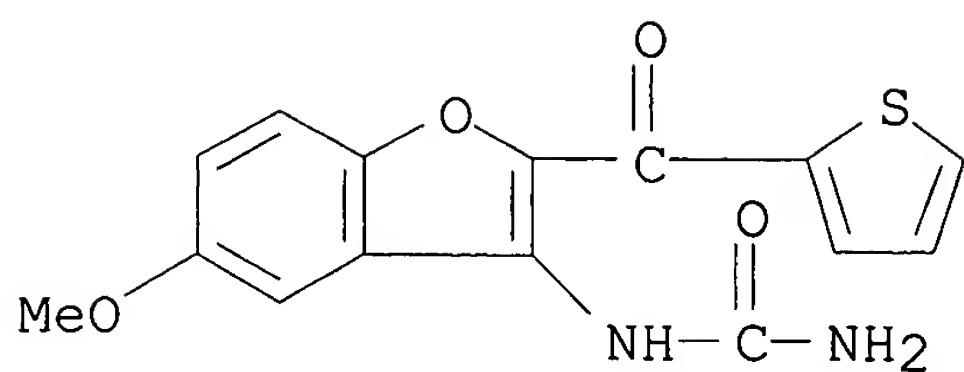
CN Urea, [6-methoxy-2-(2-thienylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)



RN 192574-49-5 CAPLUS

CN Urea, [5-methoxy-2-(2-thienylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)

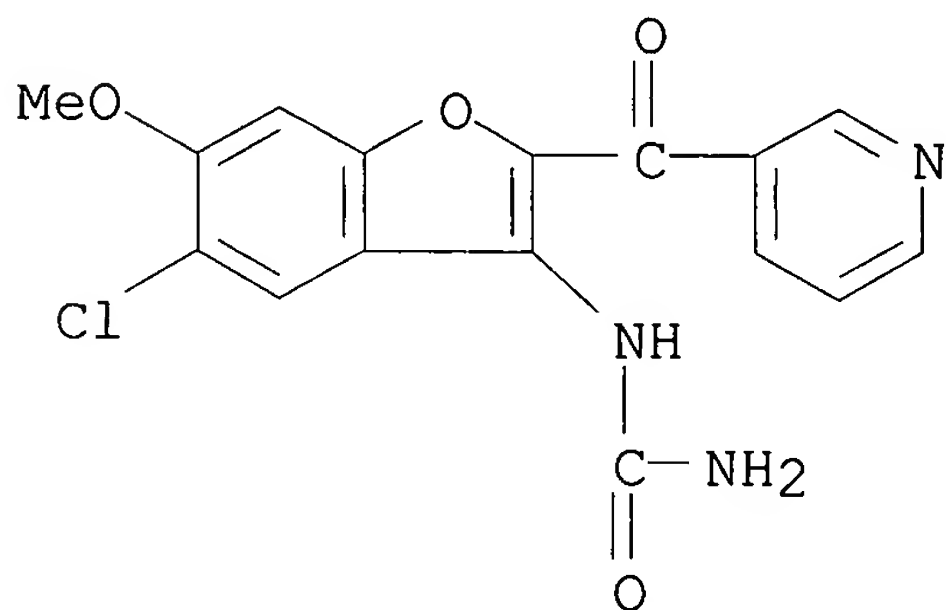
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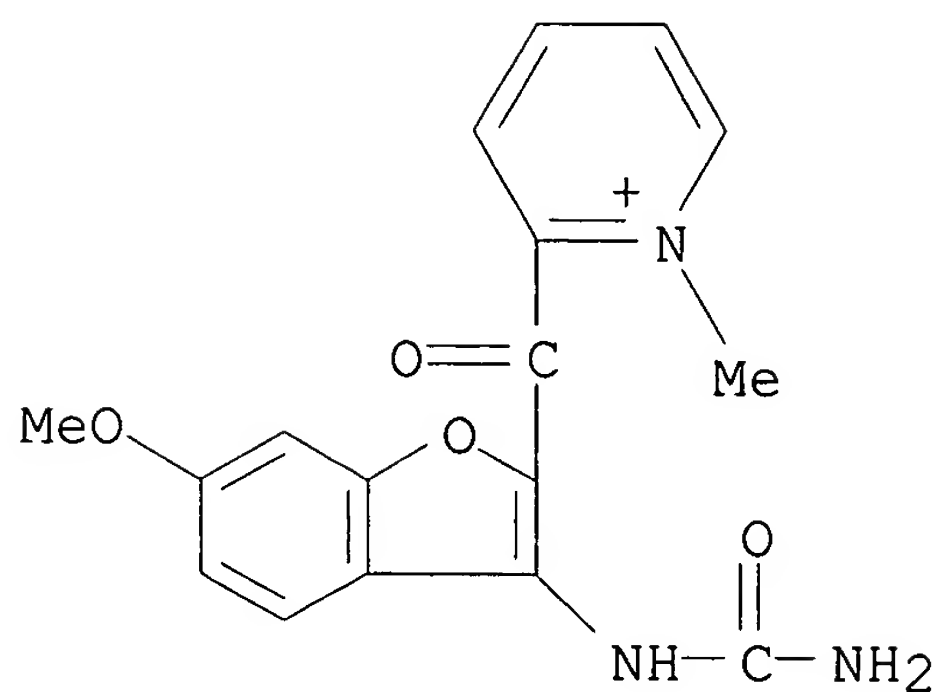
[5-chloro-6-methoxy-2-(3-pyridinylcarbonyl)-3-benzofuranyl]- (9CI)  
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RN 192574-51-9 CAPLUS

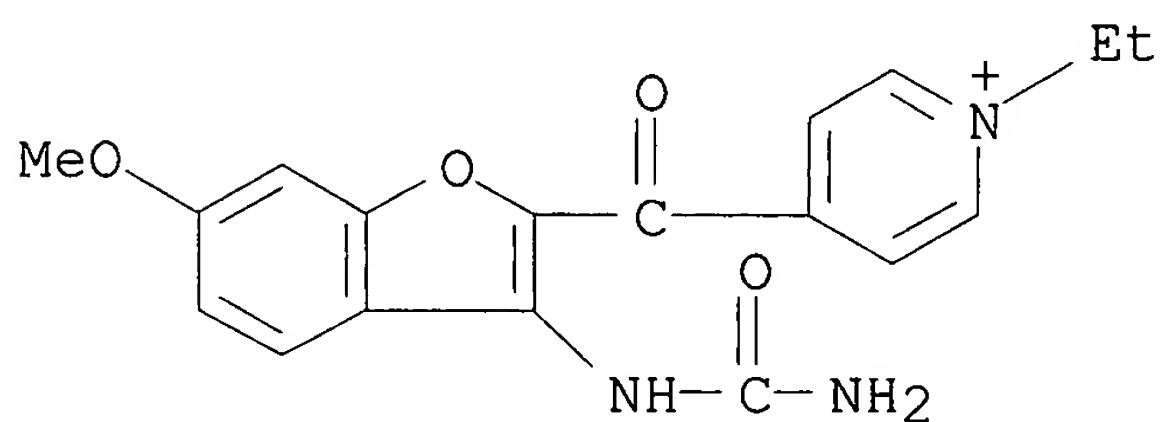
CN Pyridinium, 2-[[3-[(aminocarbonyl)amino]-6-methoxy-2-benzofuranyl]carbonyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

10/501,689



● I<sup>-</sup>

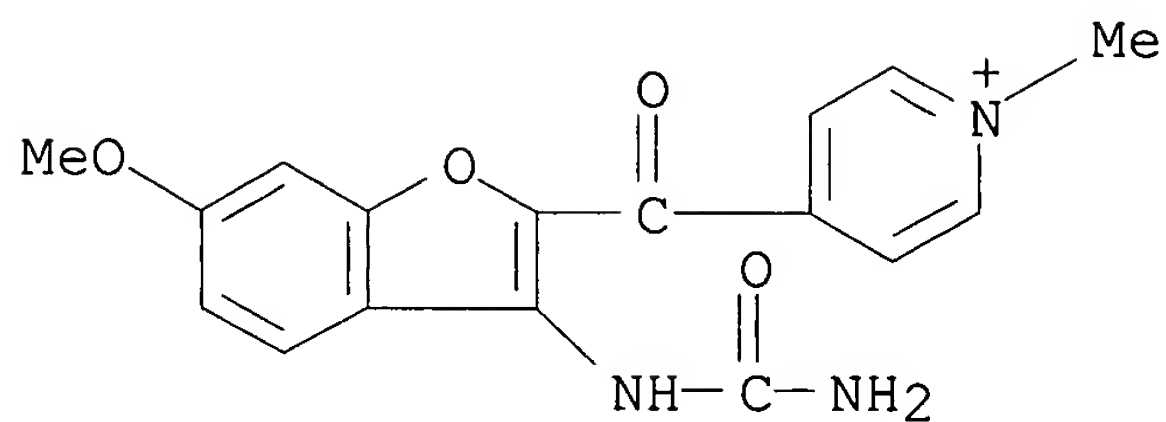
RN 192574-52-0 CAPLUS  
CN Pyridinium, 4-[[3-[(aminocarbonyl)amino]-6-methoxy-2-benzofuranyl]carbonyl]-1-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

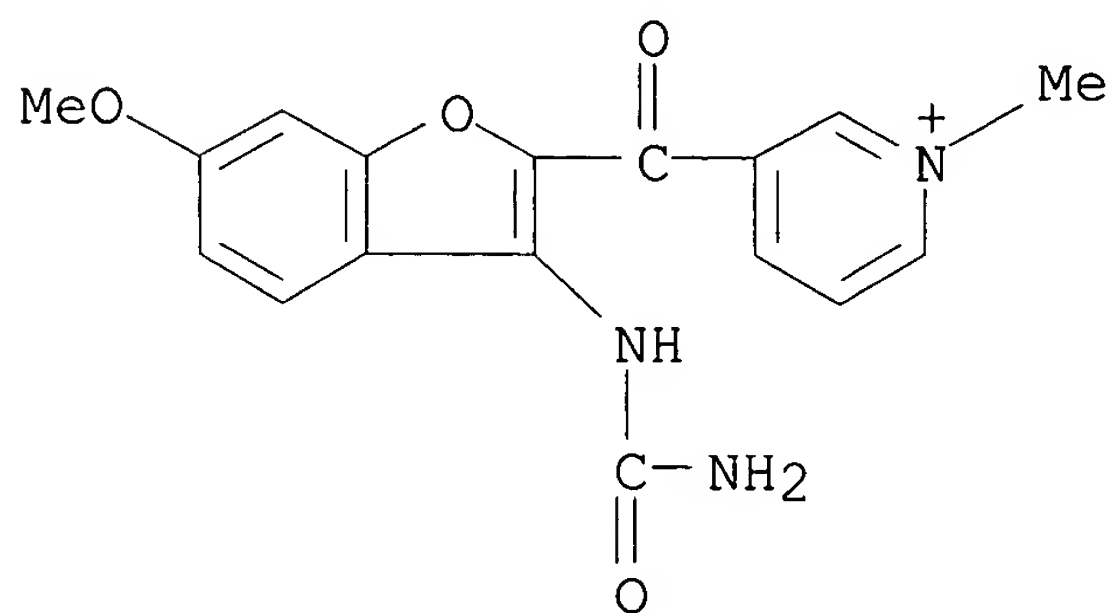
RN 192574-53-1 CAPLUS  
CN Pyridinium, 4-[[3-[(aminocarbonyl)amino]-6-methoxy-2-benzofuranyl]carbonyl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

10/501,689



● I<sup>-</sup>

RN 192574-54-2 CAPLUS  
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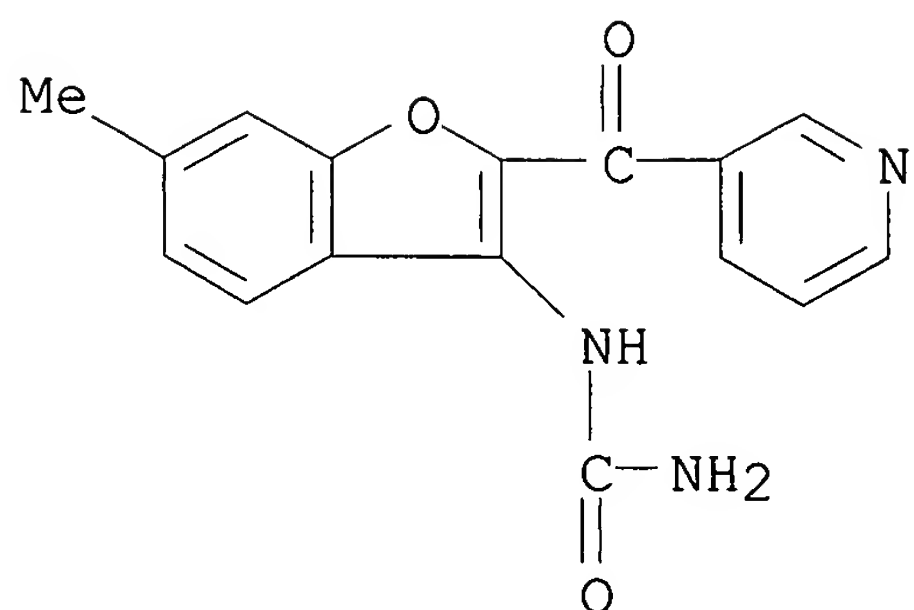


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(CA INDEX NAME)



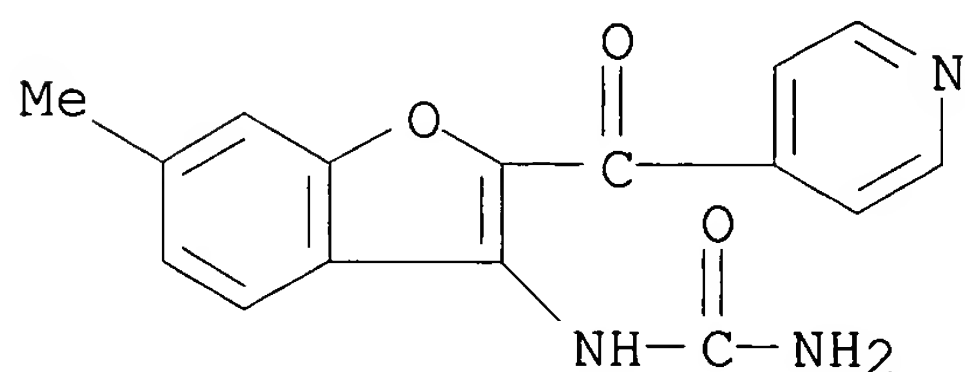
10/501,689



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(CA INDEX  
NAME)

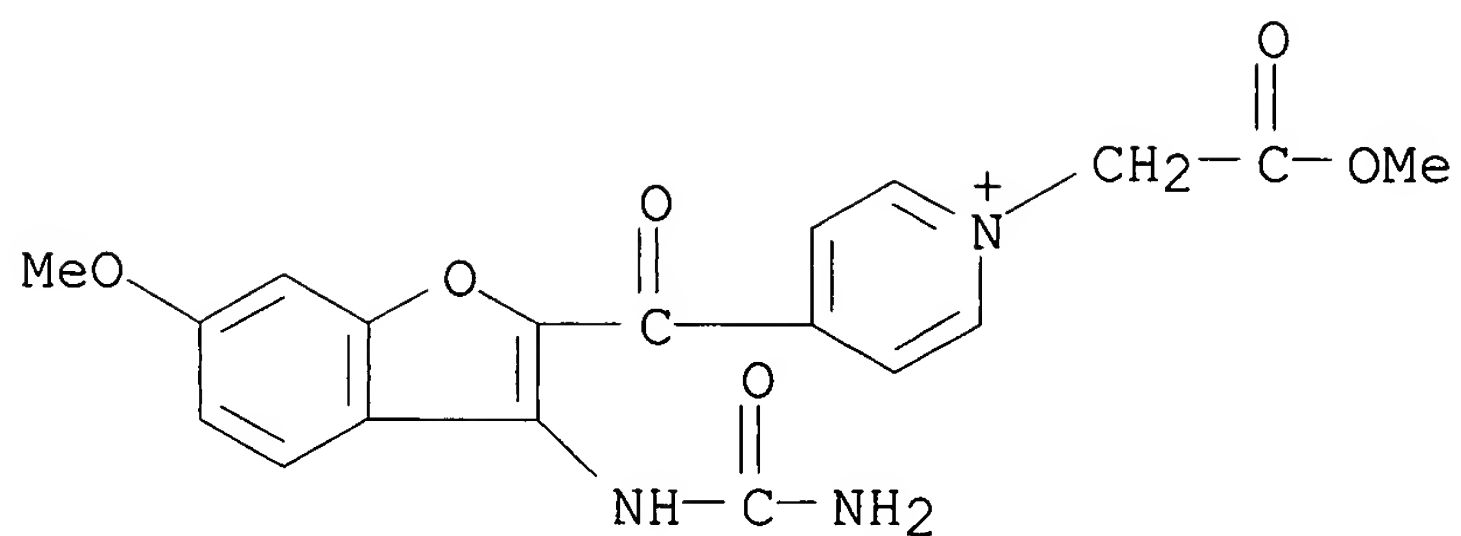


RN 192574-57-5 CAPLUS

CN Pyridinium, 4-[[3-[(aminocarbonyl)amino]-6-methoxy-2-benzofuranyl]carbonyl]-1-(2-methoxy-2-oxoethyl)-, bromide (9CI)

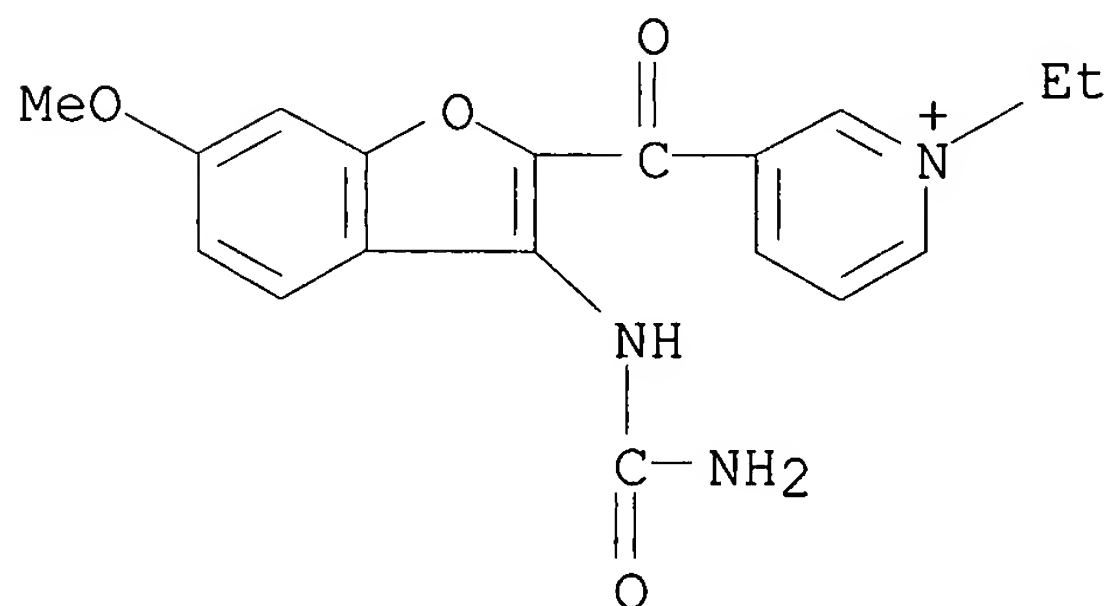
(CA INDEX  
NAME)

10/501,689



● Br<sup>-</sup>

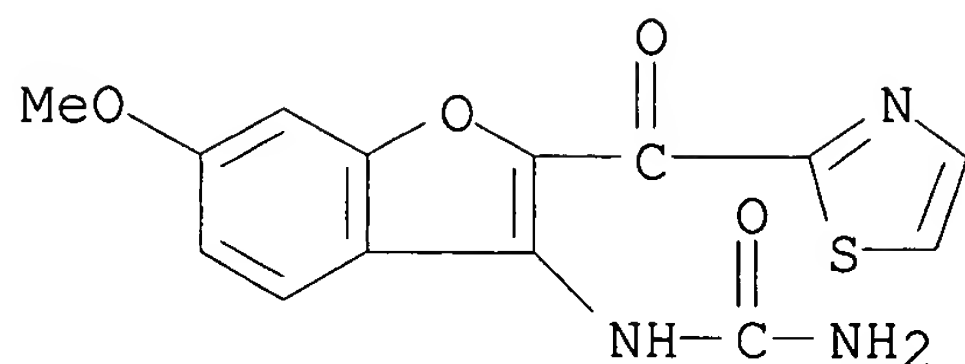
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CN Pyridinium, 3-[[3-[(aminocarbonyl)amino]-6-methoxy-2-benzofuranyl]carbonyl]-1-ethyl-, iodide (9CI) (CA INDEX NAME)



● I<sup>-</sup>

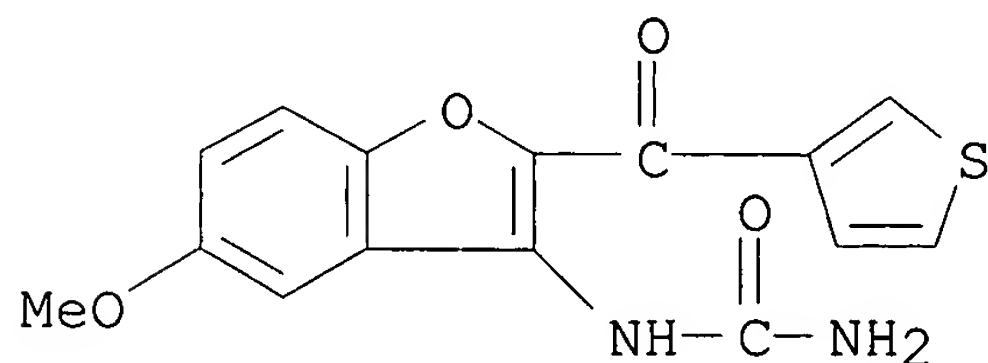
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CN Urea, [6-methoxy-2-(2-thiazolylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

10/501,689



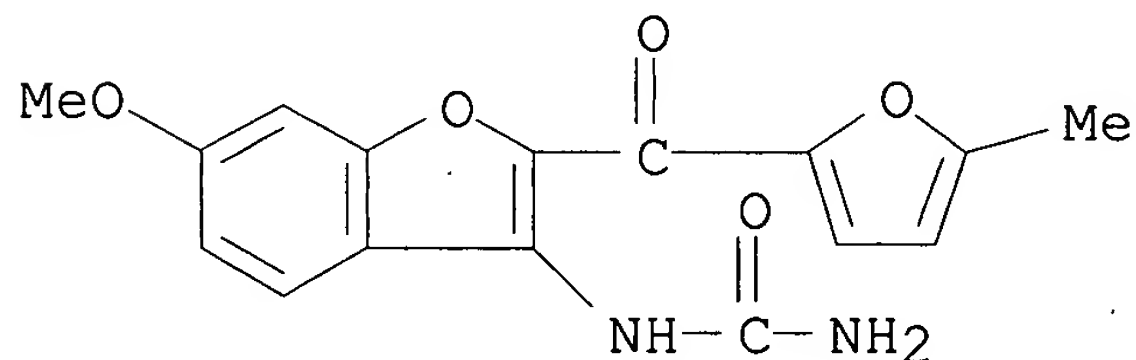
RN 192574-60-0 CAPLUS

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(CA INDEX NAME)



RN 192574-61-1 CAPLUS

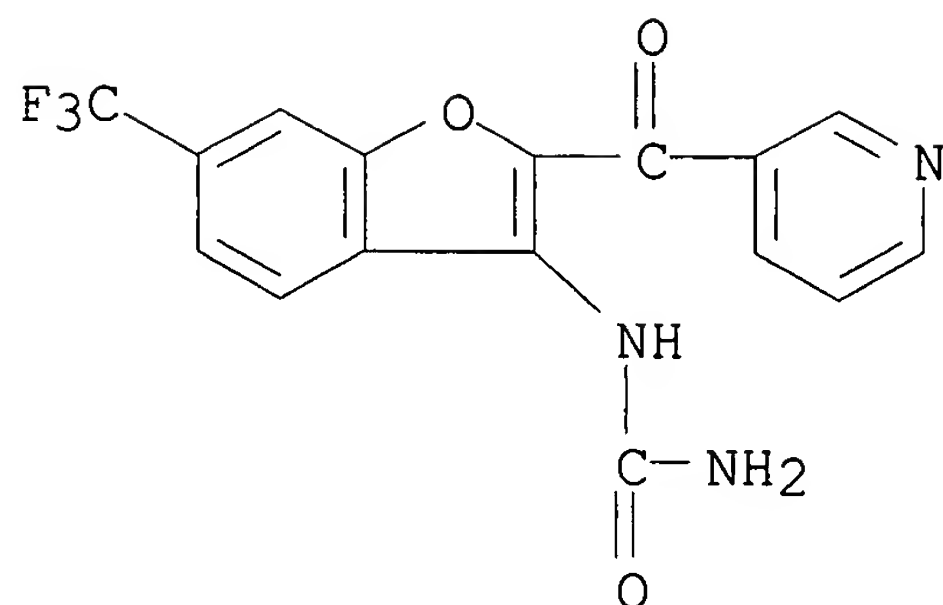
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[6-methoxy-2-[(5-methyl-2-furanyl)carbonyl]-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



RN 192574-63-3 CAPLUS

CN Urea,  
[2-(3-pyridinylcarbonyl)-6-(trifluoromethyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

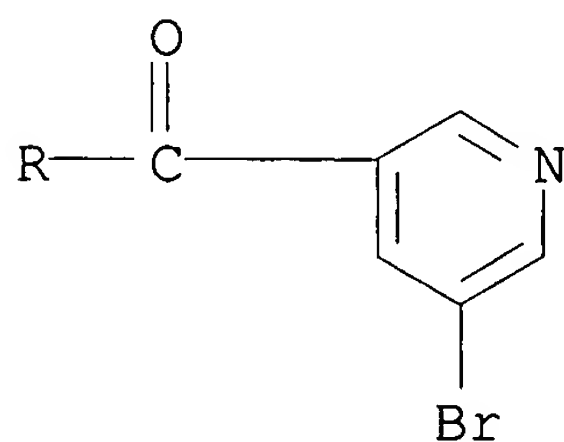
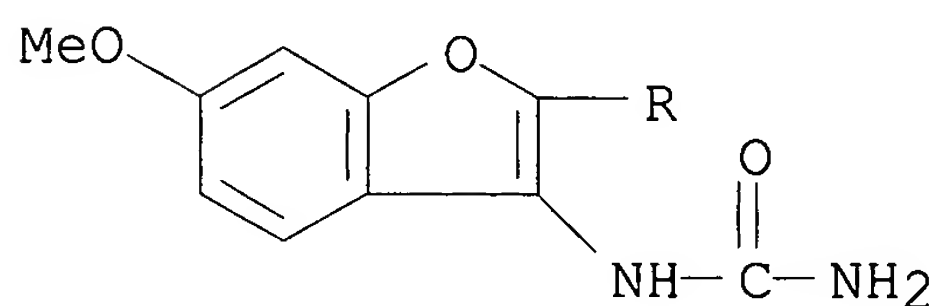
10/501,689



RN 192574-64-4 CAPLUS

CN Urea,

[2-[(5-bromo-3-pyridinyl)carbonyl]-6-methoxy-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

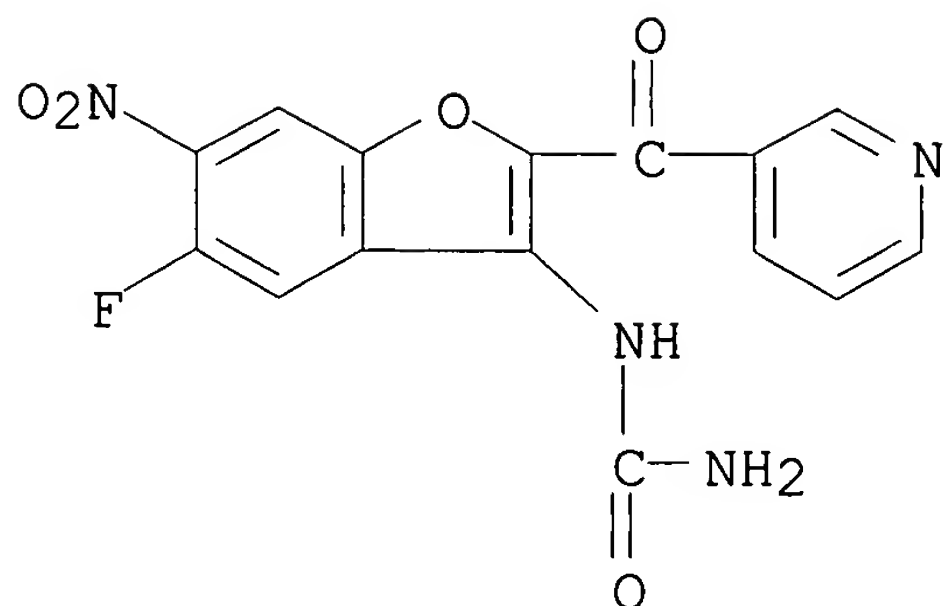


RN 192574-65-5 CAPLUS

CN Urea, [5-fluoro-6-nitro-2-(3-pyridinylcarbonyl)-3-benzofuranyl]-  
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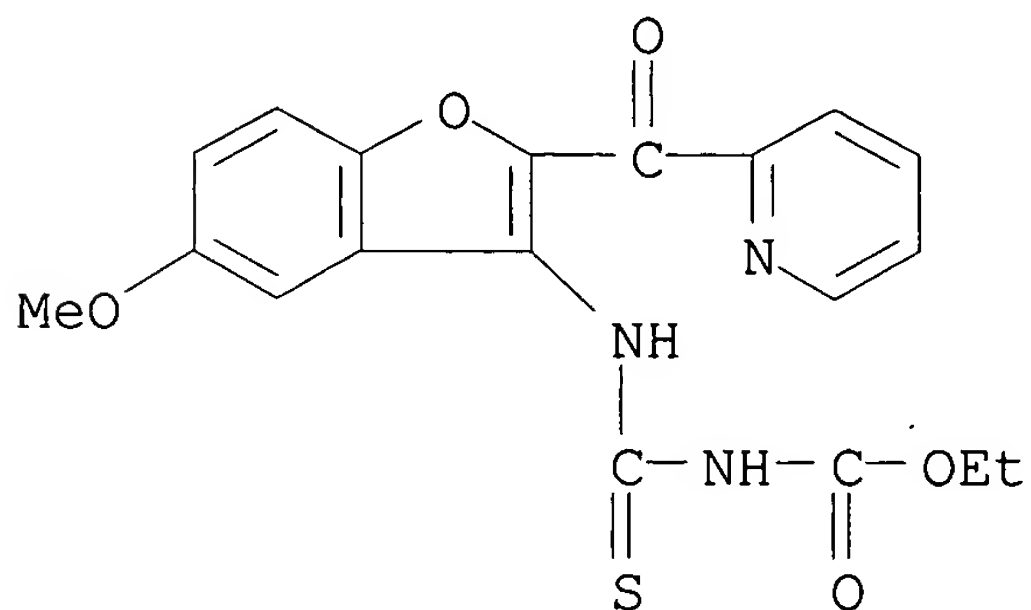
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10/501,689



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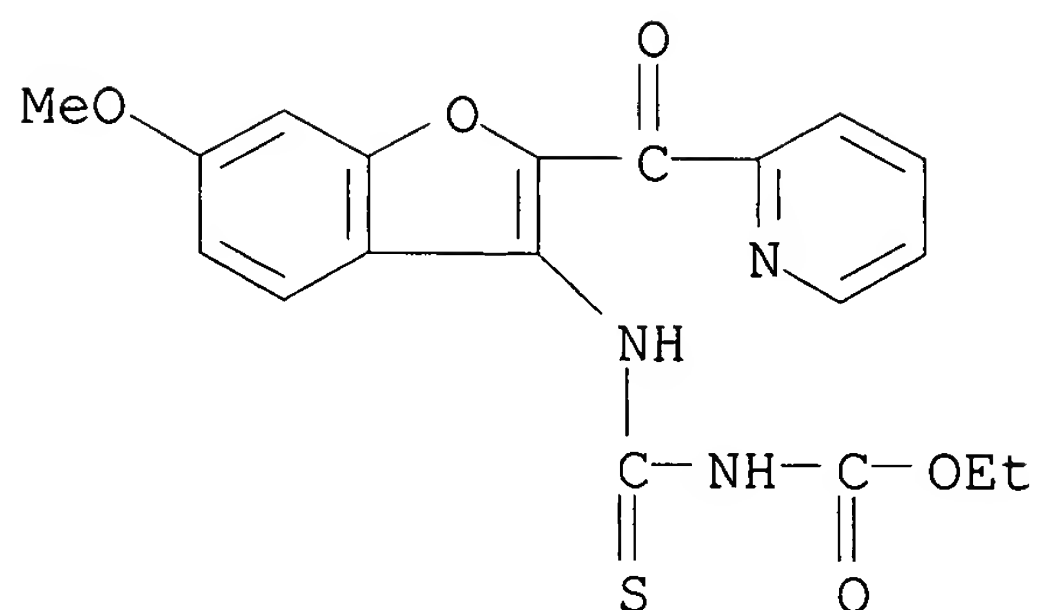
CN Carbamic acid, [[[5-methoxy-2-(2-pyridinylcarbonyl)-3-benzofuranyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 192574-67-7 CAPLUS

CN Carbamic acid, [[[6-methoxy-2-(2-pyridinylcarbonyl)-3-benzofuranyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

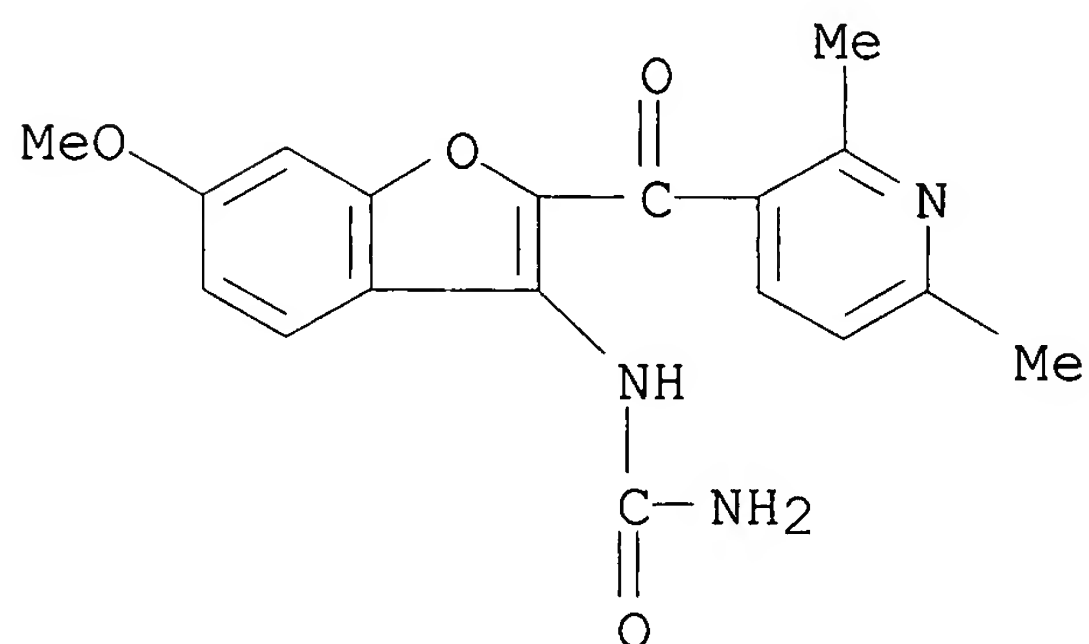
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RN 192574-68-8 CAPLUS

CN Urea,

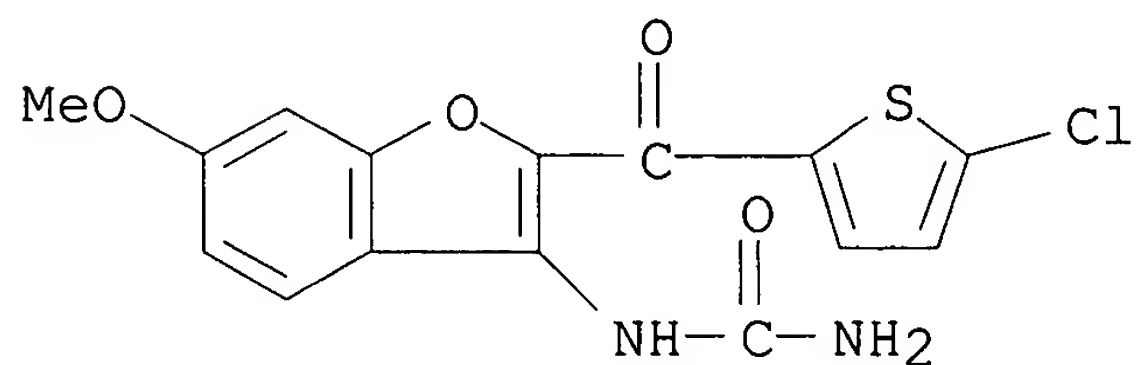
[2-[(2,6-dimethyl-3-pyridinyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)



RN 192574-69-9 CAPLUS

CN Urea,

[2-[(5-chloro-2-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(CA INDEX NAME)



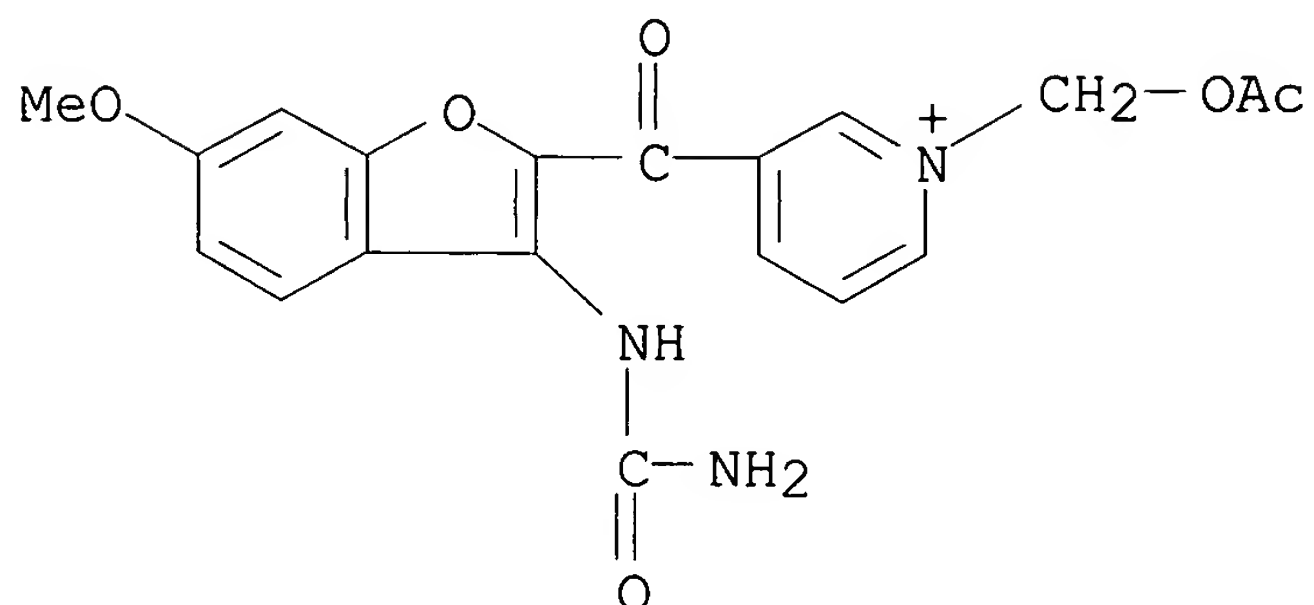
RN 192574-70-2 CAPLUS

CN Pyridinium,

1-[(acetyloxy)methyl]-3-[[3-[(aminocarbonyl)amino]-6-methoxy-2-

10/501,689

benzofuranyl]carbonyl]-, chloride (9CI) (CA INDEX NAME)

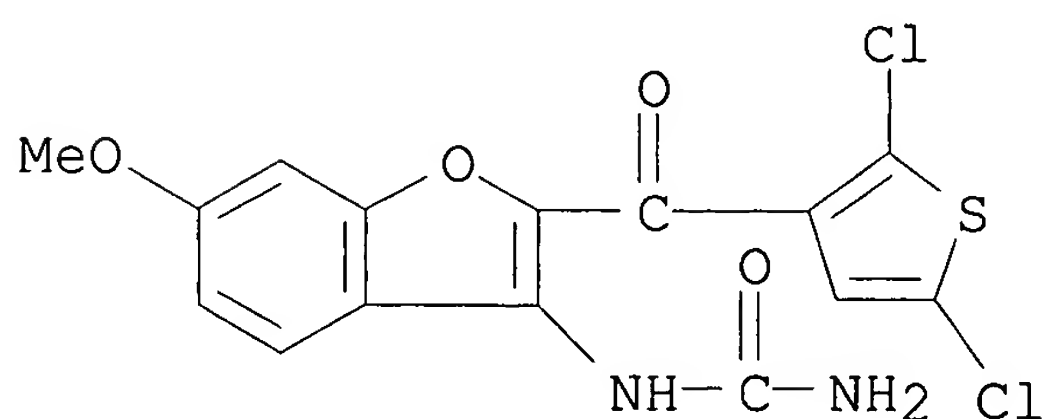


● Cl<sup>-</sup>

RN 192574-71-3 CAPLUS

CN Urea,

[2-[(2,5-dichloro-3-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)

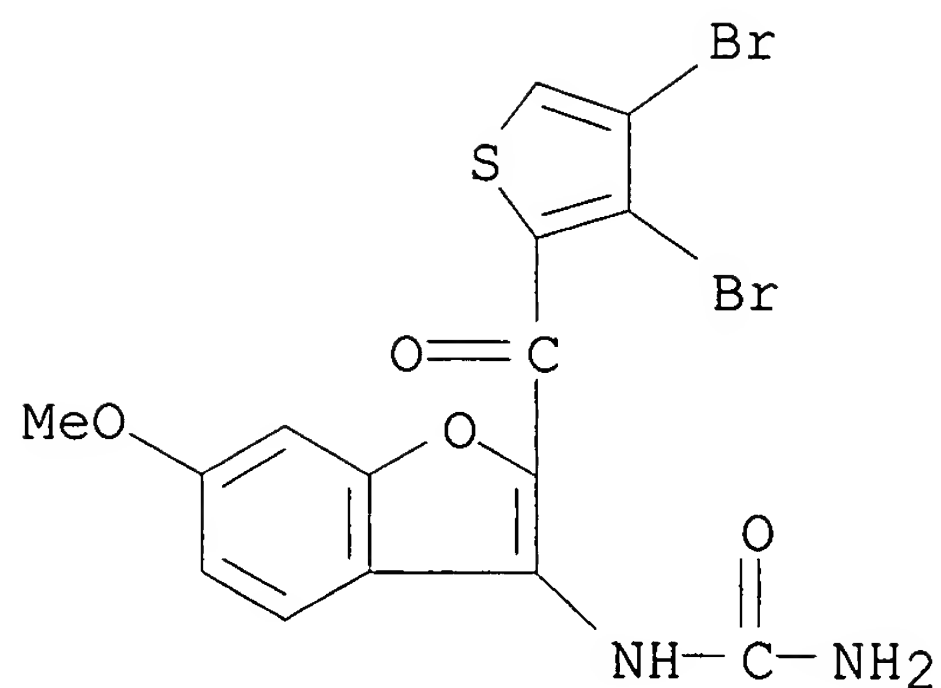


RN 192574-72-4 CAPLUS

CN Urea,

[2-[(3,4-dibromo-2-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)

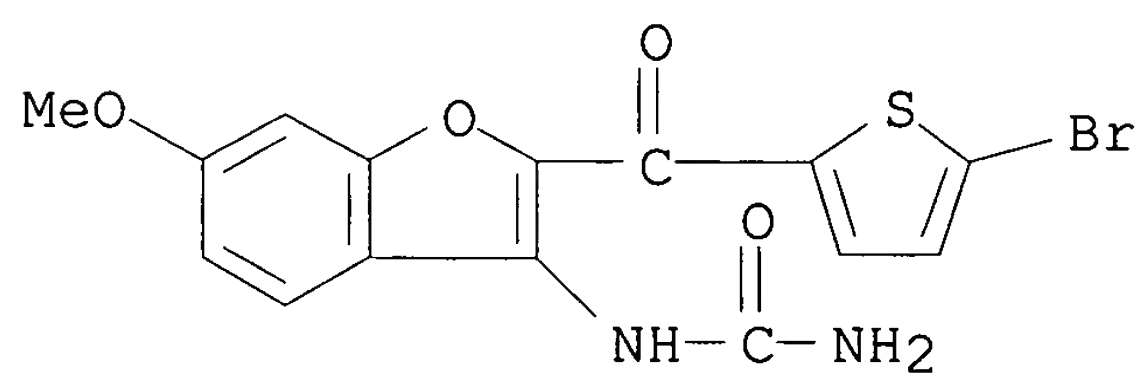
10/501,689



RN 192574-73-5 CAPLUS

CN Urea,

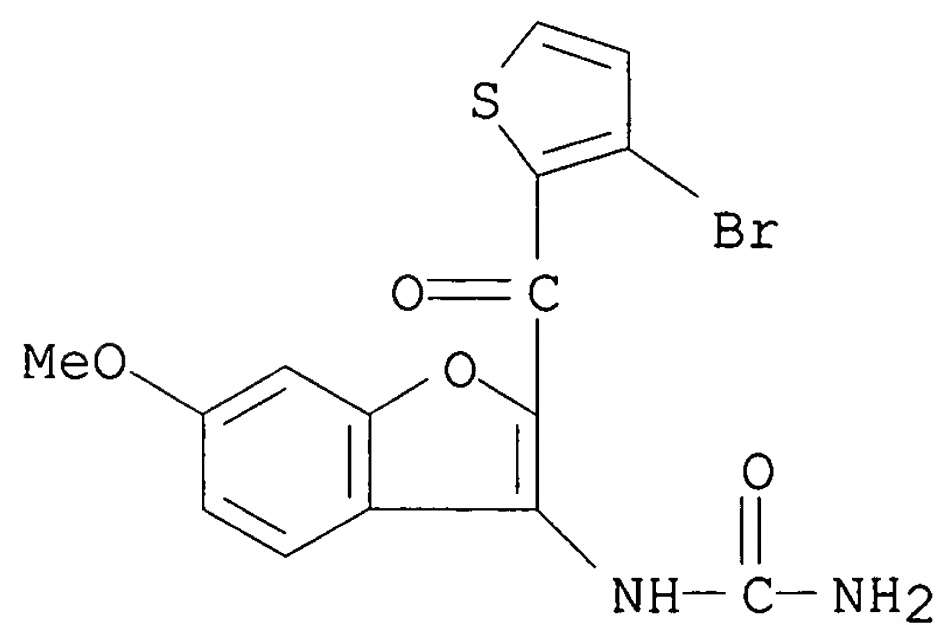
[2-[(5-bromo-2-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



RN 192574-74-6 CAPLUS

CN Urea,

[2-[(3-bromo-2-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

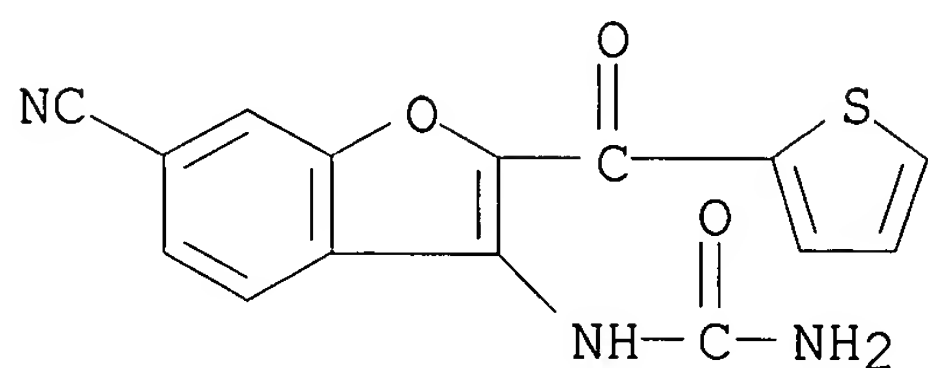


RN 192574-75-7 CAPLUS

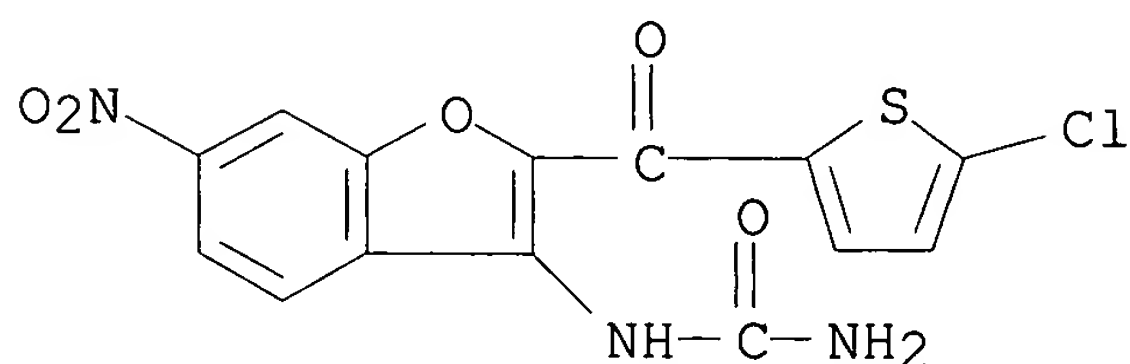


10/501,689

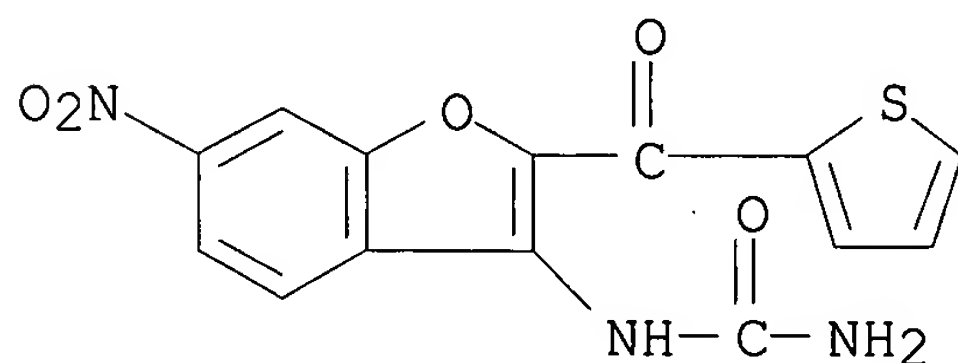
CN Urea, [6-cyano-2-(2-thienylcarbonyl)-3-benzofuranyl]- (9CI) (CA  
INDEX  
NAME)



RN 192574-76-8 CAPLUS  
CN Urea, [2-[(5-chloro-2-thienyl)carbonyl]-6-nitro-3-benzofuranyl]-  
(9CI)  
(CA INDEX NAME)

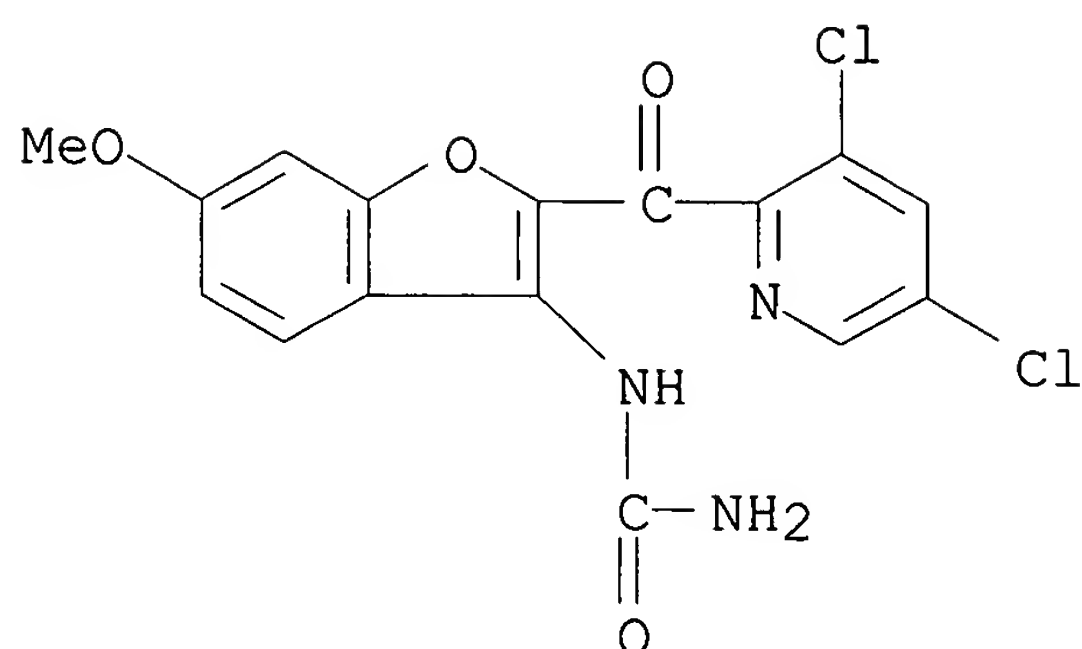


RN 192574-77-9 CAPLUS  
CN Urea, [6-nitro-2-(2-thienylcarbonyl)-3-benzofuranyl]- (9CI) (CA  
INDEX  
NAME)



RN 192574-78-0 CAPLUS  
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[2-[(3,5-dichloro-2-pyridinyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)

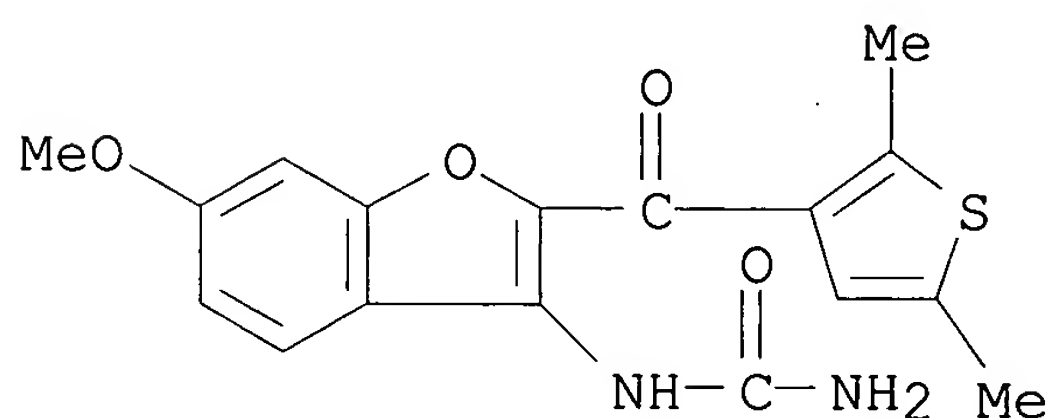
10/501,689



RN 192574-79-1 CAPLUS

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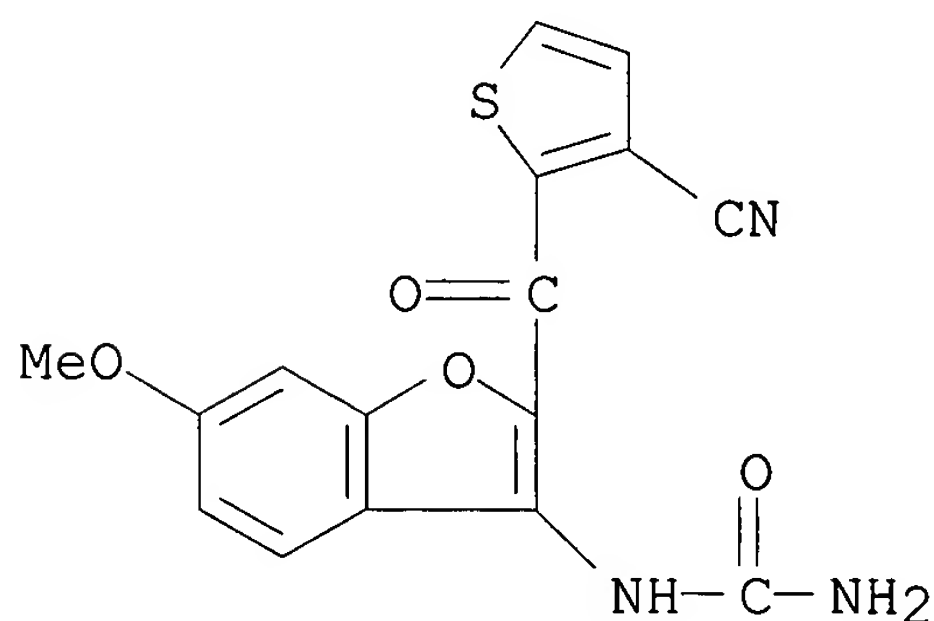
[2-[(2,5-dimethyl-3-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)



RN 192574-80-4 CAPLUS

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[2-[(3-cyano-2-thienyl)carbonyl]-6-methoxy-3-benzofuranyl]- (9CI)  
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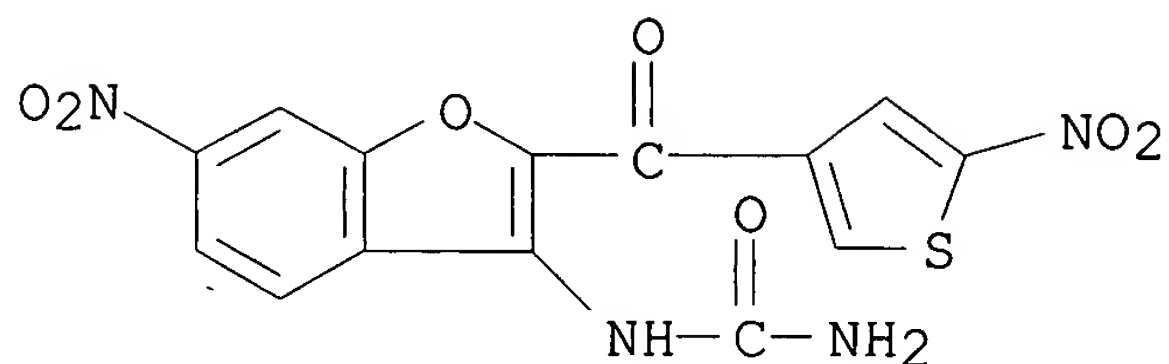


RN 192574-81-5 CAPLUS

CN Urea, [6-nitro-2-[(5-nitro-3-thienyl)carbonyl]-3-benzofuranyl]-  
(9CI) (CA

10/501,689

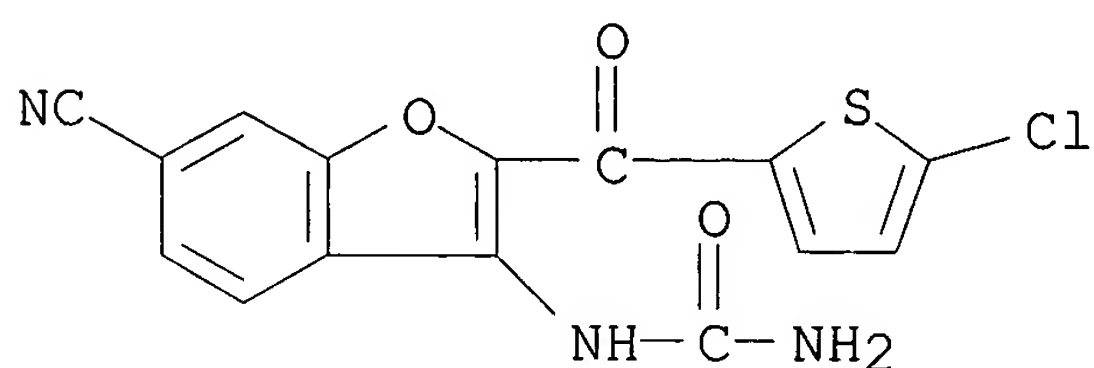
INDEX NAME)



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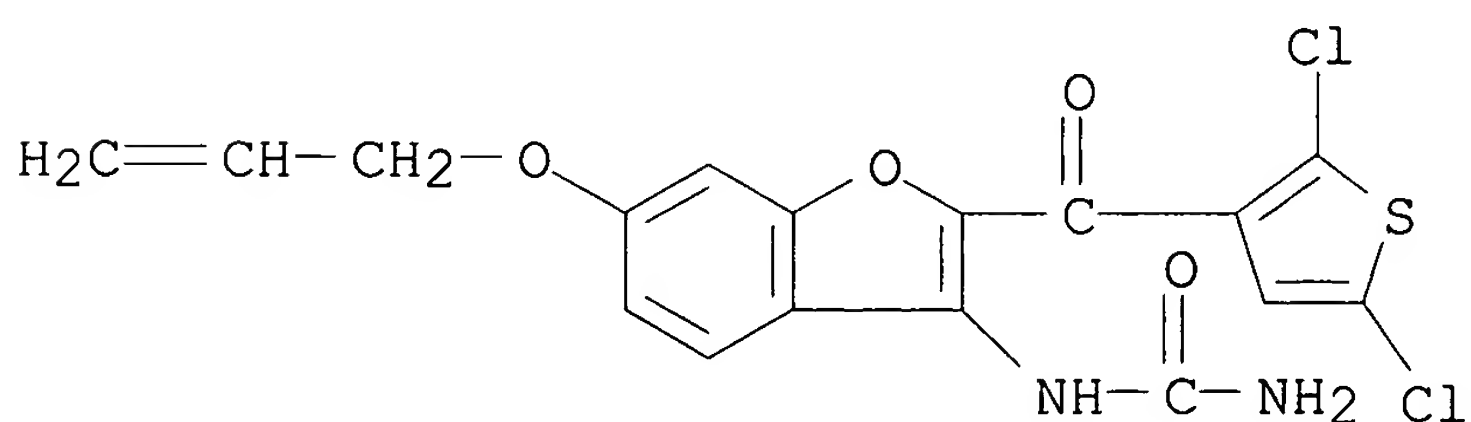
CN Urea, [2-[(5-chloro-2-thienyl)carbonyl]-6-cyano-3-benzofuranyl]-  
(9CI)

(CA INDEX NAME)



RN 192574-83-7 CAPLUS

CN Urea, [2-[(2,5-dichloro-3-thienyl)carbonyl]-6-(2-propenyloxy)-3-  
benzofuranyl]- (9CI) (CA INDEX NAME)



IT 192574-84-8P 192574-85-9P 192574-86-0P  
192574-87-1P 192574-88-2P 192574-89-3P  
192574-90-6P 192574-91-7P 192574-92-8P  
192574-93-9P 192574-94-0P 192574-95-1P  
192574-96-2P 192574-97-3P 192574-98-4P  
192574-99-5P 192575-00-1P 192575-01-2P  
192575-02-3P 192575-03-4P 192575-04-5P  
192575-05-6P 192575-06-7P 192575-07-8P

10/501,689

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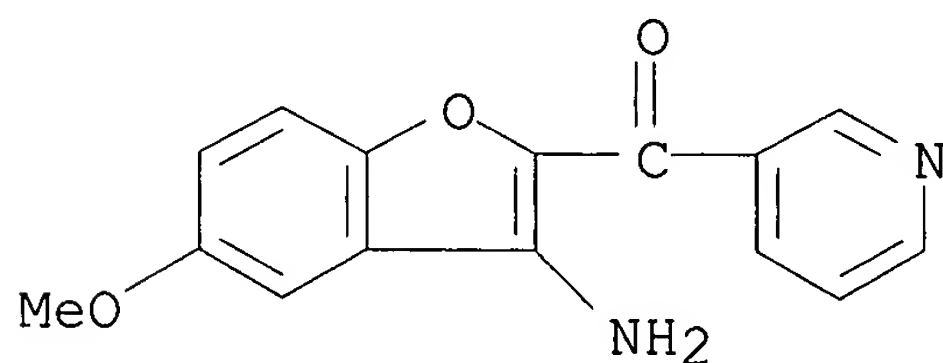
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT  
(Reactant or reagent)

(preparation of heterocyclcarbonyl-substituted  
benzofuranylureas as  
antiinflammatories)

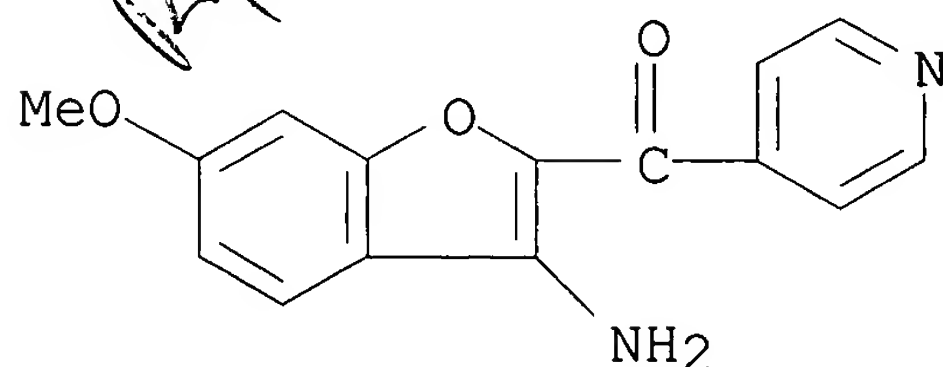
RN 192574-84-8 CAPLUS

CN Methanone, (3-amino-5-methoxy-2-benzofuranyl)-3-pyridinyl- (9CI)  
(CA  
INDEX NAME)



RN 192574-85-9 CAPLUS

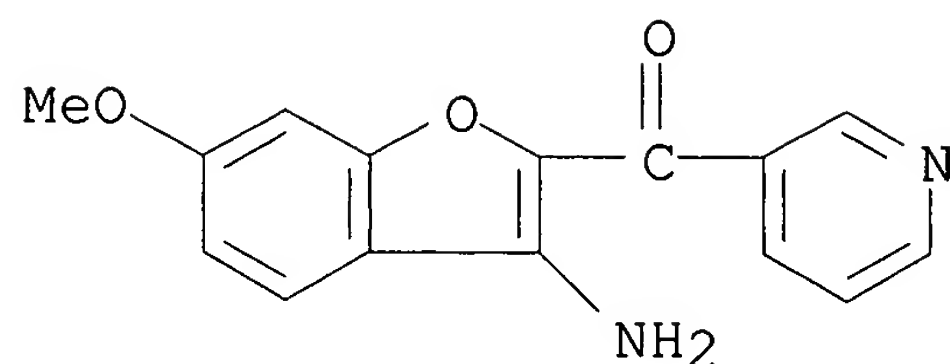
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(CA  
INDEX NAME)



RN 192574-86-0 CAPLUS

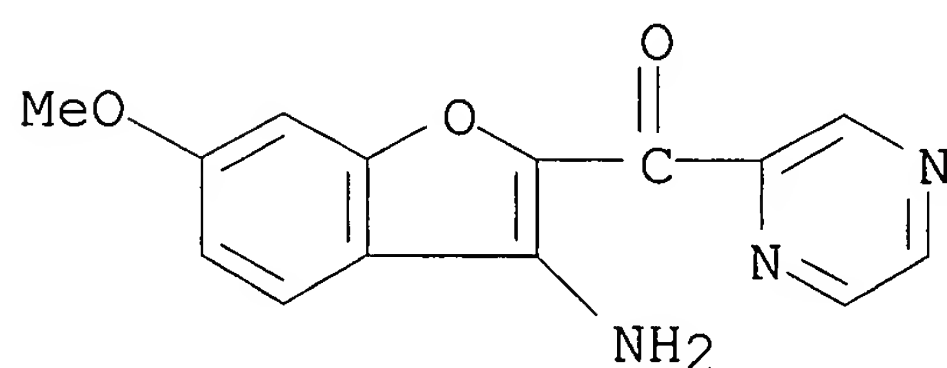
CN Methanone, (3-amino-6-methoxy-2-benzofuranyl)-3-pyridinyl- (9CI)  
(CA  
INDEX NAME)

10/501,689



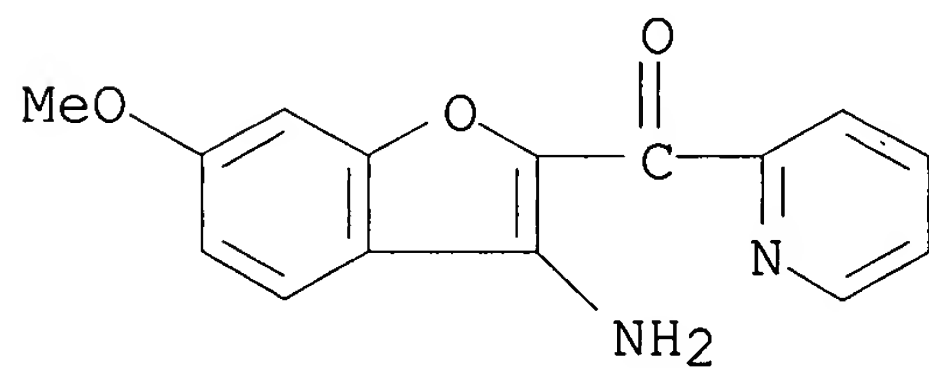
RN 192574-87-1 CAPLUS

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(CA INDEX  
NAME)



RN 192574-88-2 CAPLUS

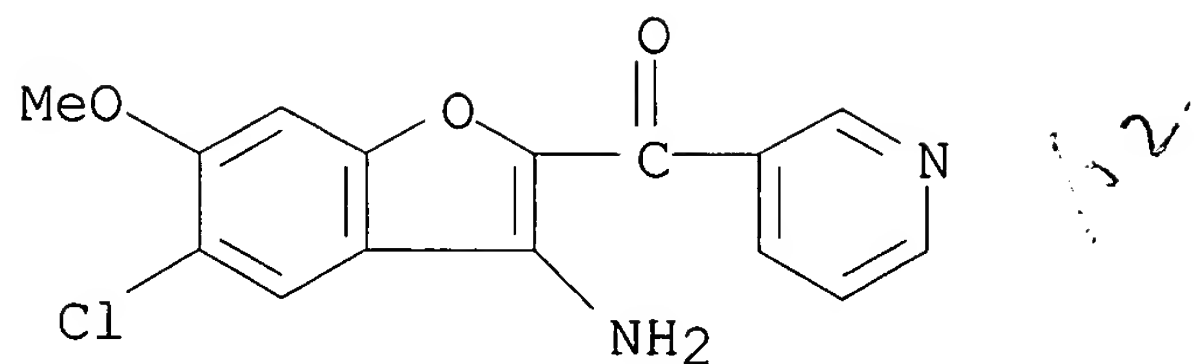
CN Methanone, (3-amino-6-methoxy-2-benzofuranyl)-2-pyridinyl- (9CI)  
(CA  
INDEX NAME)



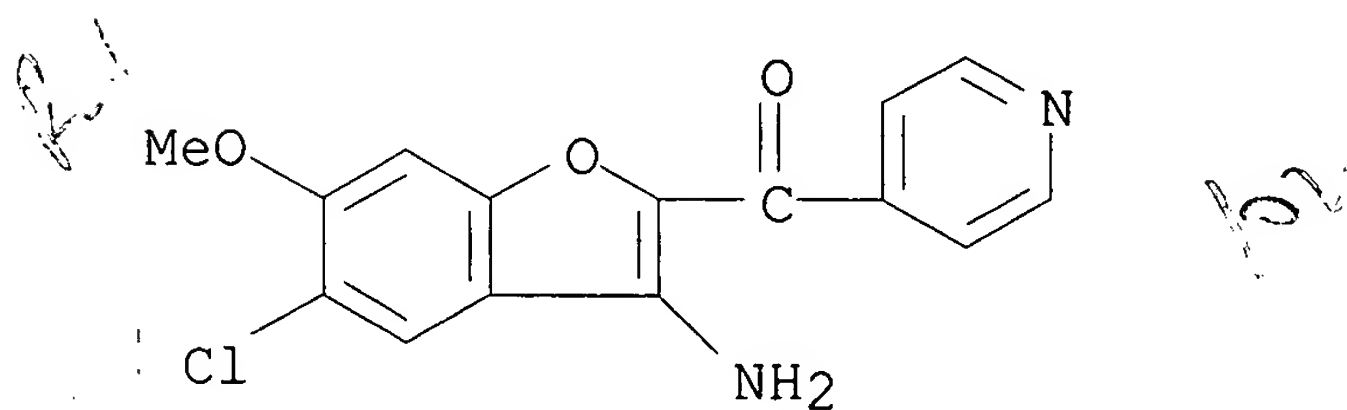
RN 192574-89-3 CAPLUS

CN Methanone,  
(3-amino-5-chloro-6-methoxy-2-benzofuranyl)-3-pyridinyl- (9CI)  
(CA INDEX NAME)

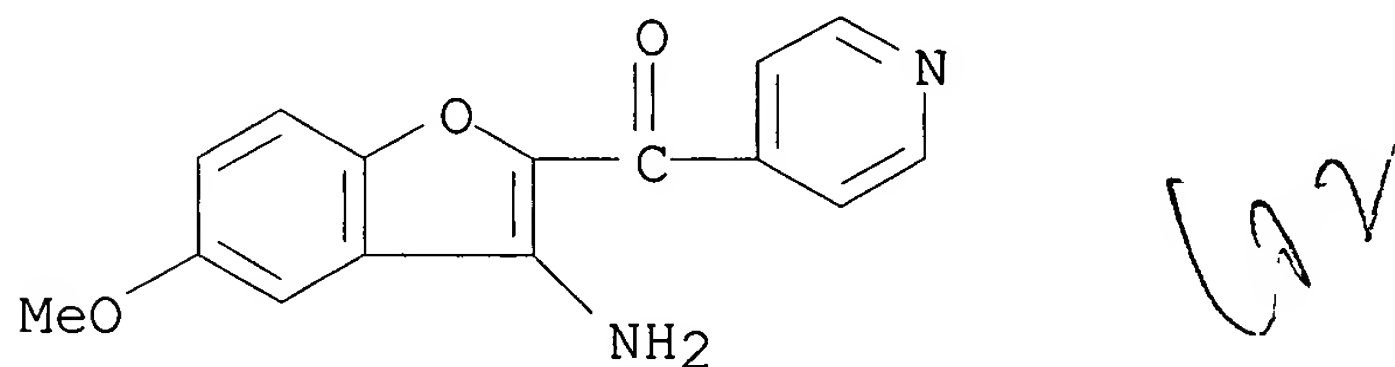
10/501,689



RN 192574-90-6 CAPLUS  
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(3-amino-5-chloro-6-methoxy-2-benzofuranyl)-4-pyridinyl- (9CI)  
(CA INDEX NAME)

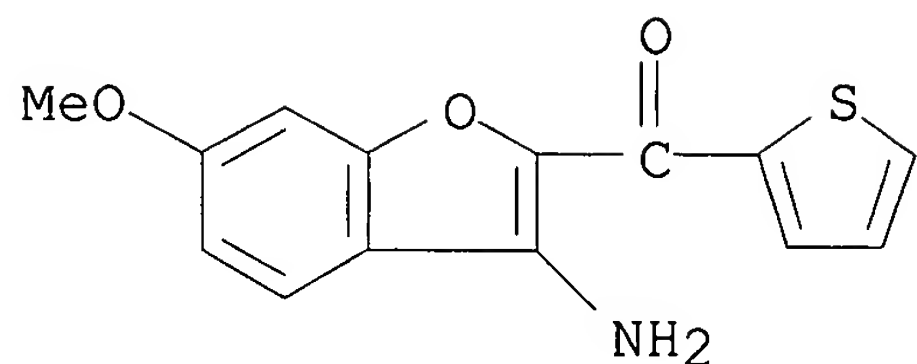


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(CA INDEX NAME)



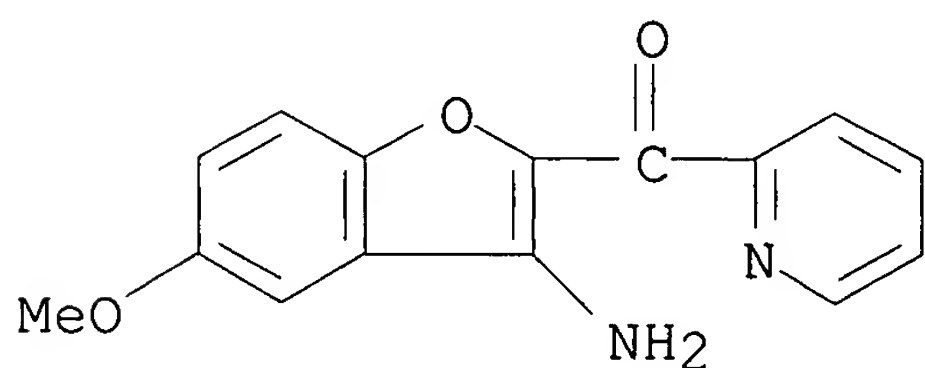
RN 192574-92-8 CAPLUS  
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(CA INDEX NAME)

10/501,689



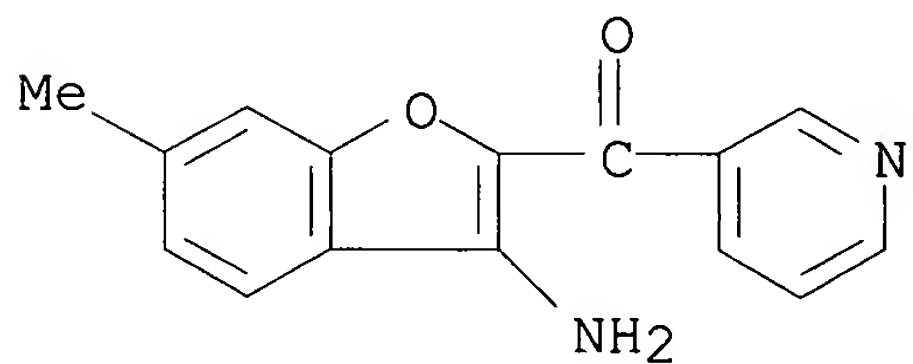
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CN Methanone, (3-amino-5-methoxy-2-benzofuranyl)-2-pyridinyl- (9CI)  
(CA INDEX NAME)



RN 192574-94-0 CAPLUS

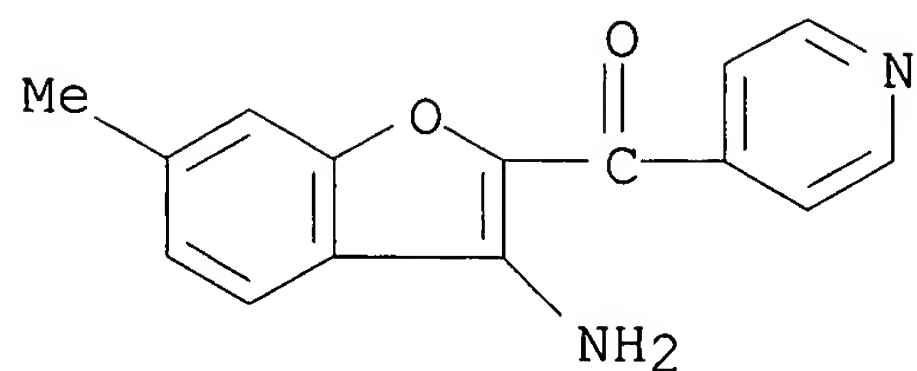
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(CA INDEX NAME)



RN 192574-95-1 CAPLUS

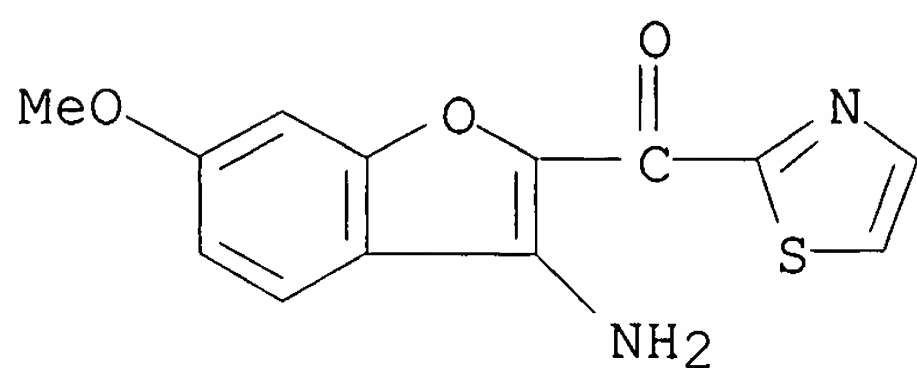
CN Methanone, (3-amino-6-methyl-2-benzofuranyl)-4-pyridinyl- (9CI)  
(CA INDEX NAME)

10/501,689



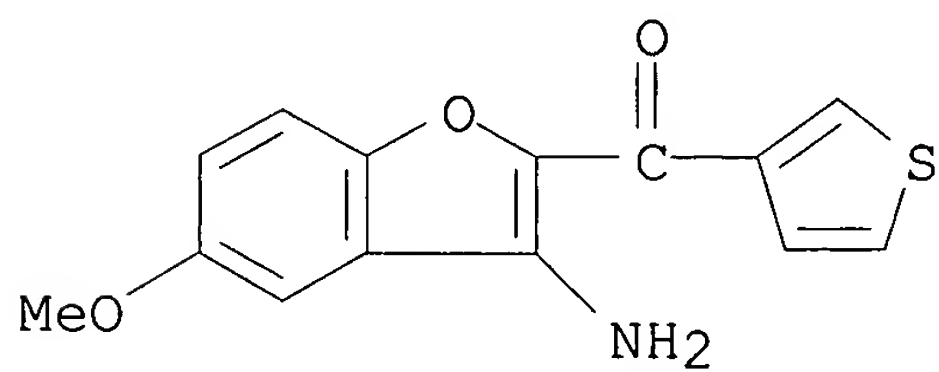
RN 192574-96-2 CAPLUS

CN Methanone, (3-amino-6-methoxy-2-benzofuranyl)-2-thiazolyl- (9CI)  
(CA INDEX NAME)



RN 192574-97-3 CAPLUS

CN Methanone, (3-amino-5-methoxy-2-benzofuranyl)-3-thienyl- (9CI)  
(CA INDEX NAME)

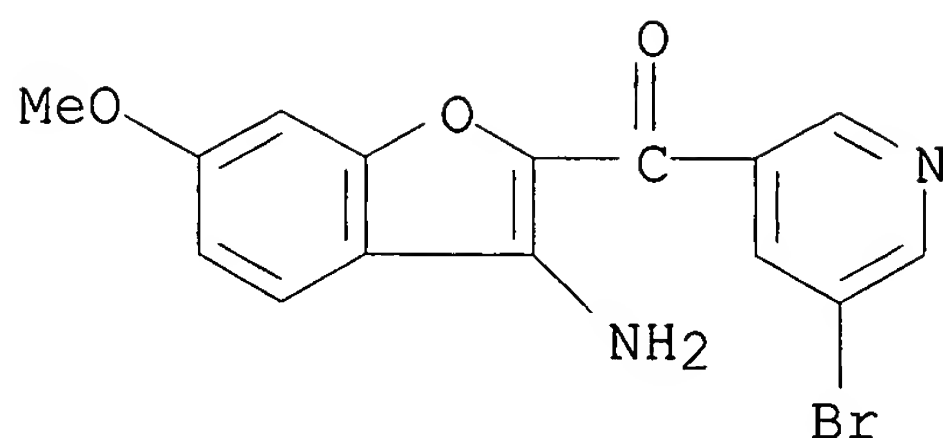


RN 192574-98-4 CAPLUS

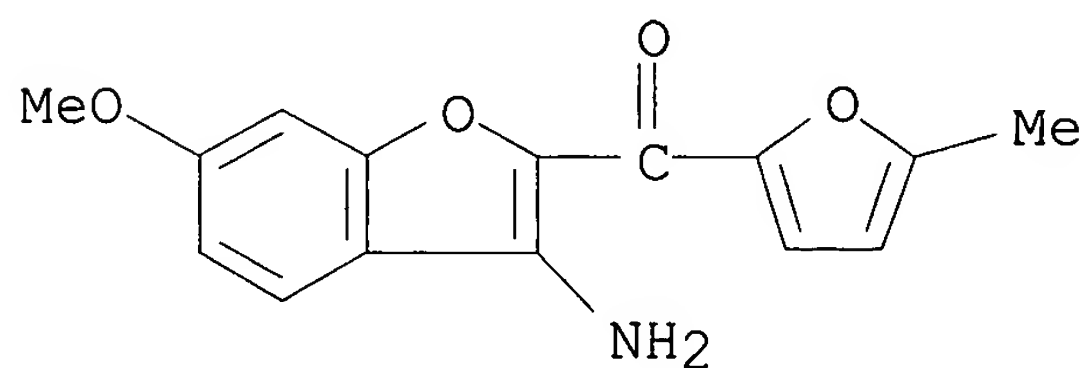
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl) (5-bromo-3-pyridinyl)- (9CI)  
(CA INDEX NAME)



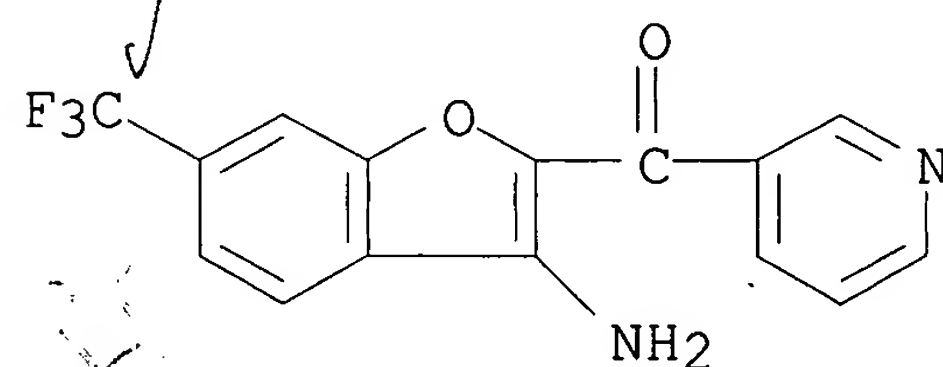
10/501,689



RN 192574-99-5 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(5-methyl-2-furanyl)- (9CI)  
(CA INDEX NAME)

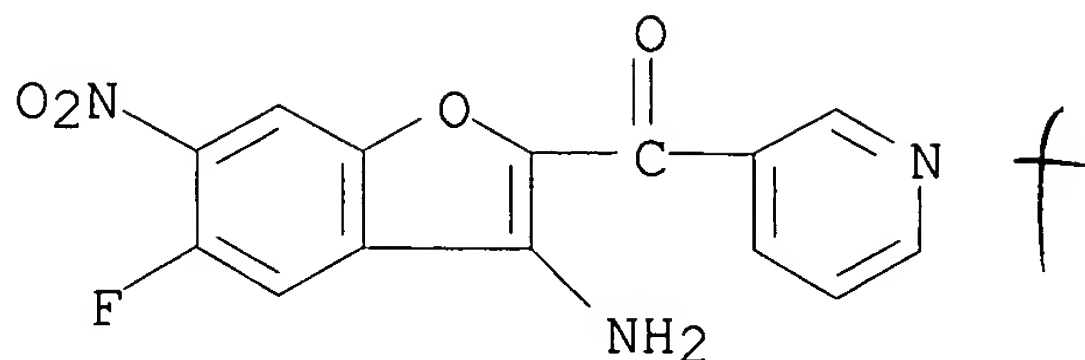


RN 192575-00-1 CAPLUS  
CN Methanone,  
[3-amino-6-(trifluoromethyl)-2-benzofuranyl]-3-pyridinyl- (9CI)  
(CA INDEX NAME)



RN 192575-01-2 CAPLUS  
CN Methanone,  
(3-amino-5-fluoro-6-nitro-2-benzofuranyl)-3-pyridinyl- (9CI)  
(CA INDEX NAME)

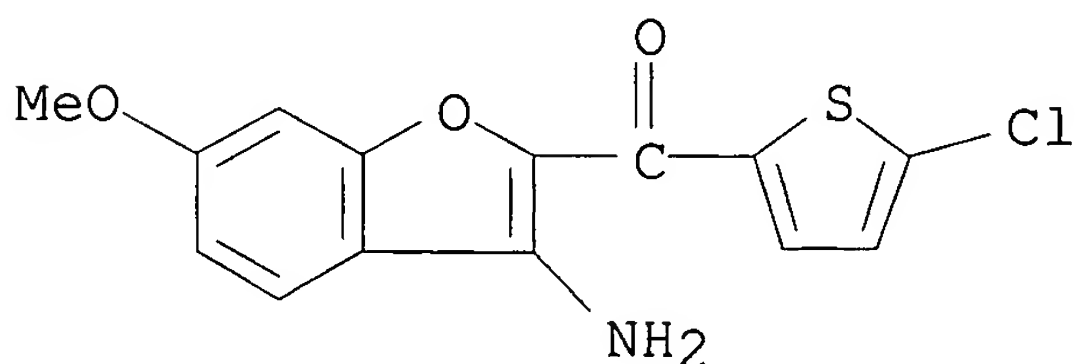
10/501,689



RN 192575-02-3 CAPLUS

CN Methanone,

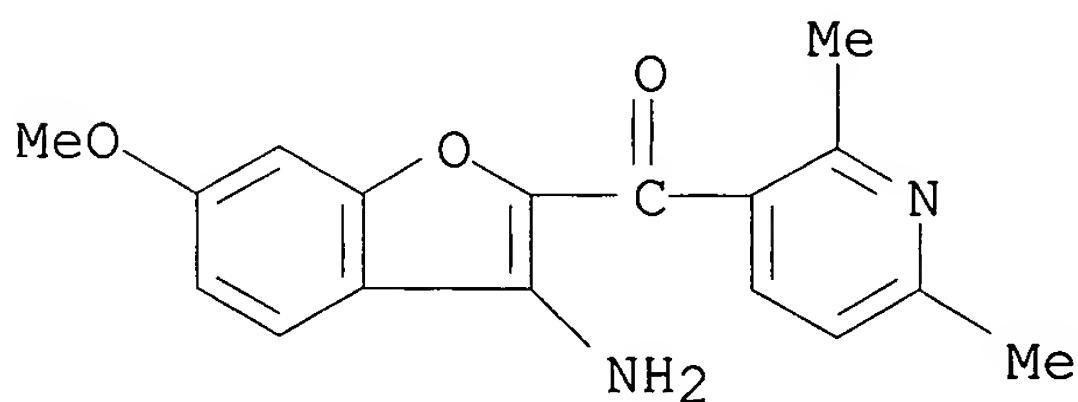
(3-amino-6-methoxy-2-benzofuranyl)(5-chloro-2-thienyl)- (9CI)  
(CA INDEX NAME)



RN 192575-03-4 CAPLUS

CN Methanone,

(3-amino-6-methoxy-2-benzofuranyl)(2,6-dimethyl-3-pyridinyl)-  
(9CI) (CA INDEX NAME)

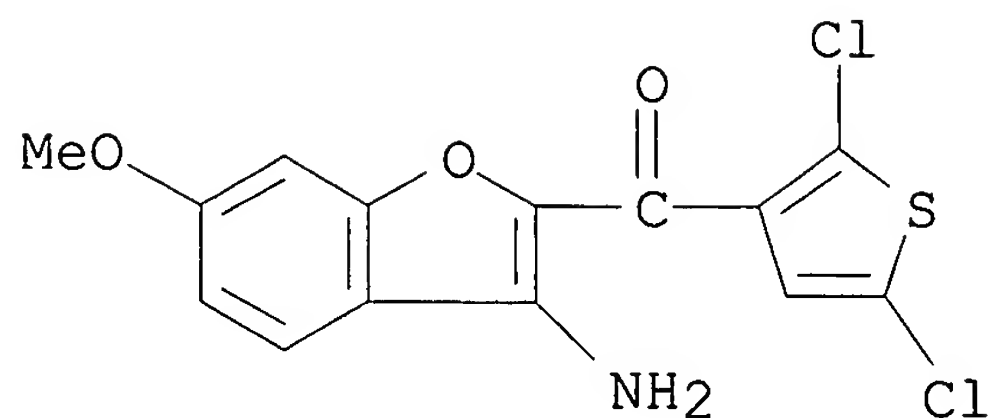


RN 192575-04-5 CAPLUS

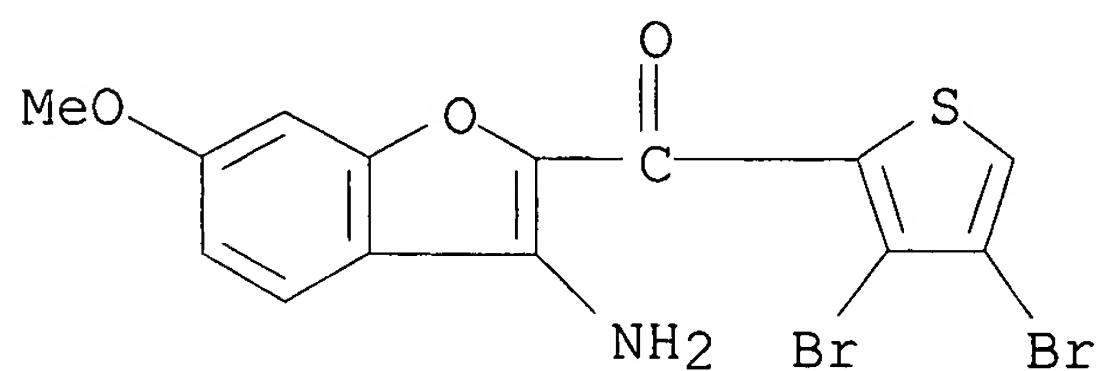
CN Methanone,

(3-amino-6-methoxy-2-benzofuranyl)(2,5-dichloro-3-thienyl)-  
(9CI) (CA INDEX NAME)

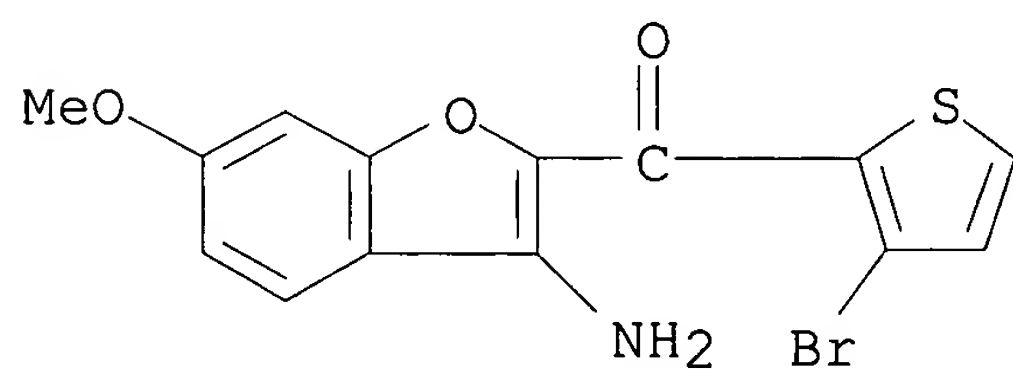
10/501,689



RN 192575-05-6 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(3,4-dibromo-2-thienyl)-  
(9CI) (CA INDEX NAME)

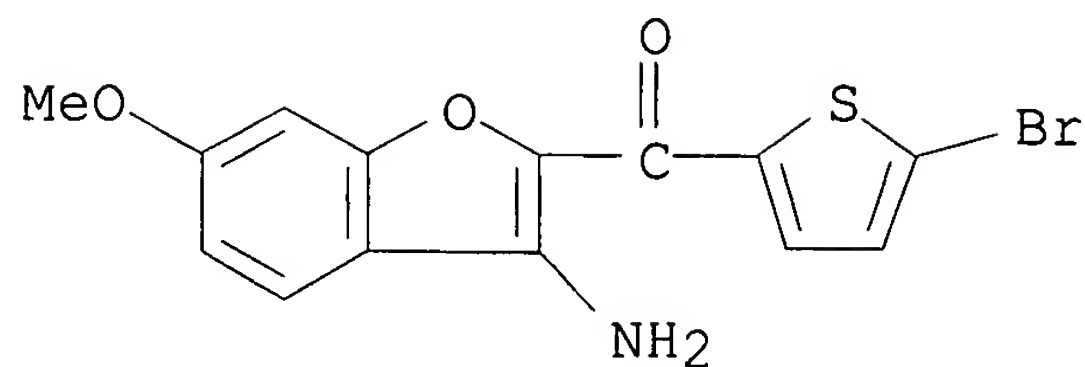


RN 192575-06-7 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(3-bromo-2-thienyl)- (9CI)  
(CA INDEX NAME)

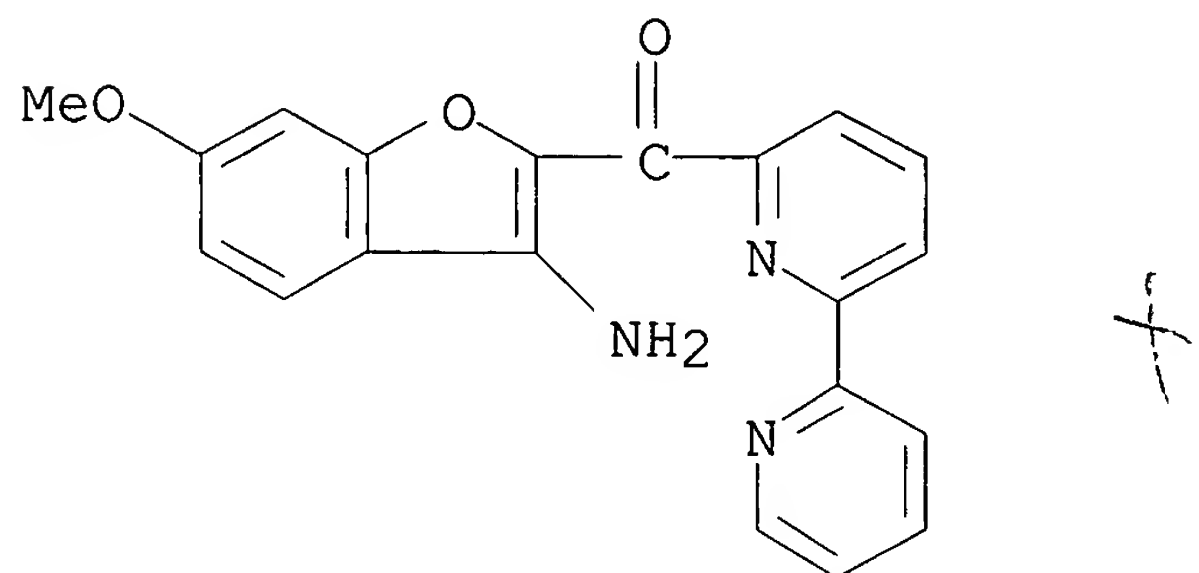


RN 192575-07-8 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(5-bromo-2-thienyl)- (9CI)  
(CA INDEX NAME)

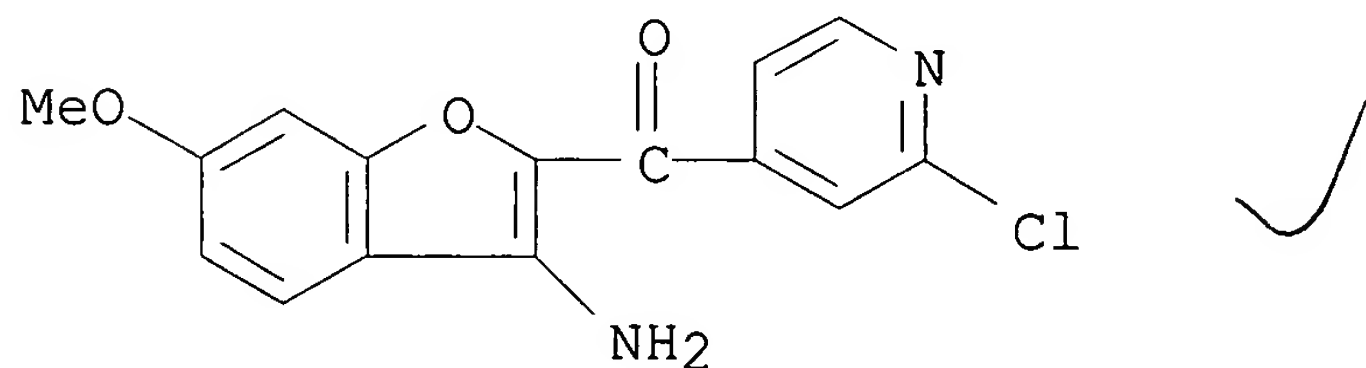
10/501,689



RN 192575-08-9 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl) [2,2'-bipyridin]-6-yl- (9CI)  
(CA INDEX NAME)

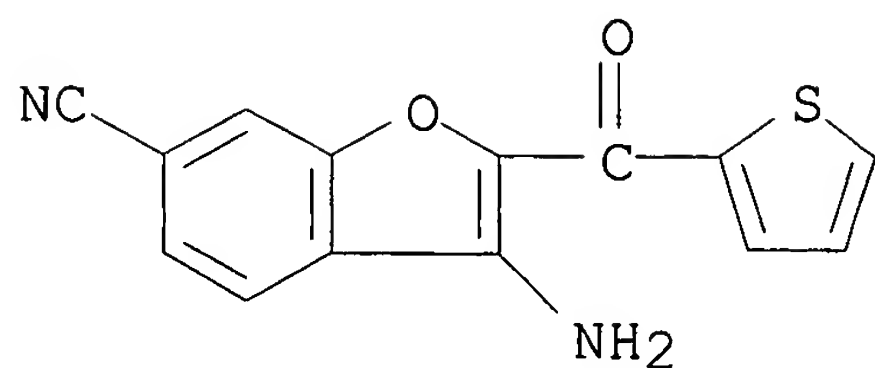


RN 192575-09-0 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl) (2-chloro-4-pyridinyl)- (9CI)  
(CA INDEX NAME)



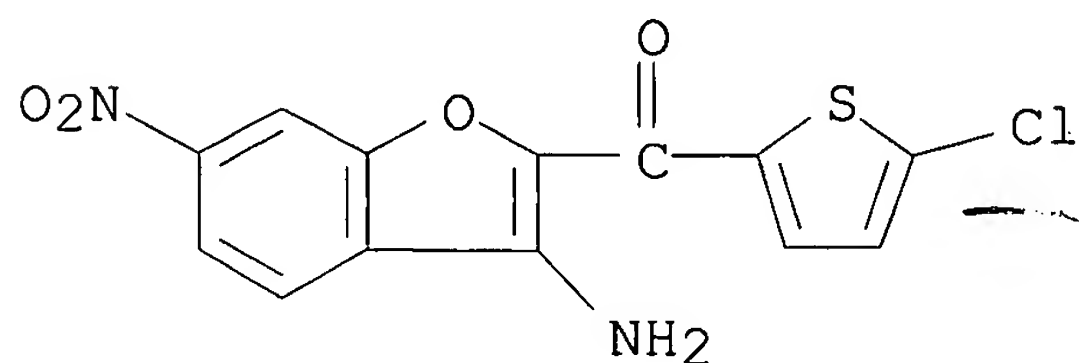
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CN 6-Benzofurancarbonitrile, 3-amino-2-(2-thienylcarbonyl)- (9CI)  
(CA INDEX  
NAME)

10/501,689



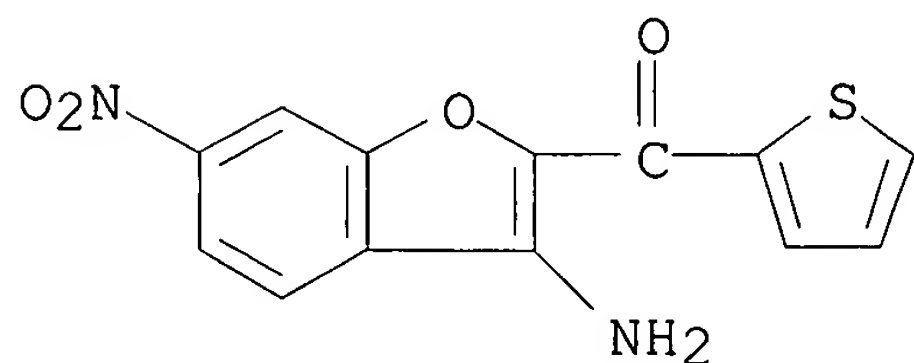
RN 192575-11-4 CAPLUS

CN Methanone, (3-amino-6-nitro-2-benzofuranyl) (5-chloro-2-thienyl)-  
(9CI)  
(CA INDEX NAME)



RN 192575-12-5 CAPLUS

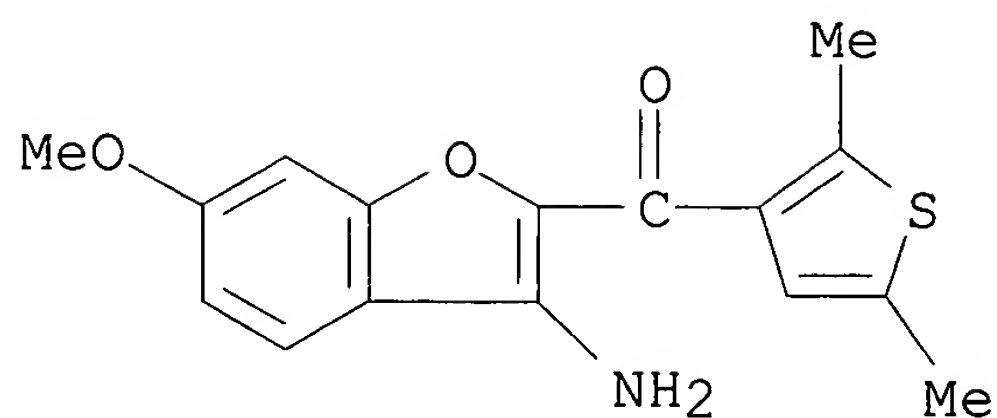
CN Methanone, (3-amino-6-nitro-2-benzofuranyl)-2-thienyl- (9CI)  
(CA INDEX  
NAME)



RN 192575-13-6 CAPLUS

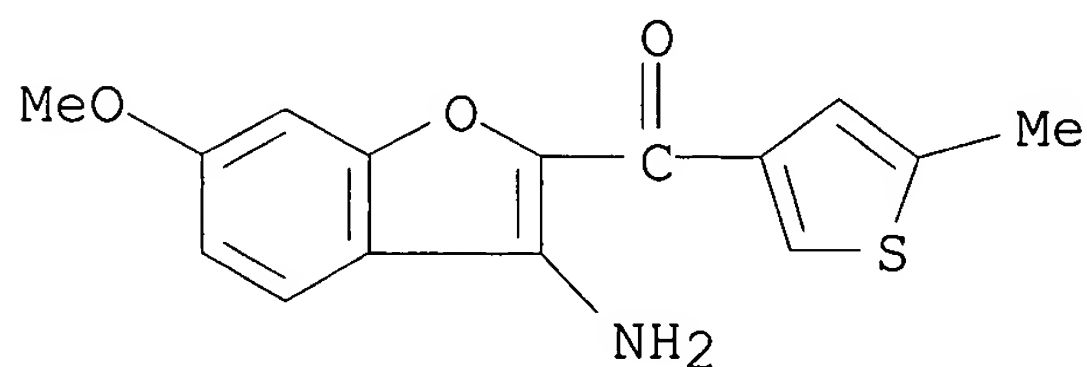
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl) (2,5-dimethyl-3-thienyl)-  
(9CI) (CA INDEX NAME)

10/501,689



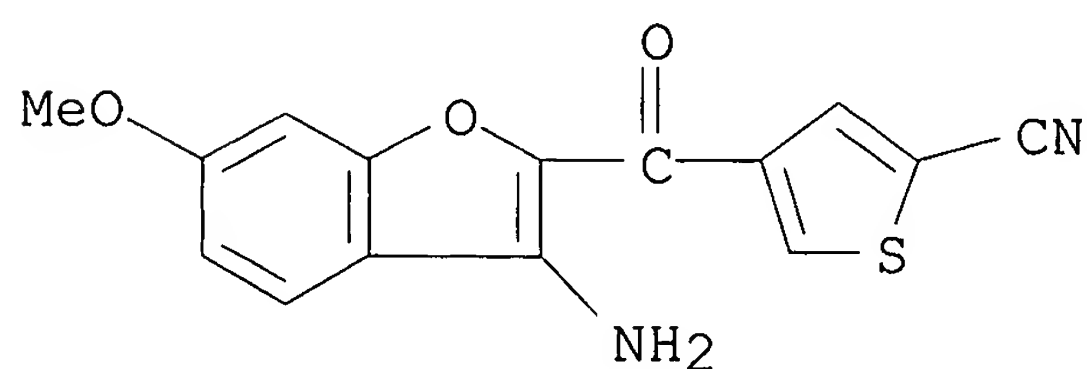
102

RN 192575-14-7 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(5-methyl-3-thienyl)- (9CI)  
(CA INDEX NAME)



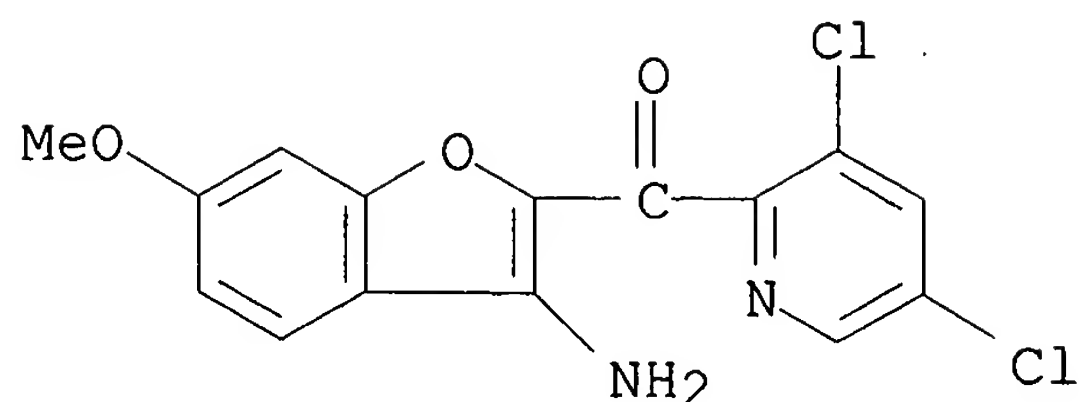
102

RN 192575-15-8 CAPLUS  
CN 2-Thiophenecarbonitrile,  
4-[(3-amino-6-methoxy-2-benzofuranyl)carbonyl]-  
(9CI) (CA INDEX NAME)

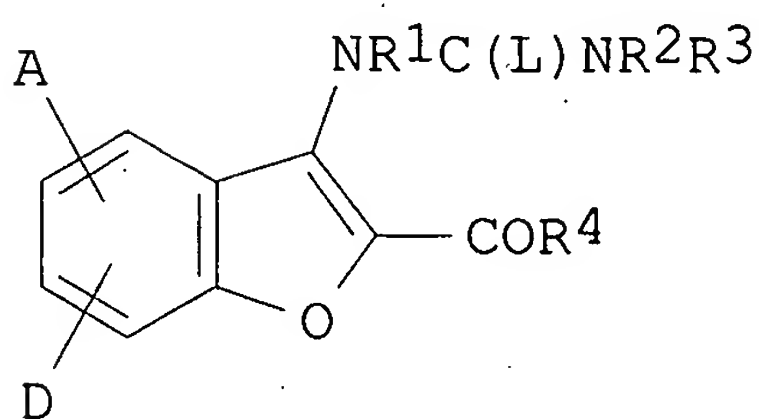


102

RN 192575-16-9 CAPLUS  
CN Methanone,  
(3-amino-6-methoxy-2-benzofuranyl)(3,5-dichloro-2-pyridinyl)-  
(9CI) (CA INDEX NAME)



GI



I

AB Title compds. [I; A, D = H, acyl, alkoxycarbonyl, halo, CO<sub>2</sub>H, cyano, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, etc.; R<sub>1</sub> = H, alkyl, protecting group, acyl; R<sub>2</sub>, R<sub>3</sub> = H, cycloalkyl, alkyl, alkoxycarbonyl, alkenyl, (substituted) PhCO, aryl; R<sub>2</sub>R<sub>3</sub>N = heterocyclyl; R<sub>4</sub> = (unsatd.) (substituted) (benzannellated) heterocyclyl; L = O, S], were prepared Thus, (3-amino-5-methoxybenzofuran-2-yl)piperidin-3-ylmethanone (preparation given) in CH<sub>2</sub>Cl<sub>2</sub> was treated with chlorosulfonyl isocyanate at 0° followed by stirring for 4 h at room temperature to give 17% [5-methoxy-2-(pyridin-3-carbonyl)benzofuran-3-yl]urea. I inhibited FMLP-stimulated production of superoxide radical anions with IC<sub>50</sub> = 0.07-10 μM.

N L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1997:175080 CAPLUS  
 DOCUMENT NUMBER: 126:171488  
 TITLE: Preparation of benzofuro[3,2-b]pyridines as endothelin

10/501,689

INVENTOR(S): receptor antagonists  
Osswald, Mathias; Dorsch, Dieter; Mederski,  
Werner;  
Wilm, Claudia; Schmitges, Claus;  
Christadler, Maria  
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany  
SOURCE: Eur. Pat. Appl., 70 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
EP 755934	A1	19970129	EP 1996-111677
19960719			
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
DE 19527568	A1	19970130	DE 1995-19527568
19950728			
AU 9660607	A1	19970206	AU 1996-60607
19960719			
CA 2182156	AA	19970129	CA 1996-2182156
19960726			
NO 9603131	A	19970129	NO 1996-3131
19960726			
JP 09040678	A2	19970210	JP 1996-214052
19960726			
ZA 9606399	A	19970219	ZA 1996-6399
19960726			
US 5700807	A	19971223	US 1996-687922
19960726			
BR 9603164	A	19980505	BR 1996-3164
19960726			
PRIORITY APPLN. INFO.:			DE 1995-19527568 A
19950728			
OTHER SOURCE(S):	MARPAT 126:171488		
IT 187154-67-2P			
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzofuro[3,2-b]pyridines as endothelin receptor antagonists)			

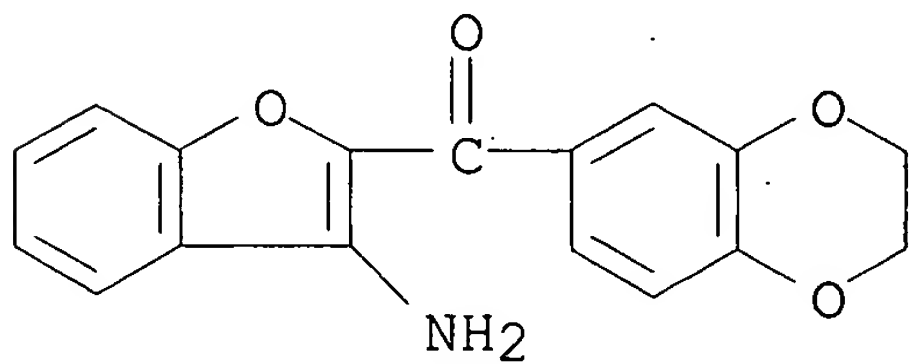


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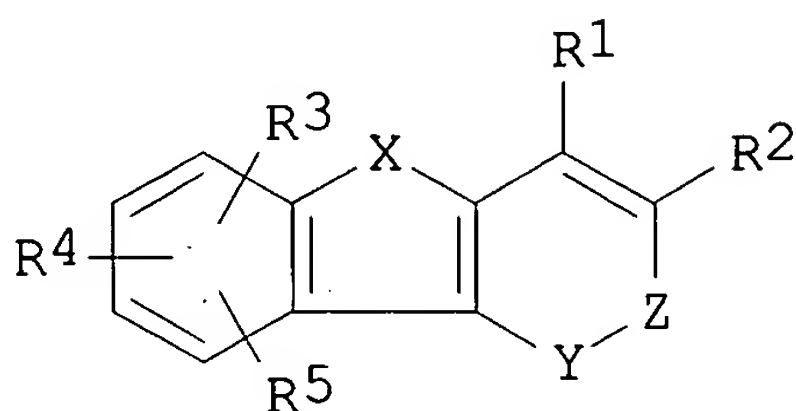
RN 187154-67-2 CAPLUS

CN Methanone,

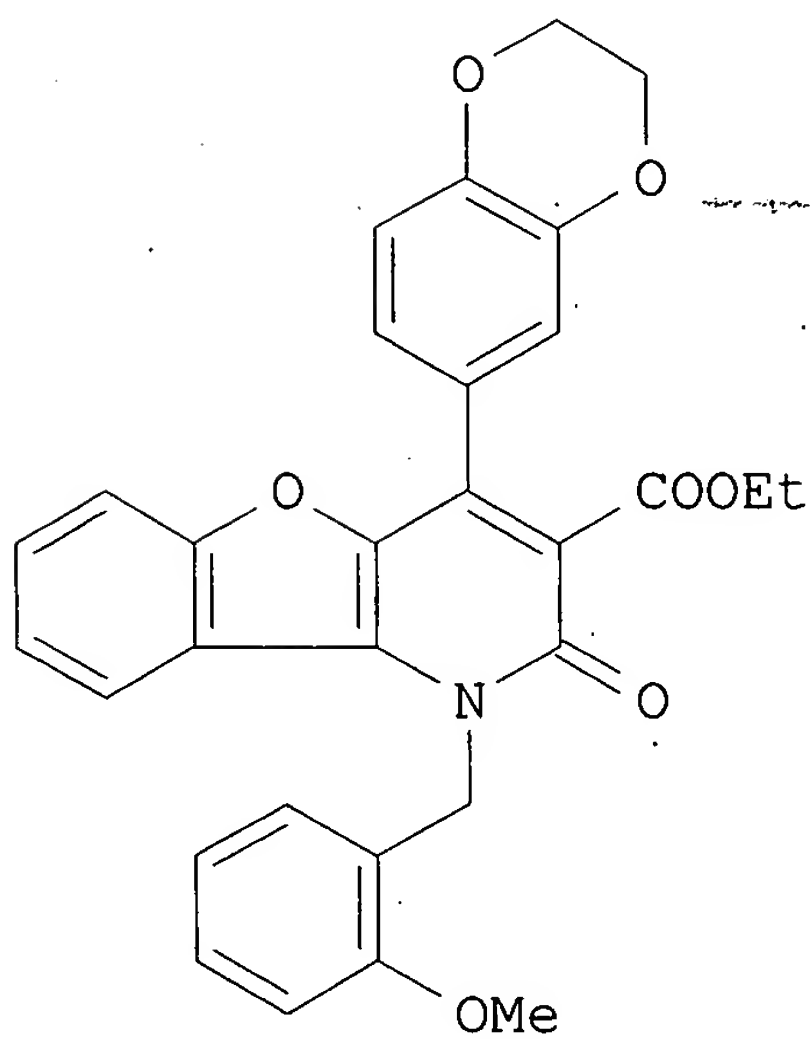
(3-amino-2-benzofuranyl) (2,3-dihydro-1,4-benzodioxin-6-yl) -  
(9CI) (CA INDEX NAME)



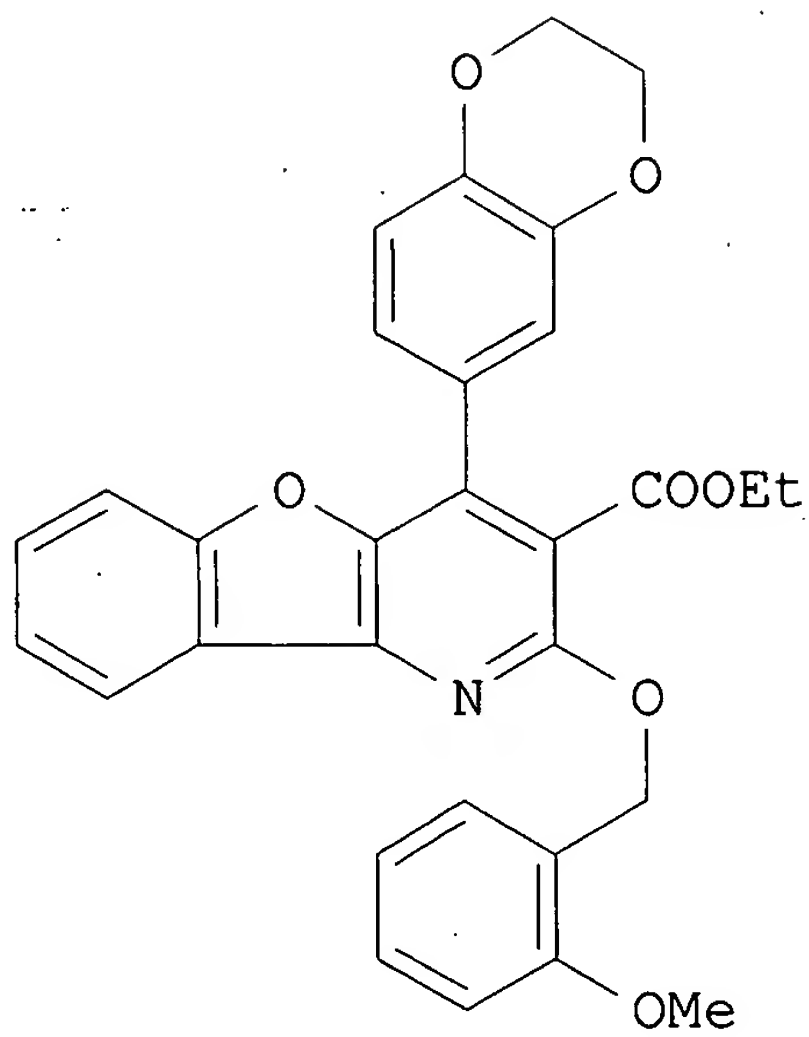
GI



I



II



III

AB The title compds. [I; R1 = Ar (wherein Ar = (un)substituted Ph, naphthyl, etc.); R2 = COOH, COOC1-6 alkyl, CN, etc.; R3-R5 = halo, NO2, CN, etc.; X = O, S; Y-Z = NR7C(O), N:C(OR7), N:CR8 (wherein R7 = CH2Ar, CH2CH2Ar, etc.; R8 = Ar, ArO)], useful for the prophylaxis and/or therapy of cardiac, circulatory and vascular illnesses, especially hypertension and cardiac insufficiency, were prepared and formulated. Thus, reaction of 50% solution of 2-methoxybenzyl chloride in CH2Cl2 with Et 4-(1,4-benzodioxan-6-yl)-1,2-dihydro-2-oxobenzofuro[3,2-b]pyridine-3-carboxylate in DMF in the presence of Cs2CO3 afforded II and III. Compds. I are effective at 0.02-10 mg/kg/day.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:265421 CAPLUS

DOCUMENT NUMBER: 122:81257

TITLE: Synthesis and reactions of 2-substituted 4H-benzofuro[3,2-d]-m-oxazin-4-ones

AUTHOR(S): Harwalkar, G. S.; Agasimundin, Y. S.

CORPORATE SOURCE: Department Chemistry, Gulbarga University, Gulbarga,

585 106, India

SOURCE: Indian Journal of Heterocyclic Chemistry (1994), 3(4),

247-52

CODEN: IJCHEI; ISSN: 0971-1627

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 160461-38-1P 160461-39-2P 160461-40-5P

160461-41-6P 160461-42-7P 160461-43-8P

160461-44-9P 160461-45-0P 160461-46-1P

160461-47-2P 160461-48-3P 160461-49-4P

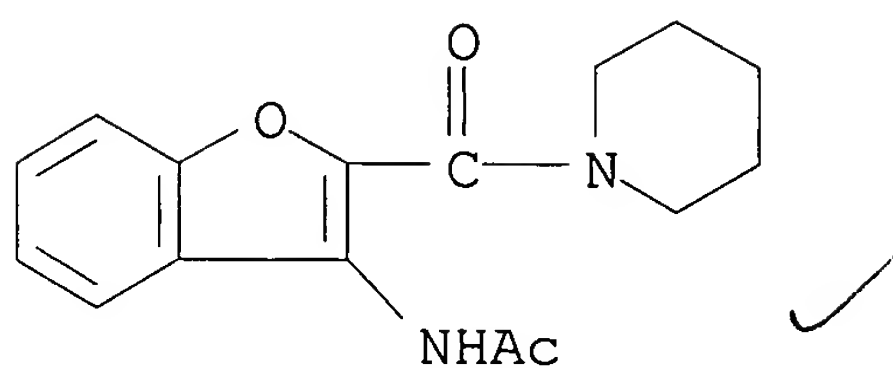
160461-50-7P 160461-51-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 160461-38-1 CAPLUS

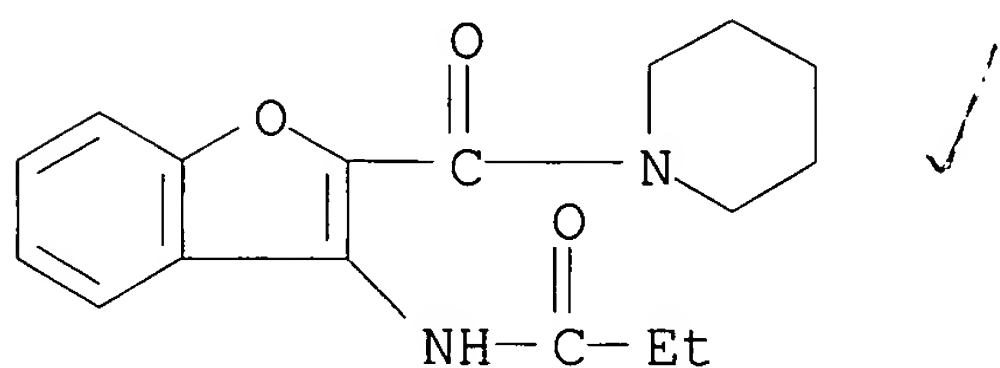
CN Acetamide, N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)

10/501,689



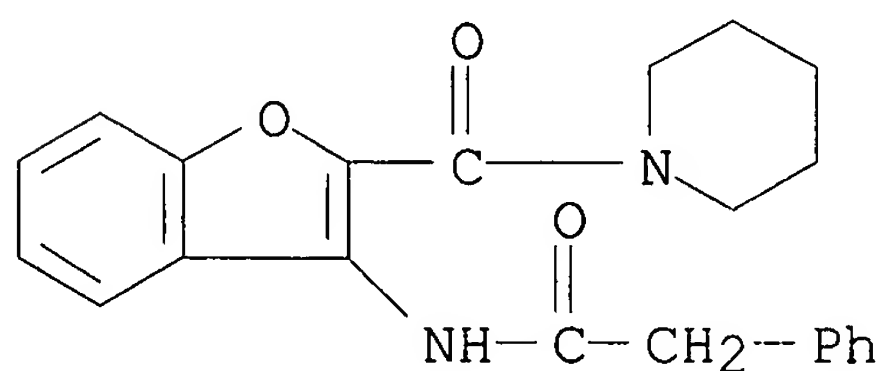
RN 160461-39-2 CAPLUS

CN Propanamide, N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



RN 160461-40-5 CAPLUS

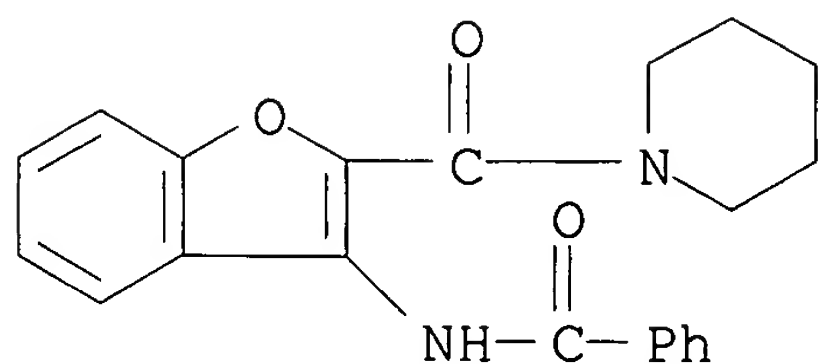
CN Benzeneacetamide, N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]-  
(9CI) (CA INDEX NAME)



RN 160461-41-6 CAPLUS

CN Benzamide, N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

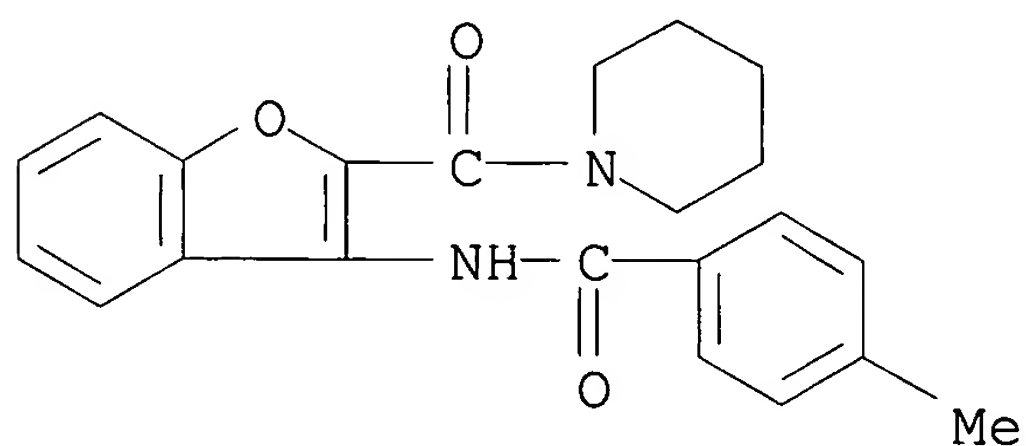
10/501,689



RN 160461-42-7 CAPLUS

CN Benzamide,

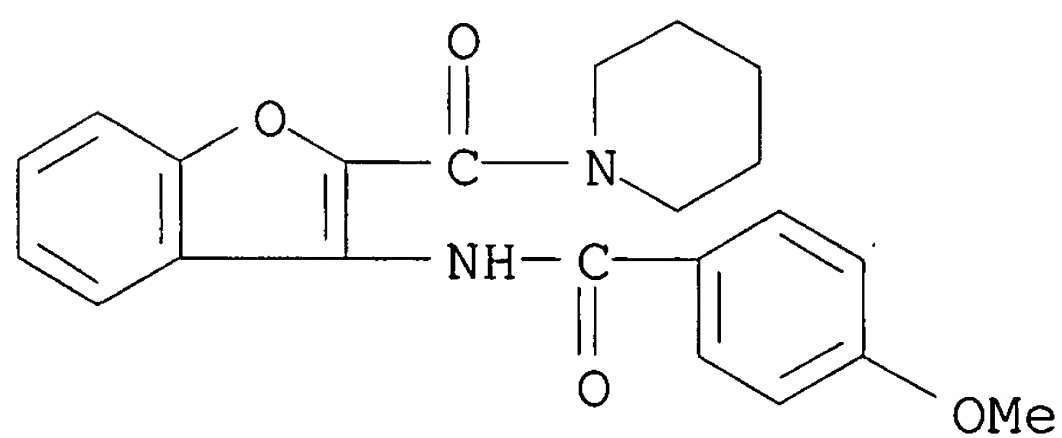
4-methyl-N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



RN 160461-43-8 CAPLUS

CN Benzamide,

4-methoxy-N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

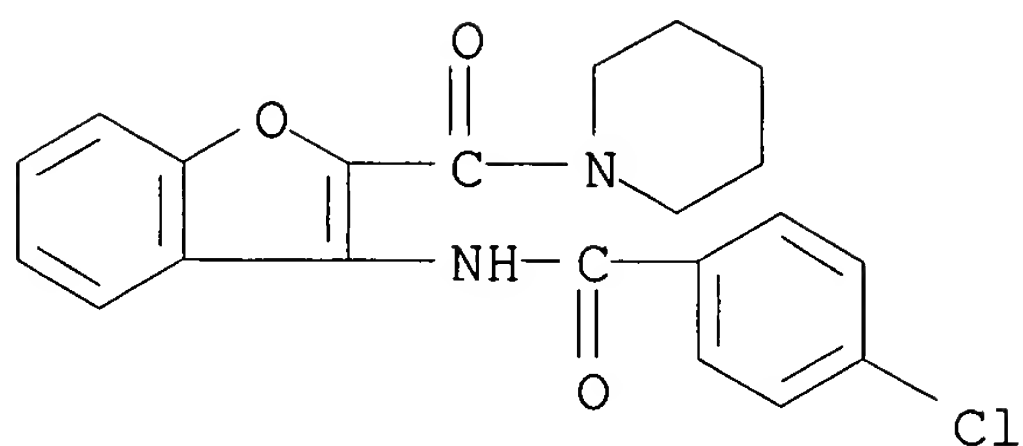


RN 160461-44-9 CAPLUS

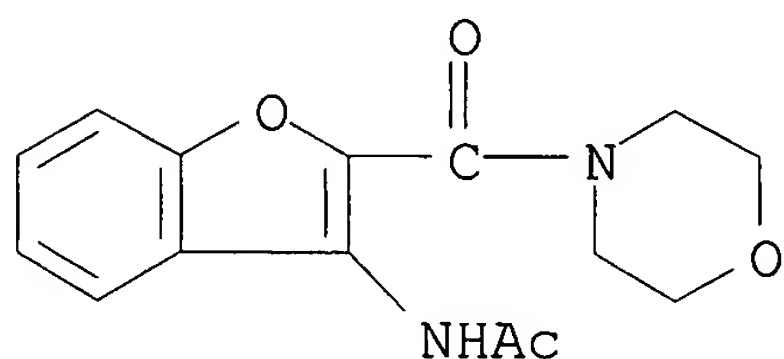
CN Benzamide,

4-chloro-N-[2-(1-piperidinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

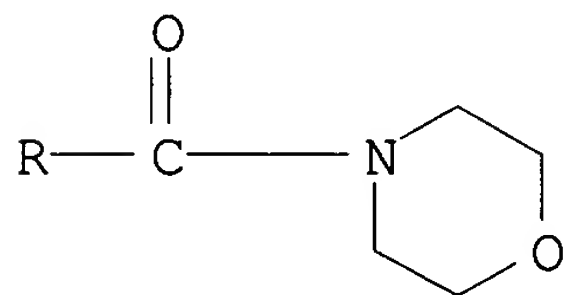
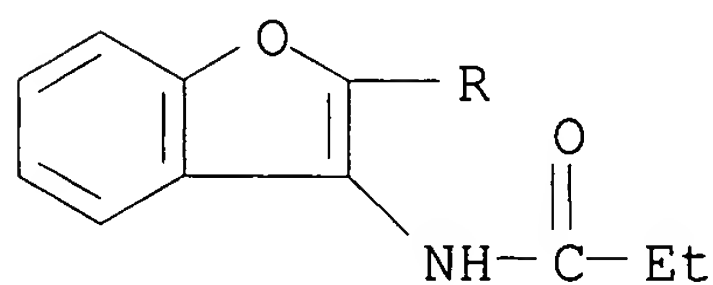
10/501,689



RN 160461-45-0 CAPLUS  
CN Acetamide, N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX  
NAME)



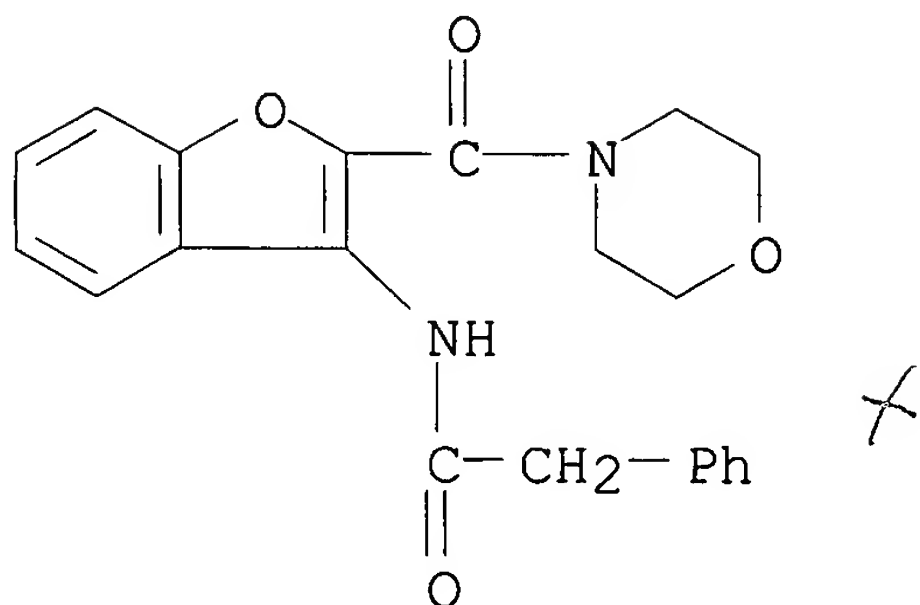
RN 160461-46-1 CAPLUS  
CN Propanamide, N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA  
INDEX NAME)



RN 160461-47-2 CAPLUS  
CN Benzeneacetamide, N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA

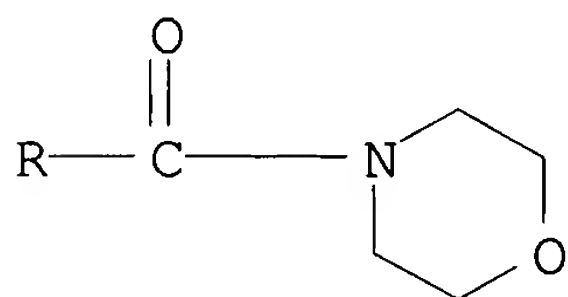
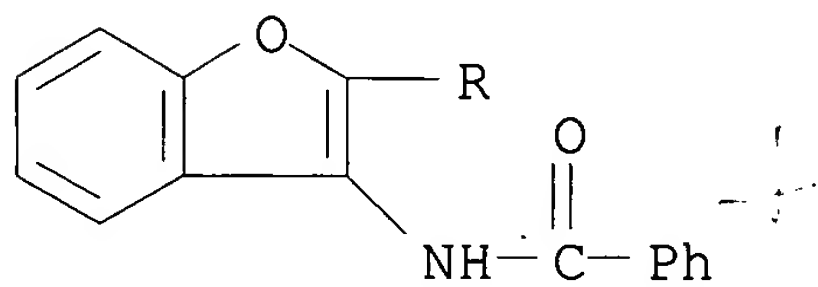
10/501,689

INDEX NAME)



RN 160461-48-3 CAPLUS

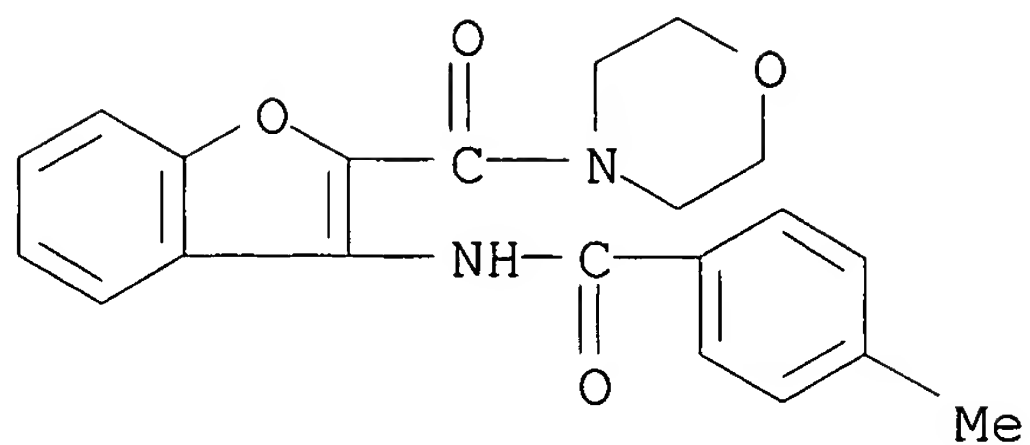
CN Benzamide, N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



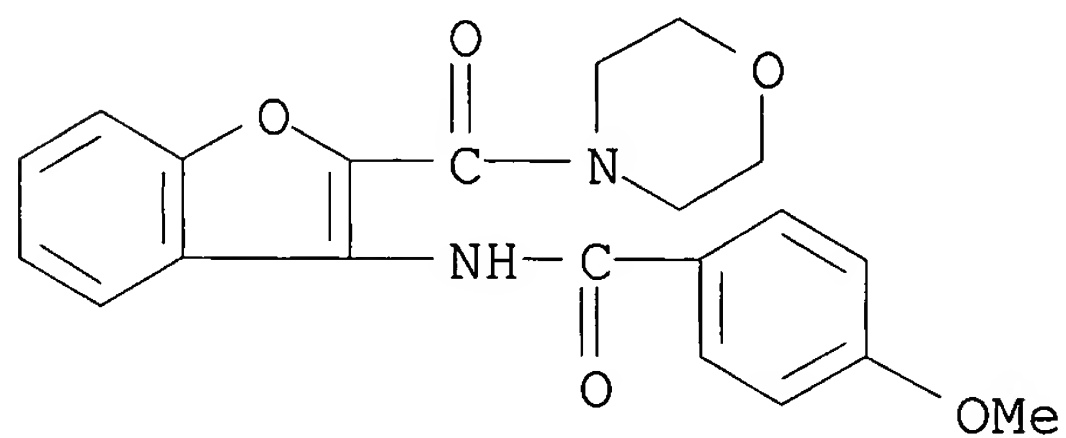
RN 160461-49-4 CAPLUS

CN Benzamide,  
4-methyl-N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

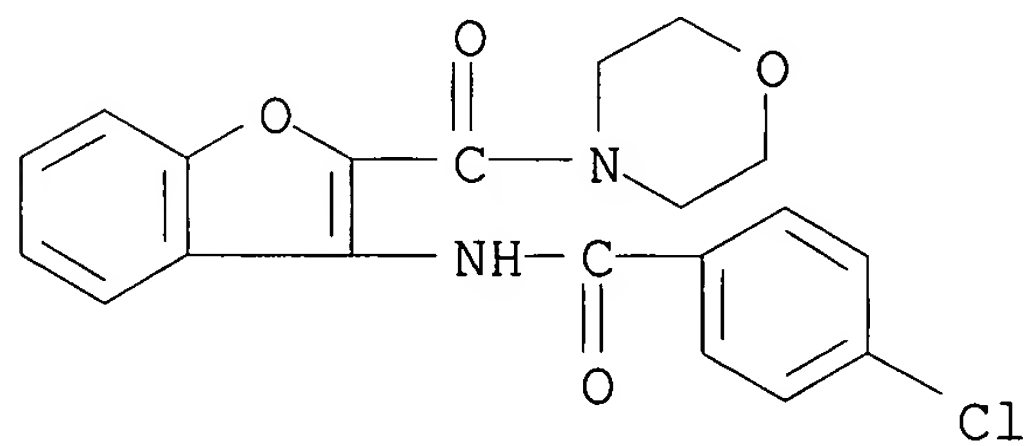
10/501,689



RN 160461-50-7 CAPLUS  
CN Benzamide,  
4-methoxy-N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)

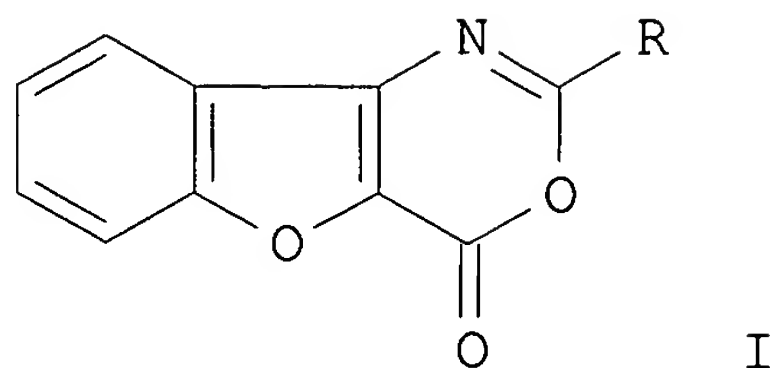


RN 160461-51-8 CAPLUS  
CN Benzamide,  
4-chloro-N-[2-(4-morpholinylcarbonyl)-3-benzofuranyl]- (9CI)  
(CA INDEX NAME)



GI

10/501,689



AB Title compds. I [R = Me, Et, CH<sub>2</sub>Ph, (un)substituted Ph] were prepared, and their reactions with aliphatic and aromatic amines were investigated. The selectivity of the reaction pathway was shown to depend on steric factors.

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:591598 CAPLUS

DOCUMENT NUMBER: 101:191598

TITLE: The conversions of isothiazolium salts into thiophenecarboxylic ester derivatives

AUTHOR(S): McKinnon, David M.; Duncan, K. Ann; Millar, Lesley M.

CORPORATE SOURCE: Dep. Chem., Univ. Manitoba, Winnipeg, MB, R3T 2N2,

SOURCE: Can. Canadian Journal of Chemistry (1984), 62(8), 1580-4

DOCUMENT TYPE: CODEN: CJCHAG; ISSN: 0008-4042

LANGUAGE: Journal

OTHER SOURCE(S): English

IT 92802-05-6P CASREACT 101:191598

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

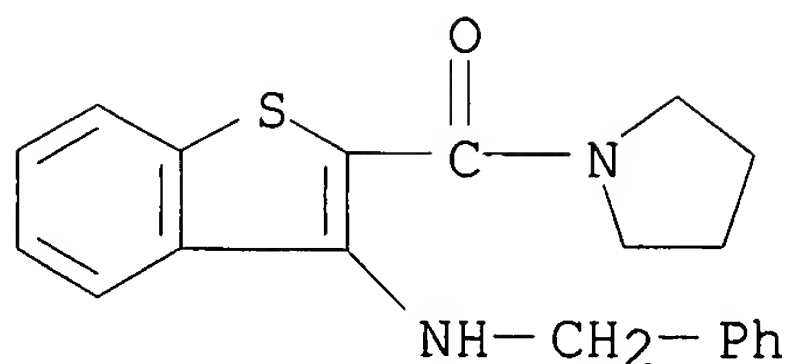
RN 92802-05-6 CAPLUS

CN Pyrrolidine,

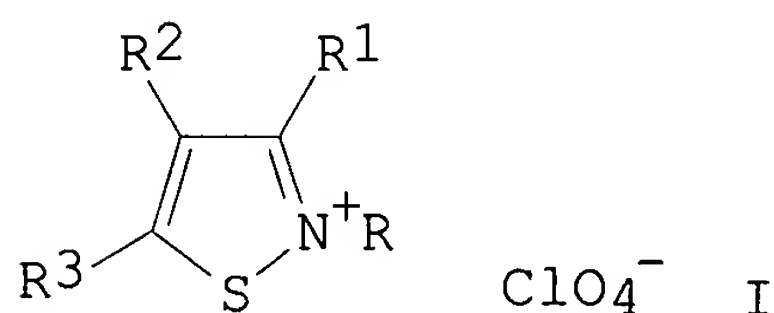
1-[[3-[(phenylmethyl)amino]benzo[b]thien-2-yl]carbonyl]-  
(9CI) (CA INDEX NAME)



10/501,689



GI



AB Several 3-aminothiophene-2-carboxylic ester derivs. were prepared by

reaction of EtO<sub>2</sub>CCH<sub>2</sub>CO<sub>2</sub>K or Me<sub>2</sub>S:CHCO<sub>2</sub>Et with isothiazolium perchlorates I

(e.g., R = R<sub>3</sub> = Ph, R<sub>1</sub> = R<sub>2</sub> = H). In the latter case deaminated products

were also isolated. These products were consistent with initial nucleophilic attack on the S atom of the isothiazolium salt. In one case

a pyrrole derivative formed by a novel rearrangement of an intermediate

aziridine derivative Some further derivs. of 3-(benzylamino)benzo[b]thiophene were described.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:71816 CAPLUS

DOCUMENT NUMBER: 78:71816

TITLE: New synthesis of 3-amino-2-cylbenzo[b]thiophenes

AUTHOR(S): Boeshagen, Horst; Geiger, Walter

CORPORATE SOURCE: Forschungslab., Bayer A. G., Wuppertal-Elberfeld, Fed.

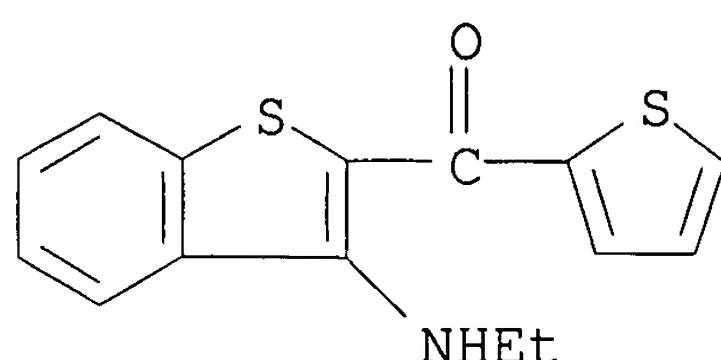
Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1972), 764, 58-68

CODEN: JLACBF; ISSN: 0075-4617

10/501,689

DOCUMENT TYPE: Journal  
LANGUAGE: German  
IT 40239-88-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 40239-88-1 CAPLUS  
CN Methanone, [3-(ethylamino)benzo[b]thien-2-yl]-2-thienyl- (9CI)  
(CA INDEX  
NAME)



GI For diagram(s), see printed CA Issue.  
AB Thirteen title compds. (I, R = Me, Et, Ph, C<sub>6</sub>H<sub>4</sub>Me-o, C<sub>6</sub>H<sub>4</sub>F-p, C<sub>6</sub>H<sub>4</sub>Cl-m, or CH<sub>2</sub>CH:CH<sub>2</sub>; R<sub>1</sub> = Me, 2-thienyl, Ph, C<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-m, CMe<sub>3</sub>, or CHMe<sub>2</sub>; R<sub>2</sub> = H or Cl) were prepared in 60-80% yield by reaction of the benzisothiazole (II) with MeCOR<sub>1</sub>. I were desulfurized with Raney Ni to give cis-s-cis-p-R<sub>2</sub>C<sub>6</sub>H<sub>4</sub>C(NHR):CHCOR<sub>1</sub>. Reaction of I (R = Ph, R<sub>1</sub> = Me, R<sub>2</sub> = H) with Ac<sub>2</sub>O, H<sub>2</sub>NNHSO<sub>2</sub>Ph, or H<sub>2</sub>NNH<sub>2</sub> gave the N-acetyl derivative of I, the phenylsulfonylhydrazone of I, or the azine of I, resp. Oxidation of I with perphthalic acid gave the S,S-dioxides.

=> log y

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